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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS	6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS	7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS	8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS	9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS	10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS	11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS	12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS	13	OCT 19	E-mail format enhanced
NEWS	14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS	15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS	17	OCT 30	CHEMLIST enhanced with new search and display field
NEWS	18	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS	19	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS	20	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS	21	NOV 13	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS EXPRESS	NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		
NEWS X25	X.25 communication option no longer available		

Enter NEWS followed by the item number or name to see news on that specific topic.

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\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 13:26:44 ON 19 NOV 2006

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:26:58 ON 19 NOV 2006

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 NOV 2006 HIGHEST RN 913607-70-2

DICTIONARY FILE UPDATES: 17 NOV 2006 HIGHEST RN 913607-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

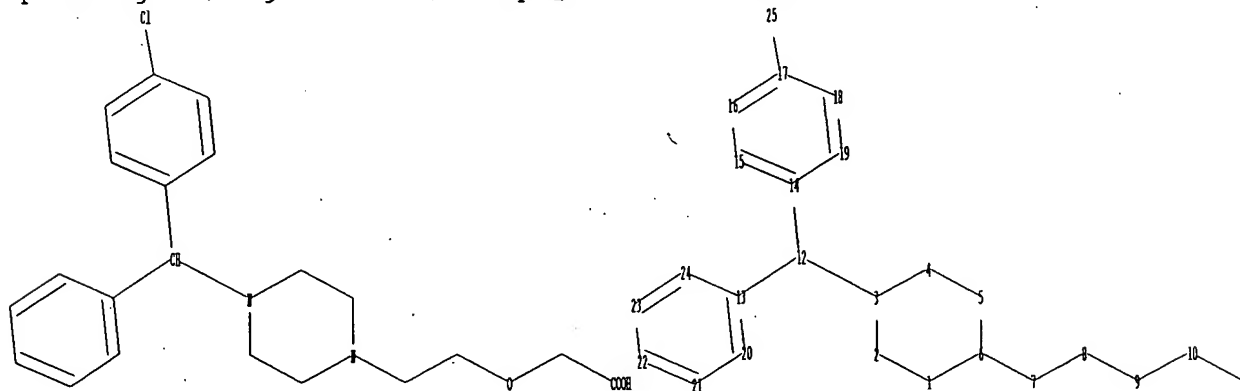
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10729856.str



10729856

chain nodes :

7 8 9 10 11 12 25

ring nodes :

1 2 3 4 5 6 13 14 15 16 17 18 19 20 21 22 23 24

chain bonds :

3-12 6-7 7-8 8-9 9-10 10-11 12-13 12-14 17-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-20 13-24 14-15 14-19 15-16 16-17 17-18  
18-19 20-21 21-22 22-23 23-24

exact/norm bonds :

1-2 1-6 2-3 3-4 3-12 4-5 5-6 6-7 8-9 9-10

exact bonds :

7-8 10-11 12-13 12-14 17-25

normalized bonds :

13-20 13-24 14-15 14-19 15-16 16-17 17-18 18-19 20-21 21-22 22-23 23-24

Match level :

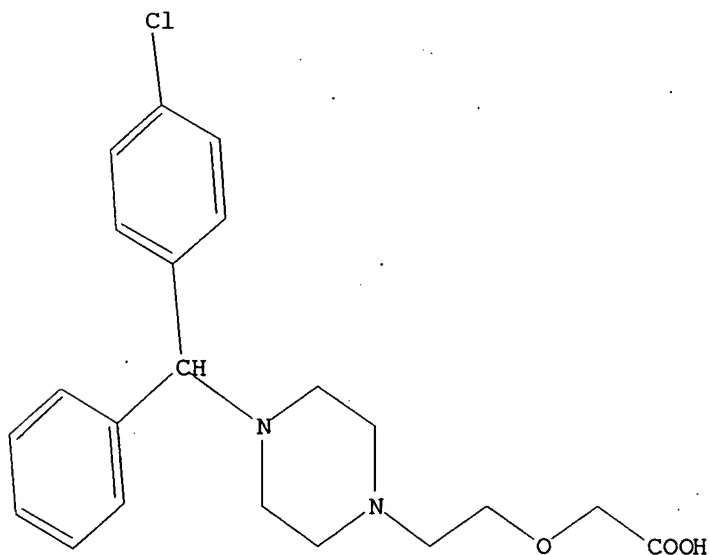
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:32:15 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 17 TO ITERATE

10729856

100.0% PROCESSED 17 ITERATIONS 4 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 93 TO 587  
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 full]

COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID

The query entered contains both search terms created by  
structure-building or screen commands and text search terms. L#s  
created via the STRUCTURE or SCREEN commands must be searched in the  
structures files separately from text terms or profiles. The L#  
answer sets from structure searches can be used in crossover searches  
and can be combined with text terms.

=> s l1 full

FULL SEARCH INITIATED 13:32:22 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 351 TO ITERATE

100.0% PROCESSED 351 ITERATIONS 39 ANSWERS  
SEARCH TIME: 00.00.01

L3 39.SEA SSS FUL L1

=> fil hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	170.46	170.67

FILE 'HCAPLUS' ENTERED AT 13:32:26 ON 19 NOV 2006  
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26, 1996), unless otherwise indicated in the original publications.  
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FILE COVERS 1907 - 19 Nov 2006 VOL 145 ISS 22  
FILE LAST UPDATED: 17 Nov 2006 (20061117/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

10729856

=> s 13

L4 1011 L3

=> s 14 and ("x-ray" or "x-ray diffract?" or "x-ray powder diffract?" or "XRPD" or "cetirizine")

1553085 "X"

1048658 "RAY"

809834 "X-RAY"

("X" (W) "RAY")

1553085 "X"

1048658 "RAY"

1702 "DIFFRACT"

13 "X-RAY DIFFRACT?"

("X" (W) "RAY" (W) "DIFFRACT")

1553085 "X"

1048658 "RAY"

529430 "POWDER"

1702 "DIFFRACT"

0 "X-RAY POWDER DIFFRACT?"

("X" (W) "RAY" (W) "POWDER" (W) "DIFFRACT")

699 "XRPD"

1075 "CETIRIZINE"

L5 925 L4 AND ("X-RAY" OR "X-RAY DIFFRACT?" OR "X-RAY POWDER DIFFRACT?" OR "XRPD" OR "CETIRIZINE")

=> s 15 and "crystal?"

1263557 "CRYSTAL?"

("CRYSTAL")

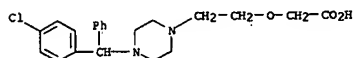
L6 6 L5 AND "CRYSTAL?"

=> d ed abs ibib hitstr 1-6

L6 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 31 Dec 2004  
 AB An amorphous form of the antiallergic compound cetirizine dihydrochloride, prepared by the base-promoted hydrolysis of the corresponding amide of cetirizine, extraction, followed by HCl salification, is prepared as are pharmaceutical compns. utilizing this crystalline form.  
 ACCESSION NUMBER: 2005:2182 HCAPLUS  
 DOCUMENT NUMBER: 142:93859  
 TITLE: Process for the preparation of an amorphous crystal form of the antiallergic cetirizine dihydrochloride  
 INVENTOR(S): Reddy, Manne Satyanarayana; Rajan, Srinivasan; Thirumalai; Rao, Uppala Venkata Bhaskara; Reddy, Konda Srinivasa  
 PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.  
 SOURCE: U.S. Pat. Appl. Publ., 11 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004266787	A1	20041230	US 2004-809193	20040325
PRIORITY APPLN. INFO.:		IN 2003-MA253		A 20030325

IT 83881-51-OP, Cetirizine  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)  
 (in a process for the preparation of an amorphous crystal form of the antiallergic cetirizine dihydrochloride)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



IT 83881-52-1P, Cetirizine dihydrochloride  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (process for the preparation of an amorphous crystal form of the antiallergic cetirizine dihydrochloride)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 18 Jun 2004  
 AB Crystalline polymorphic forms of the levorotatory and dextrorotatory cetirizine dihydrochloride salts are prepared by dissolving the salts in an a ketone-containing solvent (e.g., aqueous acetone), cooling the solution, and collecting the crystalline precipitate  
 ACCESSION NUMBER: 2004:493694 HCAPLUS  
 DOCUMENT NUMBER: 141:54360  
 TITLE: Polymorphic crystalline forms of dihydrochloride salts of cetirizine and processes for their preparation  
 INVENTOR(S): Reddy, Manne Satyanarayana; Srinivasan, Thirumalai; Rajan; Uppala, Venkata Bhaskara Rao; Vaddadi, Pattabhi Ramayya; Joga, Rajender  
 PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.  
 SOURCE: PCT Int. Appl., 37 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

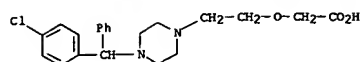
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050647	A2	20040617	WO 2003-US38494	20031204
WO 2004050647	A3	20040902		
WO 2004050647	C1	20050303		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LG, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TW, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RV: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GV, ML, MR, NE, SN, TD, TH  
 CA 2488114 AA 20040617 CA 2003-2488114 20031204  
 AU 2003297640 A1 20040623 AU 2003-297640 20031204  
 US 2004186112 A1 20040923 US 2003-729856 20031204  
 CN 1692105 A 20051102 CN 2003-729856 20031204  
 PRIORITY APPLN. INFO.:

IT 130018-87-OP 163837-48-7P  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (polymorphic crystalline forms of dihydrochloride salts of cetirizine and processes for their preparation)  
 RN 130018-87-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

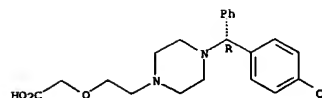
Absolute stereochemistry. Rotation (+).

L6 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

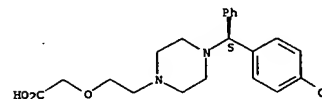
L6 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 163837-48-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

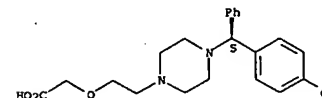


● 2 HCl

IT 130018-76-7P, Dextrocetirizine 130018-77-8P, Levocetirizine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (polymorphic crystalline forms of dihydrochloride salts of cetirizine and processes for their preparation from)

RN 130018-76-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

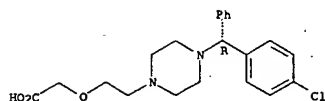
Absolute stereochemistry. Rotation (-).



RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L6 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L6 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Apr 2004

AB A chewing gum comprises at least one biodegradable polymer, wherein the mol. weight of said polymer is at least 105000 g/mol (Mn). According to the invention, it has moreover been realized that this problem may be effectively dealt with by increasing the mol. weight of at least one of the biodegradable polymers in the chewing gum when compared to conventional chewing gum polymers and thereby increasing the robustness of the chewing gum with respect to softeners, emulsifiers and e.g. flavor. Thus, chewing gum ingredients contain gumbase 40, sorbitol powder 45.6, lycasin 3, peppermint oil 1.5, menthol crystal 0.5, aspartame 0.2, acesulfame 0.2, and xylitol 61.

ACCESSION NUMBER: 2004:290438 HCAPLUS

DOCUMENT NUMBER: 140:320318

TITLE: Biodegradable chewing gum comprising at least one high molecular weight biodegradable polymer

INVENTOR(S): Andersen, Lone; Wittorf, Helle

PATENT ASSIGNEE(S): Gumlink A/S, Den.

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

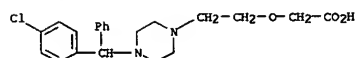
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028266	A1	20040408	WO 2002-DK625	20020924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CH, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500022	AA	20040408	CA 2002-2500022	20020924
AU 2002342578	A1	20040419	AU 2002-342578	20020924
EP 1542542	A1	20050622	EP 2002-779228	20020924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015890	A	20050726	BR 2002-15890	20020924
CN 1668209	A	20050914	CN 2002-829651	20020924
JP 2006500039	T2	20060105	JP 2004-538756	20020924
US 2006165842	A1	20060727	US 2005-528927	20051216
PRIORITY APPLN. INFO.: WO 2002-DK625 W 20020924				
IT 83881-51-0, Cetirizine 130018-77-8, Levocetirizine				
RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses) (low moisture chewing gum comprising biodegradable polymer)				
RN 83881-51-0 HCAPLUS				
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)				

Amorph. form.

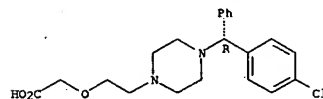
L6 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 130018-77-8 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 21 Dec 2003

AB A novel, amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride, suitable for pharmaceutical formulations, is prepared and X-ray diffraction patterns for it are presented.

ACCESSION NUMBER: 2003:991495 HCAPLUS

DOCUMENT NUMBER: 140:47519

TITLE: Process for the preparation of an amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride)

INVENTOR(S): Reddy, Manne Satyanarayana; Rajan, Srinivasan; Thirumalai; Shankar, Ranga Ravi; Vardhan, Sunkara; Vishnu

PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Ltd., India; Dr. Reddy's Laboratories, Inc.

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

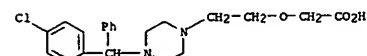
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104212	A1	20031218	WO 2003-US17600	20030604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238883	A1	20031222	AU 2003-238883	20030604
PRIORITY APPLN. INFO.: IN 2002-MA425 A 20020605 WO 2003-US17600 W 20030604				
IT 83881-51-0P, Cetirizine				
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
(in a process for the preparation of an amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride))				
RN 83881-51-0 HCAPLUS				
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)				

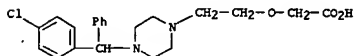


IT 83881-52-1P, Cetirizine dihydrochloride

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC

L6 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Process)  
 (process for the prepn. of an amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride))  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 21 Dec 2003

AB A crystalline form of cetirizine dihydrochloride (I), prepared by the salification of cetirizine with isopropanolic hydrogen chloride, having a defined X-ray diffraction pattern is presented, and pharmaceutical compns. containing I are presented.

ACCESSION NUMBER: 2003:991494 HCAPLUS  
 DOCUMENT NUMBER: 140:42205  
 TITLE: Preparation of crystalline [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride)

INVENTOR(S): Reddy, Manne Satyanarayana; Rajan, Srinivasan Thirumalai; Shankar, Ranga Ravi; Vardhan, Sunkara Vishnu

PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.

SOURCE: PCT Int. Appl., 22 pp.

DOCUMENT TYPE: CODEN: PIXXD2

LANGUAGE: Patent

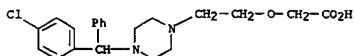
FAMILY ACC. NUM. COUNT: English

PATENT INFORMATION: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104211	A2	20031218	WO 2003-US17672	20030604
WO 2003104211	A3	20041223		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, CN, CO, CR, CU, DM, DO, EC, EE, ES, FI, FR, GB, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
AU 2003237394	A1	20031222	AU 2003-237394	20030604
PRIORITY APPLN. INFO.: IN 2002-MA425 A 20020605				
WO 2003-US17672 W 20030604				

OTHER SOURCE(S): CASREACT 140:42205  
 IT 83881-52-1P, Cetirizine dihydrochloride  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of crystalline [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride))  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

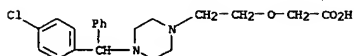
L6 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

IT 83881-51-0P, Cetirizine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (salification with HCl of)

RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 04 Jul 2003

AB Pharmaceutical safety dosage forms are provided which include a pharmaceutical and an antagonist to the pharmaceutical. The safety dosage forms are such that the antagonist has no significant bioavailability when the pharmaceutical safety dosage form is administered as intended. However, the antagonist is released and becomes bioavailable if the dosage form is disrupted. Methods of administering pharmaceuticals by providing pharmaceutical safety dosage forms are also provided. The pharmaceutical is adapted for time-release, or the antagonist comprises an insol. coating, or both. The bioavailability occurs upon mech. disruption. The dosage form is adapted to be administered rectally, parenterally, vaginally, transdermally, intranasally, or via an aerosol.

ACCESSION NUMBER: 2003:511826 HCAPLUS  
 DOCUMENT NUMBER: 139:74068

TITLE: Pharmaceutical safety dosage forms

INVENTOR(S): Roberts, Richard H.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 12 pp.

DOCUMENT TYPE: CODEN: USXXCO

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: English

PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003124061	A1	20030703	US 2003-339977	20030110
CA 2505661	AA	20040729	CA 2003-2505661	20031218
WO 2004062642	A1	20040729	WO 2003-US40990	20031218
WO 2004062642	B1	20041021		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, CN, CO, CR, CU, DM, DO, EC, EE, ES, FI, FR, GB, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
AU 2003299826	A1	20040810	AU 2003-299826	20031218
EP 1581188	A1	20051005	EP 2003-800100	20031218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006514067	T2	20060427	JP 2004-566589	20031218
PRIORITY APPLN. INFO.: US 2003-339977 A 20030110				
WO 2003-US40990 W 20031218				

IT 83881-52-1, Cetirizine hydrochloride  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical safety dosage forms)

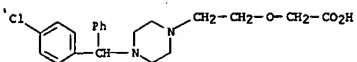
RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



10729856

L6 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

10729856

=> d his

(FILE 'HOME' ENTERED AT 13:26:44 ON 19 NOV 2006)

FILE 'REGISTRY' ENTERED AT 13:26:58 ON 19 NOV 2006

L1 STRUCTURE UPLOADED

L2 4 S L1

L3 39 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 13:32:26 ON 19 NOV 2006

L4 1011 S L3

L5 925 S L4 AND ("X-RAY" OR "X-RAY DIFFRACT?" OR "X-RAY POWDER DIFFRAC

L6 6 S L5 AND "CRYSTAL?"

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19737 "DIHYDROCHLORIDE"

L7 99 L5 AND "DIHYDROCHLORIDE"

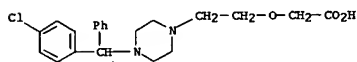
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L7 ANSWER 55 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 09 Nov 2001  
AB Comps. and compns. are provided along with methods for their use as immunomodulators.

ACCESSION NUMBER: 2001:816697 HCAPLUS  
DOCUMENT NUMBER: 135:339205  
TITLE: STAT4 and STAT6 binding dipeptide derivatives  
INVENTOR(S): McKinney, Judi; Raimundo, Brian C.; Cushing, Timothy D.; Yoshimura, Hiromitsu; Ohuchi, Yutaka; Hiratate, Akira; Fukushima, Hiroshi; Xu, Feng; Peto, Csaba  
PATENT ASSIGNEE(S): Tularik Inc., USA; Taisho Pharmaceutical Co., Ltd.  
SOURCE: PCT Int. Appl., 85 pp.  
CODEN: PIKX02  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083517	A1	20011108	WO 2000-US12079	20000503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, GU, ML, MR, NE, SN, TD, TG				

PRIORITY APPL. INFO.: MARPAT 135:339205  
OTHER SOURCE(S):  
IT 83881-52-1, Cetirizine dihydrochloride  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(STAT4 and STAT6 binding dipeptide derivs. and their use as immunomodulators and treatment of STAT6-dependent diseases)  
RN 83881-52-1 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 56 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 08 Nov 2001  
AB The main goal of the present study was to investigate the absorption and disposition of levocetirizine dihydrochloride, the R enantiomer of cetirizine dihydrochloride, following a single oral administration (5 mg) of the <sup>14</sup>C-labeled compound in healthy volunteers. Configurational stability was also investigated. Levocetirizine was rapidly and extensively absorbed: 85.4% and 12.9% of the radioactive dose were recovered 168 h post-dose in urine and feces, resp. Levocetirizine and/or its metabolites were not, or only very poorly, associated with blood cells, as the blood-to-plasma ratio was 0.51 to 0.68. The mean apparent volume of distribution (V<sub>z</sub>/F) was 26.9 l (0.3 l/kg) indicating that the distribution of levocetirizine is restrictive. The protein binding of radiolabeled levocetirizine was 96.1% 1 h after administration. In vitro, at concns. ranging from 0.2 µg/ml to 1 µg/ml, the protein binding was 94.8% to 95.0%. Levocetirizine is very poorly metabolized. The cumulative 48-h excretion as parent compound accounted for 85.8% of the oral dose, equivalent to 95% of the total radioactivity excreted at this time.

At least 13 minor metabolites were detected in urine and represented 2.4% of the dose at 48 h. The metabolic pathways involved in levocetirizine metabolism are oxidation (hydroxylation, O-dealkylation, N-oxidation and N-dealkylation), glucuronidation, taurine conjugation and glutathione conjugation with formation of the mercapturic acids. There was no evidence of chiral inversion of levocetirizine in humans. This result is consistent with that obtained in preclin. studies.

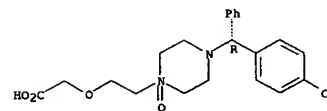
ACCESSION NUMBER: 2001:810173 HCAPLUS  
DOCUMENT NUMBER: 137:103354  
TITLE: Absorption, distribution, metabolism and excretion of [<sup>14</sup>C]levocetirizine, the R enantiomer of cetirizine, in healthy volunteers  
AUTHOR(S): Strolin Benedetti, Margherita; Flisnier, Michel; Kaiser, Jacques; Maier, Laura; Baltes, Eugene; Arendt, Catherine; McCracken, Nigel  
CORPORATE SOURCE: UCB Pharma, Nanterre, 92003, Fr.  
SOURCE: European Journal of Clinical Pharmacology (2001), 57(8), 571-582  
CODEN: EUCPA5; ISSN: 0031-6970  
PUBLISHER: Springer-Verlag  
DOCUMENT TYPE: Journal  
LANGUAGE: English

IT 442863-80-1  
RL: BSU (Biological study, unclassified); BIOL (Biological study) (absorption, distribution, metabolism and excretion of [<sup>14</sup>C]levocetirizine, the R enantiomer of cetirizine, in healthy volunteers)  
RN 442863-80-1 HCAPLUS  
CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-oxido-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

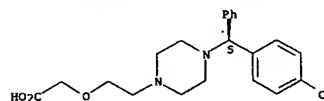
L7 ANSWER 55 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 56 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 163837-48-7, (-)-Cetirizine dihydrochloride  
RL: PKT (Pharmacokinetics); BIOL (Biological study) (absorption, distribution, metabolism and excretion of [<sup>14</sup>C]levocetirizine, the R enantiomer of cetirizine, in healthy volunteers)  
RN 163837-48-7 HCAPLUS  
CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



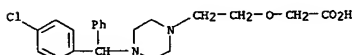
●2 HCl

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 57 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 29 Oct 2001  
 AB A novel RP-HPLC method for the simultaneous anal. of three drugs, viz., Ph propanolamine HCl (25.0 mg), cetirizine dihydrochloride (5.0 mg) and acetaminophen (500 mg) from a dosage form was presented. Wavelength programming had to be used in the simultaneous anal., as the quantity of the drug, viz. acetaminophen was several times higher than the others and a balanced wavelength could not be obtained to include the absorbances of the three drugs. The internal standard method using aspirin was employed and the seps. carried out on an Inertsil - C<sub>8</sub> (250 × 4.6 mm) 5 µm employing a mobile phase of distilled water, acetonitrile and triethylamine (60: 40: 0.1 volume/volume) adjusted pH - 3.5 with 10% phosphoric acid. The programming regime was as follows: 0 - 2.80 mins at 215 nm, 2.81 to 4.5 mins at 305 nm and 4.51 to 8.5 mins again at 215 nm. The method was standardized with simulated solution mixts. and then applied to real samples containing all three drugs. Full statistical evaluation of the data was provided.

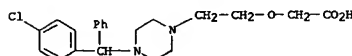
ACCESSION NUMBER: 2001:782479 HCAPLUS  
 DOCUMENT NUMBER: 136:330660  
 TITLE: Concurrent analysis of a multicomponent dosage formulation containing phenyl propanolamine HCl, cetirizine dihydrochloride and acetaminophen by RP-HPLC with wavelength programming

AUTHOR(S): Kanumula, Gangaram V.; Bhanu, Raman; Sunderesan, M.  
 CORPORATE SOURCE: Chem. Dep., K. J. Somalya College of Sci. Vidya Vihar, Mumbai, 4000 056, India  
 SOURCE: Indian Drugs (2001), 38(6), 294-298  
 CODEN: INDRBA; ISSN: 0019-462X  
 PUBLISHER: Indian Drug Manufacturers' Association  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine  
 RL: ANT (Analyte); ANST (Analytical study)  
 (determination of Ph propanolamine, cetirizine and acetaminophen by RP-HPLC with wavelength programming)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

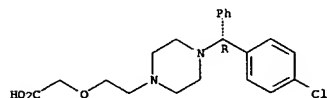
L7 ANSWER 58 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 58 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 09 Oct 2001  
 AB The primary objective of the present study was to compare the absorption and disposition of levocetirizine, the enantiomer of cetirizine, when administered alone (10 mg) or in presence of the distomer. An addnl. objective was also to investigate the configurational stability of levocetirizine in vivo in humans. The study was performed in a randomized, 2-way cross-over, single-dose design with a wash-out phase of 7 days between the 2 periods. A total of 12 healthy male and 12 healthy female volunteers were included in the study. Bioequivalence can be concluded from the anal. of the pharmacokinetic parameters of levocetirizine when administered alone or as the racemate cetirizine. No chiral inversion occurs in humans when levocetirizine is administered, i.e. there is no formation of the distomer. When comparing the pharmacokinetic characteristics of levocetirizine and the distomer, the apparent volume of distribution of the enantiomer is significantly smaller than that of the distomer (0.41 and 0.60 L/kg, resp.). For an H1-antagonist a small distribution volume can be considered as a pos. aspect, both in terms of efficacy and safety. Moreover the non-renal clearance of levocetirizine is also significantly lower than that of the distomer (9.70 and 28.70 ml/min, resp.), which constitutes an addnl. pos. aspect particularly as far as metabolism-based drug interactions are concerned. The information collected in the present study on the pharmacokinetics of levocetirizine and the distomer provide addnl. reasons for eliminating the distomer and developing levocetirizine as an improvement on cetirizine.

ACCESSION NUMBER: 2001:735333 HCAPLUS  
 DOCUMENT NUMBER: 136:63556  
 TITLE: Absorption and disposition of levocetirizine, the enantiomer of cetirizine, administered alone or as cetirizine to healthy volunteers

AUTHOR(S): Baltes, Eugene; Coupez, Rene; Gizek, Hilde; Voss, Gudrun; Meyerhoff, Carsten; Strollin Benedetti, Margherita  
 CORPORATE SOURCE: UCB Pharma, Chemin du Foriest, Braine l'Alleud, 1420, Belg.  
 SOURCE: Fundamental & Clinical Pharmacology (2001), 15(4), 269-277  
 CODEN: FCPHEZ; ISSN: 0767-3981  
 PUBLISHER: Blackwell Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RN 130018-77-8, Levocetirizine  
 RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (absorption and disposition of levocetirizine, the enantiomer of cetirizine, and as cetirizine)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

L7 ANSWER 59 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Jun 2001  
 AB Cetirizine is prepared by reaction of 2-[4-(a-phenyl-p-chlorobenzyl)piperazin-1-yl]ethanol with ClCH<sub>2</sub>CONH<sub>2</sub> [R<sub>1</sub>, R<sub>2</sub> = alkyl, phenylalkyl, alkenyl, cyclohexyl; NR<sub>1</sub>R<sub>2</sub> = morpholinol] to form [2-[4-(a-phenyl-p-chlorobenzyl)piperazin-1-yl]ethoxy]acetamides which are hydrolyzed, if desired in the presence of a phase transfer catalyst, to obtain cetirizine. Thus, 2-[4-(a-phenyl-p-chlorobenzyl)piperazin-1-yl]ethanol was treated with ClCH<sub>2</sub>CONH<sub>2</sub> to give 81.8% of the amide which was hydrolyzed with NaOH to give 81.3% cetirizine.

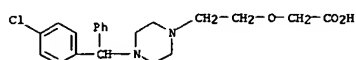
ACCESSION NUMBER: 2001:416924 HCAPLUS  
 DOCUMENT NUMBER: 135:33487  
 TITLE: A process for the preparation of [2-[4-(a-phenyl-p-chlorobenzyl)piperazin-1-yl]ethoxy]acetic acid and novel intermediates therefor

INVENTOR(S): Reiter, Jozsef; Trinka, Peter; Bartha, Ferenc; Simig, Gyula; Nagy, Kalman; Vereczkey Donath, Gyorgyi; Nemeth, Norbert; Clementis, Gyorgyi; Tompe, Peter; Vago, Pal  
 PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

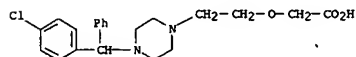
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040211	A1	20010607	WO 2000-HU123	20001129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1233954	A1	20020828	EP 2000-981508	20001129
EP 1233954	B1	20041020		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003515600	T2	20030507	JP 2001-541895	20001129
AT 280165	E	20041115	AT 2000-981508	20001129
RU 2248974	C2	20050327	RU 2002-118101	20001129
BG 106760	A	20030430	BG 2002-106760	20020530
US 2003092911	A1	20030515	US 2002-148704	20020703
US 6908999	B2	20050621		
PRIORITY APPLN. INFO.:			HU 1999-4438	A 19991130
			HU 1999-4439	A 19991130
			WO 2000-HU123	W 20001129

OTHER SOURCE(S): CASREACT 135:33487; MARPAT 135:33487  
 IT 83881-51-0P, Cetirizine dihydrochloride  
 Cetirizine dihydrochloride  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of cetirizine)  
 RN 83881-51-0 HCAPLUS

L7 ANSWER 59 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 dihydrochloride (9CI) (CA INDEX NAME)



RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

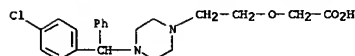
L7 ANSWER 60 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 08 Jun 2001  
 AB A novel fast dissolving pharmaceutical composition in a solid dosage form  
 with a prolonged sweet taste comprises at least 1 drug, 1 water-soluble sugar, 1  
 non-sugar sweetener in a normal fast-release form and 1 non-sugar  
 sweetener in a mucoadhesive slow-release form. Thus, a tablet formulation  
 contained cetirizine-ZnCl<sub>2</sub> 2.5, crosslinked poly(acrylic acid)  
 10.0, mannitol 64.5, croscarmellose sodium 3.75, Povidone 0.25, aspartame  
 prepared in a mucoadhesive formulation 6.25, Mg stearate 0.50, Aerosil 0.75,  
 sodium starch glycolate 3.75, NaCl 3.00, citric acid 2.00, and flavor  
 2.75%.

ACCESSION NUMBER: 2001:416748 HCAPLUS  
 DOCUMENT NUMBER: 135:24695  
 TITLE: Fast dissolving composition with prolonged sweet taste  
 INVENTOR(S): Singh, Amarjit; Jain, Rajesh  
 PATENT ASSIGNEE(S): Panacea Biotec Limited, India  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039749	A2	20010607	WO 2000-IN113	20001124
WO 2001039749	A3	20020214		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
BR 2000015994	A	20020806	BR 2000-15994	20001124
EP 1235561	A2	20020904	EP 2000-993237	20001124
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IS, SI, LT, LV, FI, RO, MK, CY, AL, TR			
RU 2216319	C1	20031120	RU 2002-117306	20001124
ZA 2002004193	A	20030527	ZA 2002-4193	20020527
US 7122198	B1	20061017	US 2002-148651	20020819
PRIORITY APPLN. INFO.:			IN 1999-DE1514	A 19991130
			WO 2000-IN113	W 20001124

IT 83881-52-1, Cetirizine dihydrochloride  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (fast dissolving composition with prolonged sweet taste)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 dihydrochloride (9CI) (CA INDEX NAME)

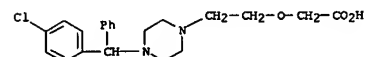
L7 ANSWER 60 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

L7 ANSWER 61 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 06 Jun 2001  
 AB The distribution of the cetirizine dihydrochloride  
 assay results in correlation with the pharmacopoeia limits is analyzed.  
 The data for anal. were obtained for 13 batches during a year in two labs  
 by five analysts using three different titroprocessors (total 114 results  
 of the determination). The hypothesis on the normal distribution of the  
 data was tested using a2-criterion and accepted at the level of confidence  
 0.90. A control chart is designed for indication of warning and action  
 limits of the determination results and for diagnoses of outliers in the  
 further titrns. The distribution of the analyte content in different batches and  
 the distributions of the titration results at the pharmacopoeia limits were  
 plotted. The probabilities of the erroneous decisions of Type 1 and Type  
 2 on the batch quality were calculated from these distributions.

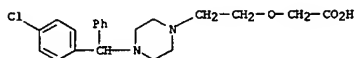
ACCESSION NUMBER: 2001:405777 HCAPLUS  
 DOCUMENT NUMBER: 135:322801  
 TITLE: Distributions of results of cetirizine  
 dihydrochloride assay in bulk material  
 AUTHOR(S): Weisman, A.; Kuselman, I.  
 CORPORATE SOURCE: Chemagis Ltd., Tel-Aviv, 61090, Israel  
 SOURCE: International Journal of Pharmaceutics (2001),  
 221(1-2), 159-163  
 CODEN: IJPHOE; ISSN: 0378-5173  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL  
 (Biological study); USES (Uses)  
 (distributions of results of cetirizine  
 dihydrochloride assay in bulk material)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

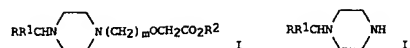
L7 ANSWER 62 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 11 May 2001  
 AB The influence of temperature and relative humidity on stability of cetirizine dihydrochloride in solid state was followed by a HPLC method in this study.  
 ACCESSION NUMBER: 2001:335738 HCAPLUS  
 DOCUMENT NUMBER: 136:90838  
 TITLE: Stability of cetirizine dihydrochloride in solid state  
 AUTHOR(S): Zajac, Marianna; Musial, Wojciech; Jelinska, Anna; Stanisz, Beata  
 CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Karol Marcinkowski University of Medical Sciences, Poznan, 60-780, Pol.  
 SOURCE: Acta Polonica Pharmaceutica (2001), 58(1), 21-23  
 CODEN: APPhAX; ISSN: 0001-6837  
 PUBLISHER: Polish Pharmaceutical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PRP (Properties); USES (Uses)  
 (stability of cetirizine dihydrochloride in solid state)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

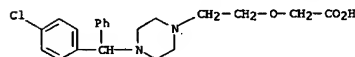
L7 ANSWER 63 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 27 Apr 2001  
 GI



AB Title compds. I (n = 1-6; R, R1 = H, alkyl, acyl, heteroaryl; R2 = branched alkyl or an organic or inorg. cation) were prepared by reaction of II (same R, R1) with X(CH2)nOCH2CO2R2 (X = a leaving group; same n, R2). Thus, 25 g of II (R = Ph, R1 = 4-ClC6H4) and 19.4 g ClCH2CH2OCH2CO2Me3, and 10.6 g Na2CO3 in 20 mL DMF were heated to 110° for 4 h. The resulting mixture was poured into water (50 mL) and extracted with toluene, and the solvent was removed to give tert-Bu cetirizine. Hydrolysis of the carboxylate with acid produces a piperazine-substituted aliphatic carboxylic acid or the acid salt thereof.  
 ACCESSION NUMBER: 2001:300697 HCAPLUS  
 DOCUMENT NUMBER: 134:311229  
 TITLE: Process for preparing piperazine-substituted aliphatic carboxylates  
 INVENTOR(S): Hernandez, Pedro E.; Fairfax, David E.; Michalson, Erik T.  
 PATENT ASSIGNEE(S): Salsbury Chemicals, Inc., USA  
 SOURCE: PCT Int. Appl., 18 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029016	A1	20010426	WO 2000-US19625	20000719
W: JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6239277	B1	20010529	US 1999-421514	19991020
EP 1222179	A1	20020717	EP 2000-947505	20000719
EP 1222179	B1	20060621		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 330945	E	20060715	AT 2000-947505	20000719
PRIORITY APPLN. INFO.:			US 1999-421514	A 19991020
			WO 2000-US19625	W 20000719
OTHER SOURCE(S):			CASREACT 134:311229; MARPAT 134:311229	
IT 83881-52-1P, Cetirizine dihydrochloride				
RL: SPN (Synthetic preparation); PREP (Preparation) (piperazine-substituted aliphatic carboxylates)				
RN 83881-52-1 HCAPLUS				
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)				

L7 ANSWER 63 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 dihydrochloride (9CI) (CA INDEX NAME)



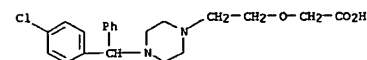
● 2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 64 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 15 Mar 2001  
 AB The zwitterionic antihistamine cetirizine and its parent drug hydroxyzine as reference compound were examined for their 3D structures and dynamics. The 2 basic pKa values, the most common conformations for each elec. species were determined by mol.-dynamics simulations and confirmed by

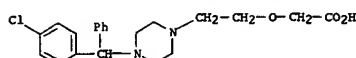
NMR measurements. For cetirizine, the results demonstrate that the zwitterion, which is the predominant species at physiol. pH, exists as folded conformers able to partly mask polar groups. Extended and folded conformers of similar energy were also found for neutral hydroxyzine, whereas its monocationic species displayed folded conformers stabilized by intramol. H-bonds. These findings are in full agreement with previous results on the lipophilicity behavior of cetirizine in isotropic solvent systems and, taken together, could explain the favorable pharmacokinetic properties of the drug.

ACCESSION NUMBER: 2001:176656 HCAPLUS  
 DOCUMENT NUMBER: 135:13852  
 TITLE: Molecular-dynamics and NMR investigation of the property space of the zwitterionic antihistamine cetirizine  
 AUTHOR(S): Ermondi, Giuseppe; Caron, Giulia; Bouchard, Geraldine; Van Balen, Georgette; Plummer, Pagliara, Alessandra; Grandi, Teresa; Carrupt, Pierre-Alain; Fruttero, Roberto; Testa, Bernard  
 CORPORATE SOURCE: DISCAFF, Universita del Piemonte Orientale, Novara, I-28100, Italy  
 SOURCE: Helvetica Chimica Acta (2001), 84(2), 360-374  
 CODEN: HCAVAV; ISSN: 0018-019X  
 PUBLISHER: Verlag Helvetica Chimica Acta  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride  
 RL: PRP (Properties)  
 (mol.-dynamics and NMR investigation of the property space of zwitterionic cetirizine)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 64 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 65 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Dec 2000

AB Enantiomerically pure cetirizine can be prepared by preparative HPLC separation of cetirizine amide. The amide has an  $\alpha$  value of 2.76 (USP resolution of 8.54) using a Chiralpak AD column, and 0.5 weight% of the amide (based on packing material) can be injected per run. More than 1 kg of each enantiomer of cetirizine-2HCl (>99% pure) was produced in this manner.

ACCESSION NUMBER: 2000:859014 HCAPLUS

DOCUMENT NUMBER: 134:168293

TITLE: A Large-Scale Synthesis of Enantiomerically Pure Cetirizine Dihydrochloride Using Preparative Chiral HPLC

AUTHOR(S): Pflum, Derek A.; Wilkinson, H. Scott; Tanoury, Gerald J.; Kessler, Donald W.; Kraus, Hali B.; Senanayake, Chris H.; Wald, Stephen A.

CORPORATE SOURCE: Chemical Research and Development, Sepracor Inc., Marlborough, MA, 01752, USA

SOURCE: Organic Process Research & Development (2001), 5(2), 110-115

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

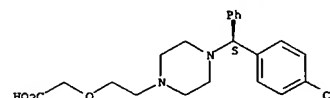
IT 130018-76-7P 130018-77-8P 130018-87-0P

RL: FMU (Formation, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation); USES (Uses) (large-scale synthesis of enantiomerically pure cetirizine -2HCl using preparative chiral HPLC)

RN 130018-76-7 HCAPLUS

CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

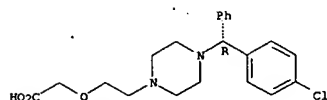


RN 130018-77-8 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

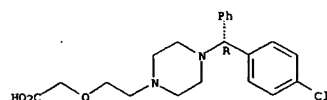
L7 ANSWER 65 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 130018-87-0 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



●2 HCl

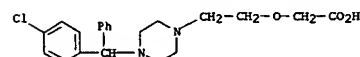
IT 83881-52-1, Cetirizine dihydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(large-scale synthesis of enantiomerically pure cetirizine -2HCl using preparative chiral HPLC)

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



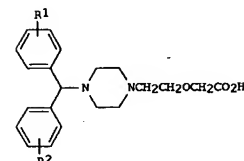
●2 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 66 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 10 Sep 2000

GI



AB Title compds. (I: R1, R2 = H, halo, alkoxy, CF3) were prepared by reaction of the corresponding 2-[4-(diphenylmethyl)-1-piperazinyl]ethanols with R3CH2CH(OR4)OR5 (R1, R2 as above; R3 = leaving group; R4, R5 = alkyl; R4R5 = C2-4 alkylene) in the presence of a proton acceptor in an inert solvent to form a corresponding diphenylmethylpiperazinoethoxyacetaldehyde dialkylacetal, hydrolysis of the acetal to the corresponding aldehyde catalyzed by a proton donor, and oxidation. Thus, 2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethanol in THF was treated with NaH and bromoacetaldehyde di-Et acetal under heating to give 96.7% 2-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetaldehyde di-Et acetal. This was heated with aqueous HCl followed by addition of EtOH,

neutralization with NaOH, and treatment with H2O2 to give 85.3% cetirizine.

ACCESSION NUMBER: 2000:628130 HCAPLUS

DOCUMENT NUMBER: 133:207823

TITLE: Preparation of 2-[2-[4-(diphenylmethyl)-1-piperazinyl]ethoxy]acetates by reaction of 2-[4-(diphenylmethyl)-1-piperazinyl]ethanols with acetaldehyde dialkyl acetals followed by hydrolysis and oxidation.

INVENTOR(S): Fischer, Erik; Treppendahl, Svend Peter

PATENT ASSIGNEE(S): A/S Gea Farmaceutisk Fabrik, Den.

SOURCE: PCT Int. Appl., 20 pp.

CODEN: FIMX02

DOCUMENT TYPE: Patent

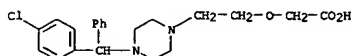
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

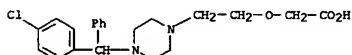
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000052000	A1	20000908	WO 2000-DX90	20000303
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			

L7 ANSWER 66 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RV: CH, CM, KE, L5, MV, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FT, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 DK 9900303 A 20000905 DK 1999-303 19990304  
 CA 2364897 AA 20000908 CA 2000-2364897 20000303  
 EP 1157016 A1 20011128 EP 2000-907458 20000303  
 EP 1157016 B1 20031008  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  
 AT 251617 E 20031015 AT 2000-907458 20000303  
 ES 2208282 T3 20040616 ES 2000-907458 20000303  
 NO 2001004206 A 20010830 NO 2001-4206 20010830  
 NO 318838 B1 20050509  
 PRIORITY APPLN. INFO.: DK 1999-303 A 19990304  
 WO 2000-DK90 W 20000303  
 OTHER SOURCE(S): CASREACT 133:207923; MARPAT 133:207923  
 IT 83881-51-0P, Cetirizine 83881-52-1P, Cetirizine dihydrochloride  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of 2-[2-[4-(diphenylmethyl)-1-piperazinyl]ethoxy]acetates by reaction of 2-[4-(diphenylmethyl)-1-piperazinyl]ethanols with acetaldehyde dialkyl acetals followed by hydrolysis and oxidation)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



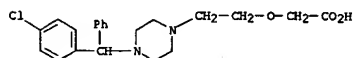
RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

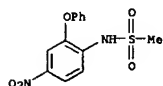
L7 ANSWER 67 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CRN 83881-52-1  
 CMF C21 H25 C1 N2 O3 . 2 Cl H



● 2 HCl

CM 2

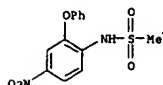
CRN 51803-78-2  
 CMF C13 H12 N2 O5 S



RN 273198-45-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride, mixt. with N-(4-nitro-2-phenoxyphenyl)methanesulfonamide potassium salt (9CI) (CA INDEX NAME)

CM 1

CRN 161639-95-8  
 CMF C13 H12 N2 O5 S . K



● K

CM 2

CRN 83881-52-1  
 CMF C21 H25 C1 N2 O3 . 2 Cl H

L7 ANSWER 67 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 09 Jun 2000  
 AB A novel composition of nimesulide and salts thereof and cetirizine possessing antileukotriene, antihistaminic, antiallergic and antiinflammatory action is disclosed. The composition is useful in the cure of allergic disorders such as rhinitis, bronchitis, asthma, urticaria and the like. Oral administration of 23.32 mg/kg nimesulide and 1.16 mg/kg cetirizine to guinea pigs 2 h before 5ug/kg histamine challenge decreased insufflation pressure from 187.42 to 44.28 mm. A tablet contained nimesulide 200, cetirizine dihydrochloride 10, microcryst. cellulose 100, maize starch 40, PVP k-30 4, sodium lauryl sulfate 1, magnesium stearate 4, colloidal silicone dioxide 6, and sodium starch glycolate 10 mg.  
 ACCESSION NUMBER: 2000:383613 HCAPLUS  
 DOCUMENT NUMBER: 133:22435  
 TITLE: A anti-allergy anti-inflammatory pharmaceutical composition comprising nimesulide and cetirizine  
 INVENTOR(S): Singh, Amarjit; Jain, Rajesh  
 PATENT ASSIGNEE(S): Panacea Biotech Limited, India  
 SOURCE: Eur. Pat. Appl., 15 pp.  
 CODEN: EPXIXW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

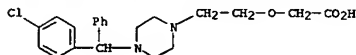
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1005865	A1	20000607	EP 1998-660134	19981204
EP 1005865	B1	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9889392	A1	19990527	AU 1998-89392	19981019
AU 729581	B2	20010201		
RU 2188007	C2	20020827	RU 1998-119676	19981027
ZA 9809878	A	19990506	ZA 1998-9878	19981029
BR 9804593	A	20000606	BR 1998-4993	19981110
CN 1253776	A	20000524	CN 1998-122317	19981113
PRIORITY APPLN. INFO.:				
IT 273198-44-0 273198-45-1				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(anti-allergy anti-inflammatory pharmaceutical composition comprising nimesulide and cetirizine)				
RN 273198-44-0 HCAPLUS				
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride, mixt. with N-(4-nitro-2-phenoxyphenyl)methanesulfonamide (9CI) (CA INDEX NAME)				
CM 1				

IT 273198-44-0 273198-45-1  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anti-allergy anti-inflammatory pharmaceutical composition comprising nimesulide and cetirizine)

RN 273198-44-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride, mixt. with N-(4-nitro-2-phenoxyphenyl)methanesulfonamide (9CI) (CA INDEX NAME)

CM 1

L7 ANSWER 67 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CRN 83881-52-1  
 CMF C21 H25 C1 N2 O3 . 2 Cl H



● 2 HCl

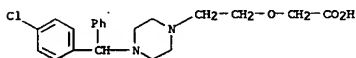
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L7 ANSWER 68 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN  
 ED Entered STN: 05 Jun 2000  
 AB One simple, rapid, and sensitive visible-spectrophotometric method for the determination of cetirizine was based on the formation of ion-pair of cetirizine with bromocresol green in acidic medium, extraction into chloroform and measurement of absorbance at 420 nm. This method was validated statistically and by recovery studies.

ACCESSION NUMBER: 2000:370472 HCAPLUS  
 DOCUMENT NUMBER: 132:339496  
 TITLE: Spectrophotometric determination of cetirizine dihydrochloride in bulk drug and pharmaceutical formulations

AUTHOR(S): Prakash, M. S.; Sundarapandian, M.; Meena, S.; Nagarajan, M. S.  
 CORPORATE SOURCE: Dept. of Pharmaceutical Chemistry, K.M. College of Pharmacy, Madurai, 107, India  
 SOURCE: Indian Drugs (2000), 37(4), 211-212  
 CODEN: INDRBA; ISSN: 0019-462X  
 PUBLISHER: Indian Drug Manufacturers' Association  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine  
 RL: ANT (Analyte); ANST (Analytical study)  
 (spectrophotometric determination of cetirizine in pharmaceutical formulations)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

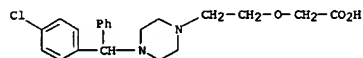


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 69 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN  
 ED Entered STN: 21 Apr 2000  
 AB The development and evaluation of HPLC method for quantifying cetirizine in human serum is described. The method involves liquid phase extraction of cetirizine in methylene chloride, adding diazepam as an internal standard, followed by separation on a reversed phase C18 Novapak column (150x3.9 mm; 4 µm), and employing a UV-detection set at 230 nm at ambient temperature. The mobile phase consists of a 13 mM phosphoric acid solution and acetonitrile (61:39 volume/volume) adjusted to pH 2.8 with 5 M NaOH. The assay is linear from 10 to 500 ng ml<sup>-1</sup> with a detection limit of 5 ng ml<sup>-1</sup> and a mean recovery of 96.5%. The applicability of this method in pharmacokinetic studies is evaluated.

ACCESSION NUMBER: 2000:255910 HCAPLUS  
 DOCUMENT NUMBER: 133:68287  
 TITLE: RP-LC method for the determination of cetirizine in serum

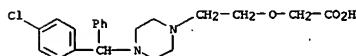
AUTHOR(S): Zaster, M. F.; Tahboub, Y. R.; Najib, N. M.  
 CORPORATE SOURCE: Faculty of Science, Department of Applied Chemical Sciences, Jordan University of Science and Technology, Irbid, Jordan  
 SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2000), 22(5), 739-744  
 CODEN: JPBA; ISSN: 0731-7085  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: ANT (Analyte); ANST (Analytical study)  
 (RP-LC method for determination of cetirizine in serum)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

IT 83881-51-0, Cetirizine  
 RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)  
 (RP-LC method for determination of cetirizine in serum)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

L7 ANSWER 69 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 70 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN  
 ED Entered STN: 03 Mar 2000  
 AB A method is provided for preventing the onset of asthma which comprises administering to a patient a therapeutically effective amount of cetirizine, an individual optical isomer thereof, or a pharmaceutically acceptable salt thereof.

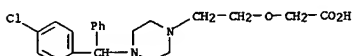
ACCESSION NUMBER: 2000:144716 HCAPLUS  
 DOCUMENT NUMBER: 132:161251  
 TITLE: A method using cetirizine for preventing the onset of asthma

INVENTOR(S): Uyenbroeck, Luc; De Longueville, Marc; Wilmes, Anne; De Clercq, Johan  
 PATENT ASSIGNEE(S): UCB S.A., Belg.  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

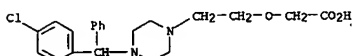
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000010544	A2	20000302	WO 1999-EP5969	19990814
WO 2000010544	A3	20000518		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GN, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 581675	B	20040401	TW 1999-88112517	19990723
CA 2340920	AA	20000302	CA 1999-2340920	19990814
AU 9957346	A1	20000314	AU 1999-57346	19990814
AU 749169	B2	20020620		
EP 1109557	A2	20010627	EP 1999-944395	19990814
EP 1109557	B1	20021127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523362	T2	20020730	JP 2000-565866	19990814
AT 228366	E	20021215	AT 1999-944395	19990814
ES 2188232	T3	20030616	ES 1999-944395	19990814
US 6432961	B1	20020813	US 1999-375414	19990817
US 2002156086	A1	20021024	US 2002-114257	20020403
US 2004029896	A1	20040212	US 2003-635432	20030807
US 2006084683	A1	20060420	US 2005-289434	20051130
PRIORITY APPLN. INFO.:				
			US 1998-96929P	P 19980818
			US 1998-97455P	P 19980821
			WO 1999-EP5969	W 19990814
			US 1999-375414	A3 19990817
			US 2002-114257	B1 20020403
			US 2003-635432	A1 20030807

IT 83881-51-0, Cetirizine 83881-51-0D, Cetirizine, isomers 83881-52-1, Cetirizine dihydrochloride  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cetirizine for preventing asthma onset)

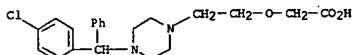
L7 ANSWER 70 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 (9CI) (CA INDEX NAME)



RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 (9CI) (CA INDEX NAME)



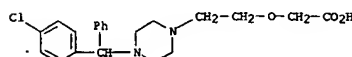
RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 71 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 AT 292956 E 20050415 AT 1999-935313 19990720  
 ES 2238843 T3 20050901 ES 1999-935313 19990720  
 WO 2006057637 A1 20060601 WO 2004-US39507 20041124  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE,  
 LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 PRIORITY APPL. INFO.: US 1998-94069P P 19980724  
 WO 1999-US12840 W 19990720

IT 83881-52-1, Cetirizine dihydrochloride  
 RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of aqueous clear solution dosage forms with bile acids)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 71 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 04 Feb 2000  
 AB Comps. for pharmaceutical and other uses for preparing clear aqueous solns.  
 containing bile acids which do not form ppts. over selected ranges of pH  
 values of the aqueous solution and methods of making such solns. are  
 disclosed.

The comps. of the invention comprise water; a bile acid in the form of a  
 bile acid, bile acid salt, or a bile acid conjugated with an amine by an  
 amide linkage; and a high mol. weight aqueous soluble starch conversion  
 product.

The composition remains in solution without forming a precipitate over a  
 range of pH  
 values and, according to one embodiment, remains in solution all pH values  
 obtainable in an aqueous system. The composition, according to some  
 embodiments,

may further contain a pharmaceutical compound in a pharmaceutically  
 effective amount. A pharmaceutical solution which did not show any  
 precipitation at any  
 pH contained 3a-7b-dihydroxy-5b-cholanic acid 200 mg,  
 maltodextrin 5, preservatives q.s., flavoring agent q.s., sweetener q.s.,  
 and water q.s. 100 mL.

ACCESSION NUMBER: 2000:84582 HCAPLUS  
 DOCUMENT NUMBER: 132:141949  
 TITLE: Preparation of aqueous clear solution dosage forms  
 with bile acids  
 INVENTOR(S): Yoo, Seo Hong  
 PATENT ASSIGNEE(S): USA  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

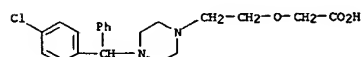
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004875	A2	20000203	WO 1999-US12840	19990720
WO 2000004875	A3	20010503		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2338457	AA	20000203	CA 1999-2338457	19990720
AU 9950819	A1	20000214	AU 1999-50819	19990720
AU 758679	B2	20030327		
EP 1113785	A2	20010711	EP 1999-935313	19990720
EP 1113785	B1	20050413		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9912395	A	20011016	BR 1999-12395	19990720
JP 2002522357	T2	20020723	JP 2000-560868	19990720
RU 2224523	C2	20040227	RU 2001-105906	19990720

L7 ANSWER 72 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 04 Nov 1999  
 AB A polemic in answer to D. Vlastos et al. (ibid. 1998, 11, 104-110) is  
 given regarding cetirizine effects on human lymphocytes. No  
 potential for cetirizine dihydrochloride to induce  
 mutations or chromosome damage in vitro and in vivo was found.  
 Observations on human lymphocytes in vitro reported by Vlastos are  
 unlikely to indicate a safety concern.

ACCESSION NUMBER: 1999:705277 HCAPLUS  
 DOCUMENT NUMBER: 131:317497  
 TITLE: Cetirizine effects on human lymphocytes  
 AUTHOR(S): Roba, Jose  
 CORPORATE SOURCE: Pharma Sector, Chemin du Foriest, Braine-l'Alleud,  
 B-1420, Belg.  
 SOURCE: Skin Pharmacology and Applied Skin Physiology (1999),  
 12(6), 363-364  
 CODEN: SPAPFF; ISSN: 1422-2868  
 PUBLISHER: S. Karger AG  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

IT 83881-51-0, Cetirizine  
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (cetirizine without effects on lymphocyte chromosomes)

RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 (9CI) (CA INDEX NAME)



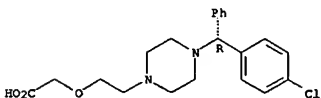
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 73 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN  
 ED Entered STN: 22 Oct 1999  
 AB Methods and pharmaceutical compns. employ (+)-, (-)-, or (±)-cetirizine, or a pharmaceutically acceptable salt thereof, and a leukotriene inhibitor, or a pharmaceutically acceptable salt thereof, or decongestant for the treatment, management, and/or prevention of inflammation, asthma or symptoms thereof, allergic disorders such as allergic rhinitis, and dermatitis. (+)- And (-)-cetirizine-2HCl were prepared by hydrolysis of the corresponding (-)- and (+)- acetonitrile derivs.

ACCESSION NUMBER: 1999:672609 HCAPLUS  
 DOCUMENT NUMBER: 131:303378  
 TITLE: Methods and compositions using cetirizine in combination with leukotriene inhibitors for treating conditions responsive to leukotriene inhibition  
 INVENTOR(S): Rubin, Paul D.  
 PATENT ASSIGNEE(S): Sepracor Inc., USA  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

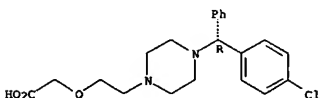
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952553	A1	19991021	WO 1999-US8076	19990413
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6384038	B1	20020507	US 1998-59571	19980414
CA 2328073	AA	19991021	CA 1999-2328073	19990413
AU 9935580	A1	19991101	AU 1999-35580	19990413
EP 1071461	A1	20010131	EP 1999-917463	19990413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002511425	T2	20020416	JP 2000-543163	19990413
US 2002099058	A1	20020725	US 2002-105331	20020326
US 6790849	B2	20040914		
AU 2003204684	A2	20030717	AU 2003-204684	20030613
US 2005032815	A1	20050210	US 2004-939382	20040914
PRIORITY APPLN. INFO.:			US 1998-59571	A 19980414
			AU 1999-35580	A3 19990413
			WO 1999-US8076	W 19990413
			US 2002-105331	A3 20020326
IT 83881-51-OP, Cetirizine 83881-52-1P, Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride 130018-76-7P, Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, (S)-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, (S)-130018-77-8P, Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, (R)-130018-87-0P 163837-48-7P				

L7 ANSWER 73 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



RN 130018-87-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

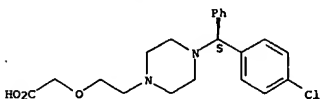
Absolute stereochemistry. Rotation (+).



● 2 HCl

RN 163837-48-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

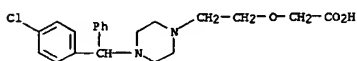
Absolute stereochemistry. Rotation (-).



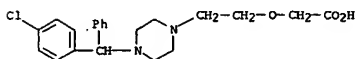
● 2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 73 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of cetirizine enantiomers for use in combination with leukotriene inhibitors for treating conditions responsive to leukotriene inhibition)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



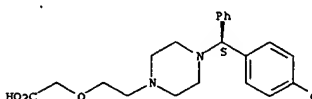
RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 130018-76-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

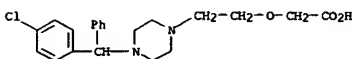
Absolute stereochemistry. Rotation (+).

L7 ANSWER 74 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN

ED Entered STN: 15 Oct 1999  
 AB Effervescent tablets for treatment of acute allergic reactions contain a synergistic combination of an antihistamine and Ca2+. The synergism presumably arises from the Ca2+-mediated stabilization of mast cells against antibody-mediated degranulation and histamine release. The tablets are characterized by rapid release of the active ingredients, good bioavailability, and good patient acceptance. Thus, citric acid 2250, NaHCO3 150, malic acid 100, CaCO3 1250, Na cyclamate, and Na saccharin were granulated with water, the granules were dried, mixed with cetirizine-2HCl 10, maltodextrin 30 parts, and flavoring, and compressed into 4-g tablets.

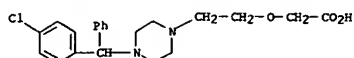
ACCESSION NUMBER: 1999:659634 HCAPLUS  
 DOCUMENT NUMBER: 131:262660  
 TITLE: Calcium-containing effervescent tablet with an antihistamine agent  
 PATENT ASSIGNEE(S): Hermes Fabrik Pharm. Praeparate Franz Gradingner G.m.b.H. und Co., Germany  
 SOURCE: Ger. Offen., 4 pp.  
 CODEN: GWXXRX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19814392	A1	19991007	DE 1998-19814392	19980331
EP 948961	A2	19991013	EP 1999-106452	19990329
EP 948961	A3	20010404		
EP 948961	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 269061	E	20040715	AT 1999-106452	19990329
ES 2223150	T3	20050216	ES 1999-106452	19990329
PRIORITY APPLN. INFO.:			DE 1998-19814392	A 19980331
IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(calcium-containing effervescent tablet with antihistamine agent)				
RN 83881-51-0 HCAPLUS				
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)				



RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 74 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 75 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 16 Sep 1999

AB Novel antiallergy and antiinflammatory compns. comprise nonsteroidal antiinflammatory sulfonamides such as nimesulide or salts and second generation antihistaminics (H1 blockers) such as cetirizine. Capsules were formulated containing nimesulide 200, cetirizine hydrochloride 10, corn starch 80, SDS 1.5, and colloidal silica 3.5 mg.

ACCESSION NUMBER: 1999:583144 HCAPLUS

DOCUMENT NUMBER: 131:189749

TITLE: Novel antiallergy and antiinflammatory compositions

INVENTOR(S): Singh, Amarjit; Jain, Rajesh

PATENT ASSIGNEE(S): Panacea Biotech Ltd., India

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JXOXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11246438	A2	19990914	JP 1998-315195	19981105
JP 3428465	B2	20030722		
IN 188720	A	20021102	IN 1997-DE3185	19971106
CA 2253061	AA	19990506	CA 1998-2253061	19981104
CA 2253061	C	20021203		

PRIORITY APPLN. INFO.:

IT 83881-51-0, Cetirizine 83881-52-1,

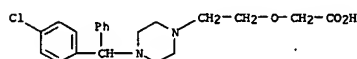
Cetirizine dihydrochloride

RL: THU (Therapeutic use); BTOL (Biological study); USES (Uses)

(novel antiallergy and antiinflammatory compns.)

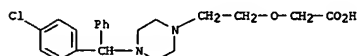
RN 83881-51-0 HCAPLUS

CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L7 ANSWER 75 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 76 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Jun 1999

AB New cetirizinium (Cet) ion selective PVC membrane electrodes of both conventional and coated graphite types based on the ion-pair of cetirizine with tetraphenylborate are prepared. Both electrodes exhibited a mean calibration plot slope of 66.8 mV/(Cet) concentration decade, at 25°, within the concentration range  $3.16 \times 10^{-5}$  -  $3.16 \times 10^{-3}$  mol dm<sup>-3</sup> Cet-HCl2. The change of pH within the range (1.5-2.8) for  $1.0 \times 10^{-3}$  mol dm<sup>-3</sup> and  $1.0 \times 10^{-4}$  mol dm<sup>-3</sup> solns. and (2.25-3.25) for  $1.0 \times 10^{-2}$  mol dm<sup>-3</sup> solution does not affect the electrode performance. Outside the working pH-ranges, the potential value decreases due to formation of diprotonated species on the acidic side and to formation of the free base on the alkaline side. The standard electrode potentials are determined at different temps. and used to calculate the isothermal

coefficient of the electrode (0.00875 V/°). The electrode shows a very

good selectivity for Cet-HCl2 with respect to a large number of inorg.

cations and sugars where selectivity coeffs. ranging between  $7.0 \times 10^{-5}$  and  $3.1 \times 10^{-3}$  were obtained. The standard addition method is

successfully applied to determine Cet-HCl2 in pure solns. and in

cetirizine dihydrochloride-containing tablets.

ACCESSION NUMBER: 1999:351819 HCAPLUS

DOCUMENT NUMBER: 131:23616

TITLE: Plastic membrane selective electrode for cetirizinium

ion based on cetirizinium-tetraphenylborate ion-pair

AUTHOR(S): Shoukry, A. F.; Abdel-Ghani, N. T.; Issa, Y. M.;

Ahmed, H. M.

CORPORATE SOURCE: Chem. Dep., Fac. Sci., Cairo Univ., Giza, Egypt

SOURCE: Electroanalysis (1999), 11(6), 443-446

CODEN: ELANEU; ISSN: 1040-0397

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 83881-52-1, Cetirizine dihydrochloride

RL: ANT (Analyte); ANST (Analytical study)

(plastic membrane selective electrode for cetirizinium ion based on

cetirizinium-tetraphenylborate ion-pair)

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

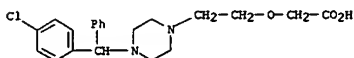


●2 HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 77 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 02 Feb 1999  
 AB Cetrizine 2HCl, an H1 antihistaminic drug, was determined by titration with 0.01 M perchloric acid in non-aqueous medium of 50 mL acetic acid, 5 mL acetic anhydride, 5 mL 6% Hg acetate, and 3 drops of quinidine red indicator. The UV spectrophotometric method measured drug solns. in 0.1 M HCl at 232 nm. Both methods are sufficiently precise, but the non-aqueous volumetry is more practical, is faster and easier, and does not require expensive instrumentation.

ACCESSION NUMBER: 1999:68631 HCAPLUS  
 DOCUMENT NUMBER: 130:187269  
 TITLE: Analysis of cetirizine dihydrochloride by non-aqueous titration and by ultraviolet spectrophotometry  
 AUTHOR(S): Haraguchi, Toshio; Nothenberg, Michael Simon  
 CORPORATE SOURCE: Departamento de Farmacia, Faculdade de Ciencias Farmaceuticas, USP, Sao Paulo, 05389-970, Brazil  
 SOURCE: Revista de Ciencias Farmaceuticas (Sao Paulo) (1998), 19(2), 225-234  
 CODEN: RCFD; ISSN: 0101-3793  
 PUBLISHER: Universidade Estadual Paulista  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Portuguese  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: ANT (Analyte); ANST (Analytical study)  
 (cetirizine 2HCl determination by non-aqueous titration and UV spectrophotometry)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

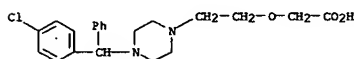
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 78 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 25 Jan 1999  
 AB Pharmaceutical compns. for oral administration, comprise substituted benzhydrylpiperazines and at least a cyclodextrin. A solution of  $\beta$ -cyclodextrin (I) and cetirizine 2HCl (II) at a molar ratio of 2 did not have any bitter taste. Granules contained II 25, I 142, fragrances 2, and saccharose q.s. 1000 parts.

ACCESSION NUMBER: 1999:48623 HCAPLUS  
 DOCUMENT NUMBER: 130:129969  
 TITLE: Pharmaceutical compositions for oral administration comprising substituted benzhydrylpiperazines and a cyclodextrin  
 INVENTOR(S): Fanara, Domenico; Berwaer, Monique; Nolf, Philippe; Vranckx, Henci; Deleers, Michel  
 PATENT ASSIGNEE(S): UCB, S.A., Belg.  
 SOURCE: PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901133	A1	19990114	WO 1998-BE100	19980702
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
BE 1011251	A3	19990601	BE 1997-572	19970703
CA 2294783	AA	19990114	CA 1998-2294783	19980702
AU 9882015	A1	19990125	AU 1998-82015	19980702
AU 727140	B2	20001207		
EP 994710	A1	20000426	EP 1998-931849	19980702
EP 994710	B1	20020925		
EP 994710	B2	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, LI, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9810495	A	20000912	BR 1998-10495	19980702
NZ 501820	A	20001027	NZ 1998-501820	19980702
JP 2002508773	T2	20020319	JP 1999-505984	19990702
AT 224717	E	20021015	AT 1998-931849	19980702
RU 2152863	C2	20021120	RU 1999-128065	19980702
PT 994710	T	20030228	PT 1998-931849	19980702
ES 2184293	T3	20030401	ES 1998-931849	19980702
IL 133397	A1	20050725	IL 1998-133397	19980702
MX 9911899	A	20000630	MX 1999-11899	19991216
US 2002032217	A1	20020314	US 1999-446735	19991223
US 6455533	B2	20020924		
HK 1029060	A1	20041112	HK 2000-108454	20001228
PRIORITY APPLN. INFO.:			BE 1997-572	A 19970703
			WO 1998-BE100	W 19980702

L7 ANSWER 78 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 OTHER SOURCE(S): MARPAT 130:129969  
 IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. for oral administration comprising substituted benzhydrylpiperazines and cyclodextrin)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

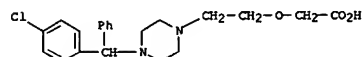


● 2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 79 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 30 Sep 1998  
 AB Cetirizine dihydrochloride, a widely administered antiallergic drug with the amine piperazine in its mol., was studied as to its ability to cause micronucleus formation in human lymphocyte cultures treated in vitro. Peripheral lymphocytes from 4 different donors were cultured and treated with different concns. of the compound. Cetirizine dihydrochloride was shown to induce enhanced micronucleus frequency in a dose-dependent manner, although lymphocytes from the different donors showed different susceptibilities to the compound. The content of induced micronuclei was investigated in 1/4 donors by 2 independent assays, CREST (the application of antikinetochore antibodies) and FISH (fluorescence in situ hybridization) on cytochalasin B-formed binucleated cells. It was shown that the induced micronuclei resulted from breakage events as well as chromosome loss, thus characterizing cetirizine dihydrochloride as both clastogen and aneugen.

ACCESSION NUMBER: 1998:616856 HCAPLUS  
 DOCUMENT NUMBER: 129:339647  
 TITLE: Effects of cetirizine dihydrochloride on human lymphocytes in vitro. Micronucleus induction. Evaluation of clastogenic and aneugenic potential using CREST and FISH assays  
 AUTHOR(S): Vlastos, D.; Stephanou, G.  
 CORPORATE SOURCE: Division of Genetics, Cell and Developmental Biology, Department of Biology, University of Patras, Patras, 26 500, Greece  
 SOURCE: Archives of Dermatological Research (1998), 290(6), 312-318  
 CODEN: ADREDL; ISSN: 0340-3696  
 PUBLISHER: Springer-Verlag  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cetirizine dihydrochloride induced micronucleus in lymphocytes by breakage and chromosome loss)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 80 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 27 Jul 1998

AB Derivative spectrophotometric, colorimetric and HPLC methods for the determination of

the antihistaminic cetirizine in tablets were described. Spectrophotometrically, cetirizine was determined by the measurement of its first (1D) and second (2D) derivative amplitudes at 239 (peak) and 243-233 nm (peak-to-trough), resp. The aqueous solns. obeyed Beer's law in the concentration ranges of 1.2-10.0 and 0.8-10.0 µg mL<sup>-1</sup> for 1D and 2D measurements, resp. The colorimetric procedure was based on measuring the absorbance of the colored chromogen resulted from the reaction between cetirizine sodium salt in polar solvent (DMF) and chloranil at 556 nm. The relation with concns. was linear over 120-250 µg mL<sup>-1</sup>. Optimization of the reaction conditions was studied. At the same time, investigation of the complex formed was made with respect to its composition and the associated constant. A simple HPLC assay was developed for the determination of

cetirizine in the presence of 1 of its synthesis precursors (hydroxyzine-HCl). A Bondapak-C18 column was used with a mobile phase consisting of acetonitrile/0.01M ammonium dihydrogen phosphate (32:68) containing 0.1% tetrabutylammonium hydrogen sulfate adjusted to pH 3 with phosphoric acid at a flow rate of 2 mL min<sup>-1</sup>. With salicylic acid as internal standard, quantitation was achieved with UV detection at 230 nm based on the peak height ratios. Beer's law was obeyed in a concentration range of

3-35 µg mL<sup>-1</sup> and the regression line equation was derived with a correlation coefficient of 0.9999. The validity of the methods was further confirmed using the standard addition method. The proposed procedures were successfully applied to the determination of cetirizine in bulk and tablet form, with high percentage of recovery, good accuracy and precision.

ACCESSION NUMBER: 1998:464122 HCAPLUS

DOCUMENT NUMBER: 129:140781

TITLE: Spectrophotometric and high performance liquid chromatographic determination of cetirizine dihydrochloride in pharmaceutical tablets

AUTHOR(S): El Valily, A. F. M.; Korany, M. A.; El Gindy, A.; Bedair, M. F.

CORPORATE SOURCE: Pharmaceutical Analytical Chemistry Department, Faculty of Pharmacy, Alexandria University, Alexandria, 21521, Egypt

SOURCE: Journal of Pharmaceutical and Biomedical Analysis (1998), 17(3), 435-442

CODEN: JPBADA; ISSN: 0731-7085

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 83881-51-0, Cetirizine

RL: ANT (Analyte); ANST (Analytical study) (spectrophotometric and HPLC determination of cetirizine in tablets)

RN 83881-51-0 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

L7 ANSWER 81 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 20 May 1998

AB The ability of cetirizine dihydrochloride (I) was evaluated to induce chromosome aberrations as well as sister chromatid exchanges (SCEs) in human lymphocyte cultures treated in vitro. The following concns. were tested: 25, 50, 75, 100, and 200 µg/mL. The results revealed that I is capable of inducing chromosome aberrations, at least at 100 and 200 µg/mL. The majority of aberrations was of chromatid type. I is also a weak inducer of SCEs.

ACCESSION NUMBER: 1998:293894 HCAPLUS

DOCUMENT NUMBER: 128:303809

TITLE: Effects of cetirizine dihydrochloride on human lymphocytes in vitro. Evaluation of chromosome aberrations and sister chromatid exchanges

AUTHOR(S): Vlastos, D.; Stephanou, G.; Demopoulos, N. A.

CORPORATE SOURCE: Dep. Biology, Div. Genetics Cell Developmental Biology, Univ. Patras, Patras, 26500, Greece

SOURCE: Skin Pharmacology and Applied Skin Physiology (1998), 11(2), 104-110

CODEN: SPAPFF; ISSN: 1422-2868

PUBLISHER: S. Karger AG

DOCUMENT TYPE: Journal

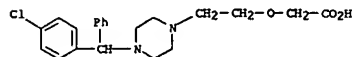
LANGUAGE: English

IT 83881-52-1, Cetirizine dihydrochloride

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effects of cetirizine dihydrochloride on chromosome aberrations and sister chromatid exchanges in human lymphocytes in vitro)

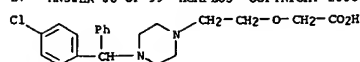
RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 80 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

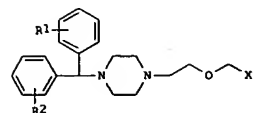


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 82 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 06 Feb 1998

GI



AB [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid derivs. (I; R1, R2 = H, halo, alkoxy, CF3; X = CO2H) were prepared by treatment of I (R2 as above; X = CH2OH) with an oxidizing agent. Thus, hydroxyzine dihydrochloride was treated with Jones reagent in acetone to give 60% cetirizine.

ACCESSION NUMBER: 1998:71125 HCAPLUS

DOCUMENT NUMBER: 128:128028

TITLE: Preparation of cetirizine and related compounds.

INVENTOR(S): Tao, Yong; Karimian, Khshayar; Tam, Tim Fat

PATENT ASSIGNEE(S): Apotex Inc., Can.; Tao, Yong; Karimian, Khshayar; Tam, Tim Fat

SOURCE: PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802425	A1	19980122	WO 1997-CA496	19970711
CA 2180993	AA	19980112	CA 1996-2180993	19960711
US 6046332	A	20000404	US 1999-214714	19990120
PRIORITY APPLN. INFO.:			CA 1996-2180993	A 19960711
			WO 1997-CA496	W 19970711

OTHER SOURCE(S): CASREACT 128:128028; MARPAT 128:128028

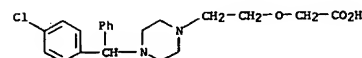
IT 83881-51-0P, Cetirizine

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of cetirizine and related compds.)

RN 83881-51-0 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

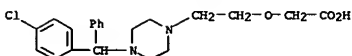


L7 ANSWER 82 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

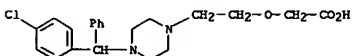
L7 ANSWER 83 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 24 Dec 1997  
 AB Claimed is a dosage form containing cetirizine as an immediate-release component and pseudoephedrine or a pharmaceutically acceptable salt thereof as a sustained-release component. A portion of the pseudoephedrine can also be incorporated as an immediate-release component. The dosage form is free of alcs. having a mol. weight lower than 100 and reactive derivs. thereof. A tablet core containing pseudoephedrine-HCl 240, Avicel PH 101 67.5, Avicel PH 200 214, hydroxypropyl cellulose 10.82, and Mg stearate 2.67 mg was formulated and coated with a membrane composition containing Et cellulose 74.9, polyethylene glycol 32.11, purified water 84.53, and acetone 878.47 mg, followed by a coating composition containing cetirizine-HCl 10, Opadry (YS-5-19010) 19.3, and purified water 303.7 mg, then with a taste-masking composition containing Opadry White (YS-5-18011) 19.70 and purified water 181.80 mg.  
 ACCESSION NUMBER: 1997:801867 HCAPLUS  
 DOCUMENT NUMBER: 128:66483  
 TITLE: Combination dosage form comprising cetirizine and pseudoephedrine  
 INVENTOR(S): Johnson, Barbara A.; Korsmeyer, Richard W.; Oksanen, Cynthia A.  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: Eur. Pat. Appl., 20 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 811374	A1	19971210	EP 1997-303315	19970515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 10045596	A2	19980217	JP 1997-133429	19970523
JP 3174285	B2	20010611		
CA 2206233	AA	19971129	CA 1997-2206233	19970527
CA 2206233	C	20000606		
US 6171618	B1	20010109	US 1997-864490	19970528
US 2002012700	A1	20020131	US 2001-755791	20010105
US 6537573	B2	20030325		
PRIORITY APPLN. INFO.:			US 1996-15865P	P 19960529
			US 1997-864490	A3 19970528
IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride				
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(solid combination dosage form containing cetirizine and pseudoephedrine)				
RN 83881-51-0 HCAPLUS				
CN Acetic acid, [2-[[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)				

L7 ANSWER 83 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



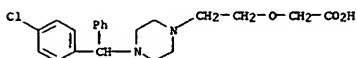
RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



● 2 HCl

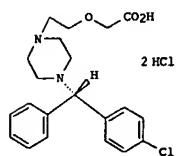
L7 ANSWER 84 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 23 Nov 1996  
 AB Cetirizine dihydrochloride (cetirizine), a potent histamine H1-receptor antagonist, has been developed as an anti-allergy drug. Object: The anti-allergic effects and mechanism of cetirizine were studied using in vitro assay systems. Methods: We investigated the effect of cetirizine on antigen-induced contractions of isolated tracheal strips and on chemical mediator release from antigen-stimulated lung chips taken from passively sensitized guinea pigs. We examined the antigen-induced mobilization of Ca2+ in MC/9 mast cells sensitized with IgE. Results: Cetirizine inhibited the antigen-induced contraction of isolated guinea-pig trachea concentration dependently. Pyrilamine, another histamine H1-receptor antagonist, delayed the response but did not change the maximum amplitude. Cetirizine at the concentration of 3 µM also inhibited the antigen-induced release of histamine, leukotriene D4, and leukotriene E4 from guinea pig lung chips. Furthermore, it inhibited the antigen-induced Ca2+ increase in MC/9 mast cells, whereas pyrilamine did not. Conclusions: These findings suggest that one anti-allergic mechanism of cetirizine may inhibit mediator release which is, at least partially, mediated by a decrease in the transient Ca2+ influx in mast cells.  
 ACCESSION NUMBER: 1996:691139 HCAPLUS  
 DOCUMENT NUMBER: 125:316655  
 TITLE: Effect of cetirizine on antigen-induced tracheal contraction of passively sensitized guinea pigs  
 AUTHOR(S): Dobashi, Kunio; Iizuka, Kunihiko; Houjou, Shnobu; Sakai, Hiromi; Watanabe, Keiko; Mori, Masatomo; Nakazawa, Tsugio  
 CORPORATE SOURCE: School Medicine, Gunma University, Maebashi, 371, Japan  
 SOURCE: Annals of Allergy, Asthma, & Immunology (1996), 77(4), 310-318  
 CODEN: ALAIF6; ISSN: 1081-1206  
 PUBLISHER: American College of Allergy, Asthma, & Immunology  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cetirizine effect on antigen-induced tracheal contraction of passively sensitized guinea pigs)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



10729856

L7 ANSWER 85 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 24 Jul 1996  
G1



AB The first enantioselective synthesis of cetirizine hydrochloride (1) has been developed using the highly stereospecific chiral oxaborolidine (CBS) reduction of 4-[(6-chromium tricarbonylbenzoyl)chlorobenzene] to establish the benzhydryl stereocenter. The chromium tricarbonyl unit also served as the stereocontroller to allow the stereospecific displacement of hydroxyl by amino at the benzylic stereocenter.

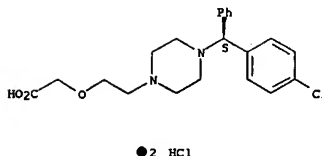
ACCESSION NUMBER: 1996:436539 HCAPLUS  
DOCUMENT NUMBER: 125:221786  
TITLE: Catalytic enantioselective synthesis of the second generation histamine antagonist cetirizine hydrochloride  
AUTHOR(S): Corey, E. J.; Helal, Christopher J.  
CORPORATE SOURCE: Dep. Chem., Harvard Univ., Cambridge, MA, 02138, USA  
SOURCE: Tetrahedron Letters (1996), 37(28), 4837-4840  
CODEN: TELEAY; ISSN: 0040-4039  
PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 125:221786

IT 163837-48-7P, (-)-Cetirizine dihydrochloride  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(enantioselective synthesis of cetirizine dihydrochloride via chiral oxaborolidine reduction of (chromium tricarbonylbenzoyl)chlorobenzene)

RN 163837-48-7 HCAPLUS  
CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L7 ANSWER 85 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L7 ANSWER 86 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 12 Jan 1996

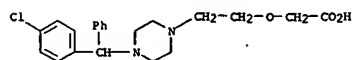
AB A UV spectrophotometric method has been developed for the estimation of cetirizine dihydrochloride, a multifunctional antihistaminic agent, from syrups. Parabens used in the syrup interfered with the direct estimation of the drug. The syrup sample was acidified and extracted with chloroform. The chloroform layer was discarded and the

acidic layer was estimated spectrophotometrically at 230 nm compared with a standard solution of the drug in the acid.

ACCESSION NUMBER: 1996:26332 HCAPLUS  
DOCUMENT NUMBER: 124:97879  
TITLE: Estimation of cetirizine dihydrochloride in syrups  
AUTHOR(S): Garg, Alka; Badwe, Nagesh; Kaul, Pratigya; Sethi, P.D.  
CORPORATE SOURCE: Syntopic Labs Private Limited, Haryana, 121 002, India  
SOURCE: Indian Drugs (1995), 32(8), 409-10  
CODEN: INDRBA; ISSN: 0019-462X  
PUBLISHER: Indian Drug Manufacturers' Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English

IT 83881-51-0, Cetirizine  
RL: ANT (Analyte); ANST (Analytical study)  
(determination of cetirizine in syrups)

RN 83881-51-0 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



L7 ANSWER 87 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 04 Aug 1995

AB An enantioselective synthesis of each enantiomer of the antihistamine drug [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride) was described, involving the preparation of the benzhydrylpiperazine

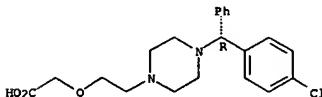
portion of the mol. from reaction of each enantiomer of 4-chlorobenzhydrylamine with N,N-bis(2-chloroethyl)-4-methylbenzenesulfonamide. A modification of standard toluenesulfonamide deprotection with hydrogen bromide in acetic acid was introduced, substituting 4-hydroxybenzoic acid for phenol.

ACCESSION NUMBER: 1995:720813 HCAPLUS  
DOCUMENT NUMBER: 123:313718  
TITLE: A novel synthesis of the enantiomers of an antihistamine drug by piperazine formation from a primary amine  
AUTHOR(S): Opalka, C. J.; D'Ambra, T. E.; Faccone, J. J.; Bodson, G.; Cossement, E.  
CORPORATE SOURCE: Albany Molecular Res., Inc., Albany, NY, 12203, USA  
SOURCE: Synthesis (1995), (7), 766-8  
CODEN: SYNTHF; ISSN: 0039-7881  
PUBLISHER: Thieme  
DOCUMENT TYPE: Journal  
LANGUAGE: English

IT 130018-87-0P, Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride, (+) 163837-48-7P  
, Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride, (-)  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of cetirizine enantiomers)

RN 130018-87-0 HCAPLUS  
CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



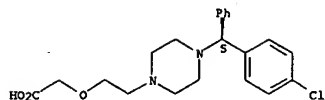
● 2 HCl

RN 163837-48-7 HCAPLUS  
CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

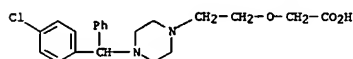


L7 ANSWER 87 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

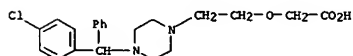
IT 83881-52-1P, Cetirizine dihydrochloride  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of cetirizine enantiomers)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)



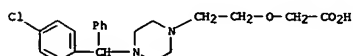
● 2 HCl

L7 ANSWER 88 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Preparation)  
 (prepn. of cetirizine and its dihydrochloride)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 (9CI) (CA INDEX NAME)

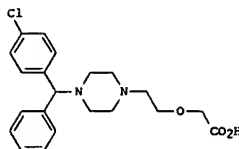


RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 88 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 12 Jul 1995  
 GI



AB The antiallergic agent cetirizine (I) and its di-HCl salt are prepared via 2-phase reaction of 2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethanol (II) with ClCH<sub>2</sub>CO<sub>2</sub>H (III), in which an inert organic solvent containing II and III constitutes one phase, and a solid alkali metal hydroxide constitutes the other. For example, a mixture of II.2HCl and solid NaOH in PhMe was refluxed for 3 h, followed by addition of III in Et<sub>2</sub>O over 0.5 h and boiling for an addnl. 1.5 h. Aqueous extractive workup gave some recovered II in the organic phase, and neutralization of the remainder to pH 4 and extraction gave I, which was further acidified to give I.2HCl in 60% yield (67% with recycling of unreacted II).

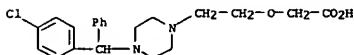
ACCESSION NUMBER: 1995:665177 HCAPLUS  
 DOCUMENT NUMBER: 123:55923  
 TITLE: Method of obtaining 2-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid [cetirizine] and its dihydrochloride  
 INVENTOR(S): Bobrowska, Ewa; Stelmach, Piotr; Kalbarczyk, Elzbieta; Witkowska, Teresa  
 PATENT ASSIGNEE(S): Warszawskie Zakłady Farmaceutyczne "Pofa", Pol.  
 SOURCE: Pol., 4 pp.  
 CODEN: POXXA7  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Polish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 163415	B1	19940331	PL 1990-287224	19901008
PRIORITY APPLN. INFO.: CASREACT 123:55923				
OTHER SOURCE(S): IT 83881-51-0P, Cetirizine 83881-52-1P, Cetirizine dihydrochloride				
RI: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP				

L7 ANSWER 89 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

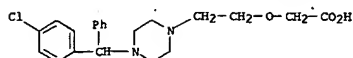
ED Entered STN: 09 May 1995  
 AB The bioavailability of two tablet formulations of cetirizine (I) (Zetir and Zyrtek) were compared in 14 healthy male volunteers who received a single dose of 10 mg of I.2HCl in an open randomized two-period crossover design with a 7-day washout period between doses. Plasma samples were obtained over a 24 h interval and I concns. were determined by HPLC with UV detection. From the plasma I concentration vs. time curves, AUC(0-24) (area under the concentration vs. time curves from 0 to 24 h), C<sub>max</sub> (maximum achieved concentration), T<sub>max</sub> (time to achieve C<sub>max</sub>), K<sub>e</sub> (terminal order elimination constant), elimination half-life (t<sub>1/2</sub>) and AUC(0-∞) (area under the concentration vs. time curves extrapolated to infinity) were obtained. The two I.2HCl tablet brands did not show statistically significant differences in bioavailability as assessed by anal. of AUC(0-24), AUC(0-∞), C<sub>max</sub>, T<sub>max</sub>, K<sub>e</sub> and t<sub>1/2</sub> values. Based on these results and on the U.S. Food and Drug Administration requirements [1985, 1993], we conclude that both formulations are bioequivalent.

ACCESSION NUMBER: 1995:535357 HCAPLUS  
 DOCUMENT NUMBER: 122:298811  
 TITLE: Comparative bioavailability of single doses of tablet formulations of cetirizine dihydrochloride in healthy male volunteers  
 AUTHOR(S): Muscara, M. N.; de Nucci, G.  
 CORPORATE SOURCE: Department Pharmacology, Faculty Medical Sciences, Campinas, 13084-100, Brazil  
 SOURCE: International Journal of Clinical Pharmacology and Therapeutics (1995), 33(1), 27-31  
 CODEN: ICTHEK; ISSN: 0946-1965  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride  
 RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (comparative bioavailability of single doses of tablet formulations of cetirizine dihydrochloride in humans)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 (9CI) (CA INDEX NAME)



RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 89 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN. (Continued)



● 2 HCl

L7 ANSWER 90 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 01 Feb 1995

AB Modern non-sedating histamine H<sub>1</sub>-receptor antagonists (e.g. terfenadine, temelastine, cetirizine, astemizole) are considered to be devoid of CNS side-effects because, as a result of their physicochem. properties, they do not cross the blood-brain barrier (BBB) in sufficient amounts. In the present study lipophilicity parameters considered to be of importance for brain penetration capability (such as logP<sub>oct</sub>, logD<sub>oct</sub>, 7.4, AlogP and +and;alkane) were determined for a series of structurally different sedating and non-sedating histamine H<sub>1</sub>-receptor antagonists. These parameters were obtained from logP<sub>oct</sub> and logP<sub>alk</sub> values measured by centrifugal partition chromatog. (CPC), a new and efficient method for measuring partition coeffs. From the lipophilicity data obtained it appears that the (non)-sedative effects of antihistamines cannot be correctly accounted for by brain penetration models that use only H-bonding (AlogP) or hydration capacity (+and;alkane) as a parameter. Indeed, in this series of usually basic H<sub>1</sub>-blockers, ionization also appears to play an important role. We conclude that sedative effects displayed by antihistamines are better explained by the parameter logD<sub>oct</sub>, 7.4, the octanol/water distribution coefficient of both neutral and ionized species at pH 7.4. For neutral organic compds. it was found that brain penetration is highest if they have a logP<sub>oct</sub> value of approx. 2 ('principle of minimal hydrophobicity'). Our data suggest that this principle is also applicable to ionizable drugs when logD<sub>oct</sub>, 7.4 is used instead of logP<sub>oct</sub>. A tentative qual. model for designing antihistamines without CNS side-effects is presented.

ACCESSION NUMBER: 1995:320551 HCAPLUS

DOCUMENT NUMBER: 122:177674

TITLE: Lipophilicity and hydrogen-bonding capacity of H<sub>1</sub>-antihistaminic agents in relation to their central sedative side-effectsAUTHOR(S): ter Laak, A. M.; Tsai, R. S.; Donne-Op den Gelder, G. M.; Carrupt, P.-A.; Testa, B.; Timmerman, H.  
CORPORATE SOURCE: Leiden/Amsterdam Center for Drug Research, Dept. of Pharmacology, Faculty of Chemistry, Vrije Universiteit, de Boelelaan 1083, 1081HV, Amsterdam, Neth.

SOURCE: European Journal of Pharmaceutical Sciences (1994), 2(5/6), 373-84

CODEN: EPSCED; ISSN: 0928-0987

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

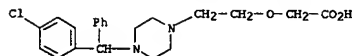
IT 83881-52-1, Cetirizine hydrochloride

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(lipophilicity and hydrogen-bonding capacity of H<sub>1</sub>-antihistaminic agents in relation to central sedative side-effects)

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 90 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN. (Continued)



● 2 HCl

L7 ANSWER 91 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 23 Nov 1994

AB The edema disk technique was used to study the effects of orally administered H<sub>1</sub>-receptor antagonists (cetirizine, chloropyramine, clemastine, cyproheptadine, dimethindene, loratadine, mequitazine and terfenadine) on the inflammation induced with capsaicin or croton oil in the mouse ear, and the effect of topically applied dimethindene maleate gel on the inflammation induced with croton oil in the mouse ear. In rats of the Wistar strain, edema was induced in the hind paw by the subplantar injection of dextran or compound 48/80. Preliminary antihistamine treatment inhibited the development of edema in the mouse ear, and of edema in the rat paw, to statistically significant extents, in a dose-dependent manner. In all expts., the most potent drugs were loratadine and cyproheptadine.

ACCESSION NUMBER: 1995:209169 HCAPLUS

DOCUMENT NUMBER: 122:45976

TITLE: Anti-edematous action of some H<sub>1</sub>-receptor antagonists

AUTHOR(S): Blazso, G.; Gabor, M.

CORPORATE SOURCE: Inst. Pharmacodynamics, Albert Szent-Gyorgyi Med. Univ., Szeged, H-6720, Hung.

SOURCE: Agents and Actions (1994), 42(1/2), 13-18

CODEN: AGACBH; ISSN: 0065-4299

PUBLISHER: Birkhauser

DOCUMENT TYPE: Journal

LANGUAGE: English

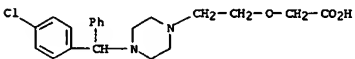
IT 83881-52-1, Cetirizine dihydrochloride

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anti-edematous action of H<sub>1</sub>-receptor antagonists)

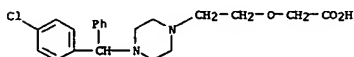
RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

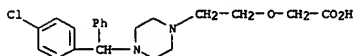


● 2 HCl

L7 ANSWER 92 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 26 Dec 1992  
 AB A sensitive and precise HPLC method for the determination of cetirizine in bulk and pharmaceutical dosage forms is reported. Separation was achieved on a  $\mu$  Bondapak C18 column using MeCN-0.01M potassium dihydrogen orthophosphate (70:30) as eluent. p-Chlorobenzophenone was used as the internal standard. Evaluation of the compds. was done at ambient temperature using a UV detector at 230 nm.  
 ACCESSION NUMBER: 1992:658368 HCAPLUS  
 DOCUMENT NUMBER: 117:259368  
 TITLE: HPLC determination of cetirizine dihydrochloride  
 AUTHOR(S): Suryanarayana, M. V.; Reddy, B. Pardhasaradhi; Krupadanam, G. L. David; Venkatraman, S.; Sastry, C. S. P.  
 CORPORATE SOURCE: Res. Dev. Div., 7-1-27, Dr. Reddy's Lab. Ltd., Hyderabad, 500 016, India  
 SOURCE: Indian Drugs (1992), 29(13), 605-7  
 CODEN: INDRBA; ISSN: 0019-462X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine  
 RL: ANT (Analyte); ANST (Analytical study) (determination of, in pharmaceutical by HPLC)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

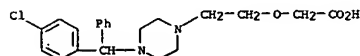


L7 ANSWER 93 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

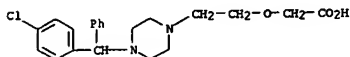


L7 ANSWER 93 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 20 Sep 1992  
 AB Exposure of non-sensitized Brown Norway (BN) rats to a 10 $\mu$ g-ovalbumin aerosol induced an increase in the number of neutrophils in the broncho-alveolar lavage (BAL) fluid 3 and 6 h later but with no change in number of cells at 24 h. When the BN rats were actively sensitized (i.m. injection of 10 mg/kg ovalbumin and i.p. injection of killed Bordetella pertussis) and exposed 12-14 days later to a 10 $\mu$ g-ovalbumin aerosol there was an increase in the number of eosinophils in the BAL fluid, maximal 24-48 h after the anaphylactic reaction. The increase in the number of neutrophils in the bronchial lumen 3 and 6 h after the anaphylactic reaction was larger than the obtained in non-specific inflammation and in contrast to this was still present 24-48 h after ovalbumin exposure. In passively sensitized BN rats exposed to ovalbumin aerosol, no inflammation appeared in the BAL fluid 24 h after the anaphylactic reaction. Various drugs, administered twice, 5 min and 5 h after the anaphylactic reaction, have been evaluated for their effects on the 24-h inflammation obtained in actively sensitized rats. Dexamethasone acetate (0.08 mg/kg i.p.) and theophylline (50 mg/kg i.p.) decreased the number of eosinophils and neutrophils. Ketotifen fumarate (12.5 mg/kg), cetirizine dihydrochloride (12.5 mg/kg), salbutamol (2 mg/kg), disodium cromoglycate (50 mg/kg) all given i.p., reduced the number of eosinophils. Tioxanast decreased the number of eosinophils at 12.5 mg/kg i.p. and by the oral route. At higher doses (50 mg/kg i.p.: 150 mg/kg oral), it reduced the number of eosinophils and neutrophils. Indomethacin (5 mg/kg), mepyramine maleate (12.5 mg/kg) and atropine sulfate (1 mg/kg) given i.p. were inactive. Thus, 24-h inflammation after an aerosol-induced anaphylactic reaction in actively sensitized BN rats appears a useful model to study the action of the antiallergic and antiasthma drugs on a IgE-mediated bronchial inflammation.  
 ACCESSION NUMBER: 1992:503861 HCAPLUS  
 DOCUMENT NUMBER: 117:103861  
 TITLE: Model of bronchial allergic inflammation in the Brown Norway rat. Pharmacological modulation  
 AUTHOR(S): Tarayre, J. P.; Allaga, M.; Barbara, M.; Tisseyre, N.; Vieu, S.; Tisse-Versailles, J.  
 CORPORATE SOURCE: Cent. Rech. Pierre Fabre, Castres, 81106, Fr.  
 SOURCE: International Journal of Immunopharmacology (1992), 14(5), 847-55  
 CODEN: IJIMDS; ISSN: 0192-0561  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine  
 RL: BIOL (Biological study) (anti-asthmatic and antiallergy activity of, in Brown Norway rat model of bronchial allergic inflammation)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

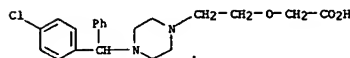
L7 ANSWER 94 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 23 Sep 1991  
 AB Since the demonstration of an inhibiting effect of cetirizine on an antigen induced eosinophils' migration in the skin of atopic subjects, a series of in vitro and in vivo studies were performed in order to clarify the mechanism of action of this new H1-blocker. The studies used FPLP and PAF as agonists, and BN 52021, dexchlorpheniramine, terfenadine and loratadine as reference compds. The results suggest that the inhibiting effect of cetirizine on the eosinophils' migration is independent of its specific H1 blocking activity.  
 ACCESSION NUMBER: 1991:505794 HCAPLUS  
 DOCUMENT NUMBER: 115:105794  
 TITLE: The inhibiting effect of cetirizine dihydrochloride on eosinophil migration and its link to H1-blockade  
 AUTHOR(S): Rihoux, J. P.  
 CORPORATE SOURCE: Int. Prod. Dev. Dep., UCB S. A., Braine l'Alleud, 1420, Belg.  
 SOURCE: Agents and Actions Supplements (1991), 33(New Perspect. Histamine Res.), 409-15  
 CODEN: AASUDJ; ISSN: 0379-0363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0  
 RL: BIOL (Biological study) (eosinophil migration inhibition by, H1 blockade in relation to, in humans)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



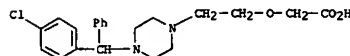
L7 ANSWER 95 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 26 Jan 1991  
 AB Histamine-induced wheals and flares were measured in 7 healthy volunteers 0, 4, and 8 h after oral intake of cetirizine, 2.5, 5, and 10 mg; loratadine, 10, 20, and 40 mg; and placebo. Cetirizine (2.5, 5, and 10 mg) and loratadine (20 and 40 mg) inhibited the histamine-induced wheals at all expl. times and with all histamine concns. This was not always the case with loratadine, 10 mg. Cetirizine, 2.5 mg, was as potent in inhibiting the histamine skin reactivity as loratadine, 10 mg.  
 ACCESSION NUMBER: 1991:17246 HCAPLUS  
 DOCUMENT NUMBER: 114:17246  
 TITLE: Compared peripheral H1 inhibiting effects of cetirizine dihydrochloride and loratadine  
 AUTHOR(S): Rihoux, J. P.; Ghys, L.; Coulie, P.  
 CORPORATE SOURCE: UCB, SA; Pharm. Sect., Belg.  
 SOURCE: Annals of Allergy (1990), 65(2), 139-42  
 CODEN: ANAE3; ISSN: 0003-4738  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine  
 RL: BIOL (Biological study)  
 (histamine inhibition by, in humans, loratadine in relation to)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



L7 ANSWER 96 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 130018-77-8F 130018-87-0P 130018-89-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, via hydrolysis of corresponding nitrile)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



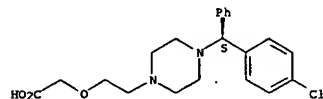
RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

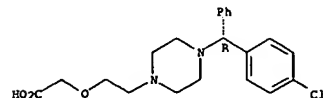
RN 130018-76-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

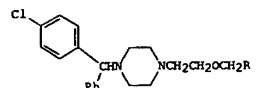


RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 96 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 23 Nov 1990  
 GI



AB Antiallergic cetirizine (I; R = CO2H) (II) and its dihydrochloride are prepared via acid or base hydrolysis of the corresponding nitrile (I; R = cyano) (III) in an aqueous and/or alc. medium. The method is also applied to preparation of d- and l-II. Thus, hydrolysis of

(±)-III (preparation given) by refluxing with 4N KOH in EtOH gave 75.9% (±)-II. 2HCl after treatment with concentrated HCl.

ACCESSION NUMBER: 1990:591396 HCAPLUS

DOCUMENT NUMBER: 113:191396

TITLE: Process for preparation of cetirizine, its dihydrochloride, and optical isomers via hydrolysis and corresponding nitriles

INVENTOR(S): Cossement, Eric; Motte, Genevieve; Bodson, Guy;

Gobert, Jean

UCB S. A., Belg.

SOURCE: Brit. UK Pat. Appl., 13 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

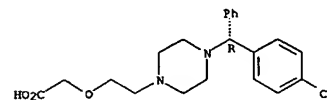
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2225321	A1	19900530	GB 1989-26243	19891121
GB 2225321	B2	19920408		
CA 1317300	A1	19930504	CA 1989-614709	19890929
DK 8905867	A	19900524	DK 1989-5867	19891122
DK 174543	B1	20030519		
NO 8904651	A	19900525	NO 1989-4651	19891122
NO 172342	B	19930329		
NO 172342	C	19930707		
HU 53627	A2	19901128	HU 1989-6131	19891122
HU 205094	B	19920330		
ES 2021907	A6	19911116	ES 1989-3975	19891122
PL 161379	B1	19930630	PL 1989-282410	19891122
FI 91862	B	19940513	FI 1989-5564	19891122
FI 91862	C	19940825		
KR 9709728	B1	19970617	KR 1989-17040	19891123
PRIORITY APPL. INFO.:			GB 1988-27391	A 19881123
OTHER SOURCE(S):		CASREACT 113:191396; MARPAT 113:191396		
IT 83881-51-0P 83881-52-1P 130018-76-7P				

L7 ANSWER 96 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 130018-87-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

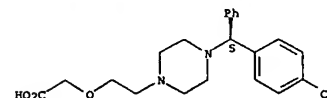
Absolute stereochemistry. Rotation (+).



● 2 HCl

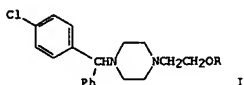
RN 130018-89-2 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L7 ANSWER 97 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 23 Nov 1990  
GI



AB Antiallergic cetirizine (I; R = CH<sub>2</sub>CO<sub>2</sub>H) (II) and its dihydrochloride are prepared via etherification of ethanol derivative (I; R = H) (III) with an alkali metal haloacetate in the presence of an alkali metal alcoholate. For example, III (preparation given) reacted with excess ClCH<sub>2</sub>CO<sub>2</sub>Na and KOBu-tert in tert-BuOH at 75-80° to give 55.5% II, which was treated with concentrated HCl in H<sub>2</sub>O to give 88%

II.2HCl.

ACCESSION NUMBER: 1990:591395 HCAPLUS  
DOCUMENT NUMBER: 113:191395  
TITLE: Process for the preparation of cetirizine and its dihydrochloride via etherification with haloacetates  
INVENTOR(S): Cossement, Eric; Gobert, Jean; Bodson, Guy  
PATENT ASSIGNEE(S): UCB S. A., Belg.  
SOURCE: Brit. UK Pat. Appl., 8 pp.  
CODEN: BAKXDU  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2225320	A1	19900530	GB 1989-26242	19891121
GB 2225320	B2	19920902		
CA 1320732	A1	19930727	CA 1989-614708	19890929
DK 8905865	A	19900524	DK 1989-5865	19891122
DK 174289	B1	20021118		
NO 8904650	A	19900525	NO 1989-4650	19891122
NO 172287	B	19930322		
NO 172287	C	19930630		
HU 53626	A2	19901128	HU 1989-6130	19891122
HU 208002	B	19930728		
ES 2018967	A6	19910516	ES 1989-3974	19891122
PL 161374	B1	19930630	PL 1989-282411	19891122
SU 1838306	A3	19930830	SU 1989-4742406	19891122
FI 91861	B	19940513	FI 1989-5563	19891122
FI 91861	C	19940825		
KR 9709727	B1	19970617	KR 1989-17039	19891123
PRIORITY APPL. INFO.: IT 130018-91-6P			GB 1988-27390	A 19881123

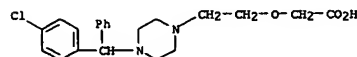
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L7 ANSWER 98 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 26 May 1989

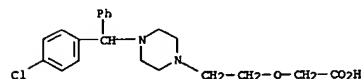
AB The efficacy of a single oral dose of 10 mg cetirizine in inhibiting histamine-induced wheal (W) and flare (F) reactions was evaluated and compared to placebo and 5 mg mequitazine, in atopic and healthy subjects. Histamine (H) at 10 or 100 µg/mL was injected in the forearm before and 2, 4, and 6 h following the drug ingestion. The W and F area was measured by planimetry 10 min after histamine injection. Cetirizine was superior to placebo and mequitazine V and F reactions, induced by both concns. of H. Furthermore, cetirizine's anti-H1 cutaneous effects were observed earlier, were more pronounced, and lasted longer.

ACCESSION NUMBER: 1989:185584 HCAPLUS  
DOCUMENT NUMBER: 110:185584  
TITLE: Inhibition of histamine-induced wheal and flare reactions by cetirizine dihydrochloride and mequitazine both in healthy and atopic subjects: a comparative double blind placebo controlled study  
AUTHOR(S): Sidiropoulos, John; Volonakis, Michael; Kontou-Fili, Kalliope  
CORPORATE SOURCE: Sect. Allergy, Laikon Gen. Hosp., Athens, 11527, Greece  
SOURCE: Epitheoresis Klinikes Farmakologias kai Farmakokinetics, International Edition (1988), 2(2), 110-20  
CODEN: EPKEEB; ISSN: 1011-6583  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
IT 83881-51-0, Cetirizine  
RL: BIOL (Biological study)  
(wheal-flare reaction in skin from histamine inhibition by)  
RN 83881-51-0 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



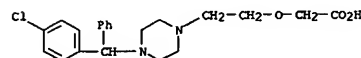
L7 ANSWER 97 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Reactant or reagent)  
(prepn. and neutralization of)  
RN 130018-91-6 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, sodium salt (9CI) (CA INDEX NAME)

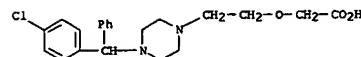


● Na

IT 83881-51-0P 83881-52-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, via etherification of piperazinylethanol derivative with haloacetate)  
RN 83881-51-0 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



RN 83881-52-1 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

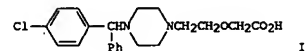


● 2 HCl

L7 ANSWER 99 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

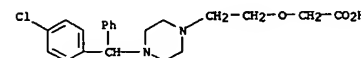
ED Entered STN: 30 Apr 1988

GI



AB The metabolism and pharmacokinetics of cetirizine (I), a new H<sub>1</sub>-receptor antagonist, were investigated. Single oral doses of [14C] cetirizine dihydrochloride (10 mg) in aqueous solution were administered to healthy male volunteers. The drug was rapidly absorbed; the peak mean concentration of radioactivity (359 ng-equivalent/mL) and of unchanged drug (341 ng/mL) were achieved within 1 h. Mean concns. of cetirizine declined biexponentially and had a mean elimination half-life of 7.4 h. The drug was excreted quite rapidly, with 60% of the dose recovered in the 24-h urine. An addnl. 10% was excreted in urine over the next 4 days. Approx. 10% of the dose was excreted in feces over the 5-day study period. The dose was excreted mainly as the unchanged drug. Examination of the radioactive compds. present in the plasma, and excreted in the urine and feces indicate that there is little metabolism of cetirizine. One minor metabolite, formed by oxidative O-dealkylation of the cetirizine side chain, was detected in plasma and feces.

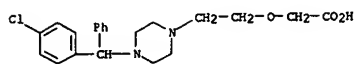
ACCESSION NUMBER: 1988:143030 HCAPLUS  
DOCUMENT NUMBER: 108:143030  
TITLE: The metabolism and pharmacokinetics of 14C-cetirizine in humans  
AUTHOR(S): Wood, Stuart G.; John, Brian A.; Chasseaud, Leslie F.; Yeh, Jen; Chung, Menger  
CORPORATE SOURCE: Dep. Metab., Huntingdon Res. Cent. Ltd., Huntingdon/Cambridgeshire, PE1 8GES, UK  
SOURCE: Annals of Allergy (1987), 59(6, Pt. 2), 31-4  
CODEN: ANAEAS; ISSN: 0003-4738  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
IT 83881-51-0D, metabolites  
RL: FORM (Formation, nonpreparative)  
(formation of, in humans)  
RN 83881-51-0 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



IT 83881-51-0  
RL: BPN (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(metabolism and pharmacokinetics of, in humans)  
RN 83881-51-0 HCAPLUS

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L7 ANSWER 99 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
(9CI) (CA INDEX NAME)



10729856

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L7 ANSWER 1 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN

ED Entered STN: 18 Sep 2006

AB A review. Histamine plays a prominent and diverse role in the pathophysiol. of allergic disease and therapeutic intervention is therefore typically focused on blocking the effects of this biogenic amine. A new antihistamine, levocetirizine, is the R-enantiomer of cetirizine dihydrochloride and like its parent compound undergoes minimal hepatic metabolism. Levocetirizine has pharmacodynamically and pharmacokinetically favorable characteristics, including high bioavailability, rapid onset of action, limited distribution and a low degree of metabolism. Clin. trials indicate that it is safe and effective

for the treatment of allergic rhinitis and chronic urticaria with a minimal number of untoward effects. Furthermore, several recent studies have demonstrated that, in addition to its being a potent antihistamine, levocetirizine has several anti-inflammatory effects that are observed at clin. relevant concns. that may enhance its therapeutic benefit.

ACCESSION NUMBER: 2006:960785 HCAPLUS

DOCUMENT NUMBER: 145:305435

TITLE: Levocetirizine: an update

AUTHOR(S): Walsh, Garry M.

CORPORATE SOURCE: Department of Medicine and Therapeutics, School of

Medicine, Institute of Medical Sciences, University of

Aberdeen, Foresterhill, Aberdeen, AB25 22D, UK

SOURCE: Current Medicinal Chemistry (2006), 13(22), 2711-2715

CODEN: CMCH7; ISSN: 0929-8673

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal/ General Review

LANGUAGE: English

IT 130018-77-8, Levocetirizine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological

activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

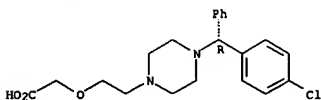
(antihistamine levocetirizine)

RN 130018-77-8 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-

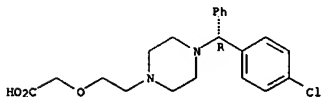
piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

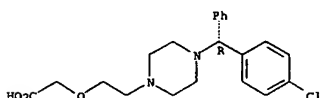


RN 130018-87-0 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-

piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



●2 HCl

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN

ED Entered STN: 20 Jul 2006

AB Chiral separation of cetirizine, a second-generation H1-antagonist, was studied by CD-mediated CE. Several parameters, including pH, CD type, buffer concentration, type of co-ion, applied voltage and temperature, were investigated. The best conditions for chiral separation were obtained

using a 75 mM triethanolamine-phosphate buffer (pH 2.5) containing 0.4 mg/mL heptakis(2,3-diacetyl-6-sulfato)-β-CD and 10% ACN. Online UV detection was performed at 214 nm, a voltage of 20 kV was applied and the capillary was temperature controlled at 25° by liquid cooling.

Hydrodynamic injection was performed for 1 s. The method was validated for the quantification of levocetirizine in tablets and for enantiomeric purity testing of the drug substance. Selectivity, linearity, LOD and LOQ, precision and accuracy were evaluated for both methods. The amount of levocetirizine dihydrochloride in the com. available tablets was quantified and was found to be within the specification limits of the claimed amount (5 mg). The amount of diastereomer in levocetirizine drug substance was found to be 0.87±0.09% weight/weight, which is in agreement with the certificate of anal. supplied by the company.

ACCESSION NUMBER: 2006:704036 HCAPLUS

DOCUMENT NUMBER: 145:299937

TITLE: Chiral separation of cetirizine by capillary

electrophoresis

AUTHOR(S): Van Eckhaut, Ann; Michotte, Yvette

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Drug Analysis

and Drug Information, Pharmaceutical Institute, Vrije

Universiteit Brussel, Brussels, Belg.

SOURCE: Electrophoresis (2006), 27(12), 2376-2385

CODEN: ELCTDN; ISSN: 0173-0835

PUBLISHER: Wiley-VCH Verlag GmbH &amp; Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 83881-51-0, Cetirizine 130018-77-8,

Levocetirizine 130018-87-0, Levocetirizine

dihydrochloride

RL: ANT (Analyte); ANST (Analytical study)

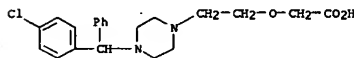
(chiral separation of cetirizine by capillary electrophoresis)

RN 83881-51-0 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 130018-77-8 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-

piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L7 ANSWER 3 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN

ED Entered STN: 14 Jul 2006

AB Accuracy and reliability of the anal. results are crucial for ensuring quality, safety, and efficacy of pharmaceutical products. However, to ensure these criteria, anal. validation is required. In this matter, many official documents describing the criteria of validation are available.

However, these concern mainly chromatog. anal. and bio-anal. methods of pharmaceutical products, but they do not propose any expl. protocol for direct potentiometric methods using ion-selective electrodes applied in pharmaceutical anal.

In this work, we are proposing a validation strategy based on the normative and regulatory guidelines applied to a potentiometric method with a polymeric membrane selective to cetirizine dihydrochloride. The statistical anal.

obtained from raw data is described for all steps of this protocol. Also this validated method was successfully applied to the determination of cetirizine in pharmaceutical creps. using direct potentiometry with a mean relative standard deviation of 0.57% and a mean recovery of 99.63%.

ACCESSION NUMBER: 2006:682508 HCAPLUS

DOCUMENT NUMBER: 145:90259

TITLE: Analytical validation of potentiometric method for

cetirizinium ion

AUTHOR(S): Rachidi, M.; Digua, K.; Hubert, P.; Faozi, My. A.

Cherrah, Y.; Bouklouze, A.

CORPORATE SOURCE: Laboratory of Pharmacology and Toxicology, Faculty of

Medicine and Pharmacy, University Mohammed V, Souissi

Rabat, Morocco

SOURCE: Analytical Letters (2006), 39(8), 1699-1708

CODEN: ANALBP; ISSN: 0003-2719

PUBLISHER: Taylor &amp; Francis, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 83881-52-1, Zyrtec

RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL

(Biological study); USES (Uses)

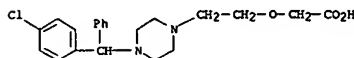
(anal. validation of potentiometric method for cetirizine

dihydrochloride)

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-,

dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L7 ANSWER 4 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 16 Jun 2006  
 AB A resinate of cetirizine or its salts or its enantiomers or their salts such as levocetirizine dihydrochloride, fast disintegrating and or quick release pharmaceutical compns. containing the resinate and the process for the preparation of the said resinate and composition is disclosed. The preparation of the resinate and composition comprising the resinate is carried out preferably in aqueous solvents. Levocetirizine-2HCl was mixed with Tulsion-335 and a suspension was obtained. The suspension was filtered through an appropriate filter and the resinate was dried at 60°. The dried resinate contained about 32 weight% of levocetirizine.

ACCESSION NUMBER: 2006:578359 HCAPLUS  
 DOCUMENT NUMBER: 145:34300  
 TITLE: Rapidly disintegrating taste masked compositions  
 INVENTOR(S): Antarkar, Amit Krishna; Mamania, Hemant Manilal  
 PATENT ASSIGNEE(S): Themis Laboratories Private Limited, India  
 SOURCE: PCT Int. Appl., 13 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006061700	A2	20060615	WO 2005-183707	20051129

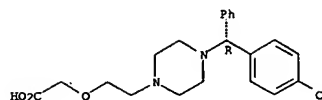
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

PRIORITY APPLN. INFO.: IN 2004-MU1296 A 20041206  
 IT 130018-77-8, Levocetirizine 130018-87-0, Levocetirizine dihydrochloride  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (rapidly disintegrating taste-masked compns.)  
 RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

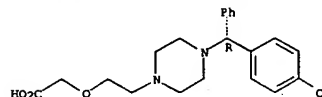
Absolute stereochemistry. Rotation (+).

L7 ANSWER 4 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



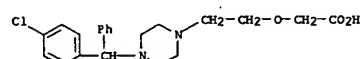
RN 130018-87-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● 2 HCl

IT 83881-51-0, Cetirizine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (rapidly disintegrating taste-masked compns.)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

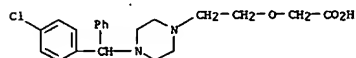


L7 ANSWER 5 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 16 Jun 2006  
 AB Disclosed is a taste masked pharmaceutical composition comprising: (a) a core containing a bitter-tasting drug, such as cetirizine dihydrochloride; and (b) a coating comprising a pharmaceutically acceptable cationic polymer based on mono- or dialkylaminoalkyl methacrylate and neutral acrylic or methacrylic esters, wherein the alkyl group independently has 1 to 6 carbon atoms, wherein the coating is applied to the surface of the core. The taste masked pharmaceutical compns. of the invention may be prepared without using an organic solvent or a cyclodextrin.

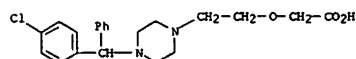
ACCESSION NUMBER: 2006:577864 HCAPLUS  
 DOCUMENT NUMBER: 145:34293  
 TITLE: Solvent-free taste masked pharmaceutical compositions  
 INVENTOR(S): Kumaraperumal, Natrajan; Palaniswamy, Suresh; Davila, Pablo  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 9 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006127479	A1	20060615	US 2004-961728	20041008

PRIORITY APPLN. INFO.:  
 IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (coating for taste masking in oral pharmaceuticals)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 5 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 6 OF 99 HCAPIUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 12 Jun 2006

AB A process for the preparation of a novel fast mouth dissolving pharmaceutical

composition in the form of a tablet comprising admixing 5 to 10 mg of cetirizine having its taste masked in any conventional manner with a fast dissolving matrix comprising sugar alc.(s), sweetener(s), binder(s), super disintegrant(s), flavoring agent(s), electrolytes(s), acidifying agent(s) and lubricant(s)/glidant(s), all as herein described and the admixt. thus obtained is formed into tablets in a conventional manner. A tablet contained cetirizine dihydrochloride 1.0, mannitol 73.0, sorbitol 15.0, sodium starch glycolate 4.0, croscarmellose sodium 3.0, aspartame 2.0, flavor 1.0, and magnesium stearate 1.0%.

ACCESSION NUMBER: 2006:549330 HCAPIUS

DOCUMENT NUMBER: 145:14806

TITLE: Process for the preparation of novel fast mouth dissolving pharmaceutical composition in the form of tablet

INVENTOR(S): Singh, Amarjit; Jain, Rajesh

PATENT ASSIGNEE(S): Panacea Biotec Limited, India

SOURCE: Indian, 14 pp.

CODEN: INXXAP

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

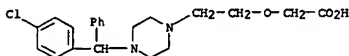
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 190834	A	20030823	IN 1999-DE675	19990504
PRIORITY APPLN. INFO.:				
IT 83881-51-0, Cetirizine		83881-52-1,	IN 1999-DE675	19990504

RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(process for preparation of novel fast mouth dissolving pharmaceutical composition in form of tablet)

RN 83881-51-0 HCAPIUS

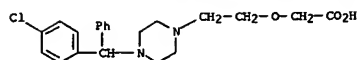
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, (9CI) (CA INDEX NAME)



RN 83881-52-1 HCAPIUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 99 HCAPIUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

L7 ANSWER 7 OF 99 HCAPIUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 23 May 2006

AB Development of cetirizine chewable tablets with inhibited bitterness, by the use of lipophilic bases and liquisolid compact technol., has been investigated. Cetirizine suspension in lipophilic vehicles (liquid medication) was converted into a free flowing and compressible powder by admixt. with the proper excipients (carrier and coating material). Bitterness intensity of cetirizine was evaluated using gustatory sensation tests. Influence of the type of the lipophilic vehicle and the inclusion of taste altering additives in the vehicle on bitter taste of cetirizine was studied. The effects of liquid load factor (LF, liquid medication/ carrier mass ratio) and type

of coating material on flowability, compressibility and taste of the chewable tablet formulation were assessed. Further, drug release of the developed tablets was tested. Results indicated that Gelucire 33/01 (G33/01) better reduced the bitter taste of cetirizine liquid medication than suppicore AP. Inclusion of 50% weight/weight soybean lecithin into G33/01,

at 1:20 drug-base ratio, markedly reduced bitterness. Aerosil was chosen as a carrier for the liquid medication because of its high holding capacity. An LF value of 1.05 provided a baseline formulation with optimum flowability and inhibited bitterness. Granular mannitol, selected as the coating material provided directly compressible chewable tablets with sweet taste and acceptable drug release. Overall results indicated the potential of the liquisolid compact technol. and G33/01-soybean lecithin mixture in preparing cetirizine chewable tablets with inhibited bitterness that can find application in industry at low cost.

ACCESSION NUMBER: 2006:480546 HCAPIUS

DOCUMENT NUMBER: 145:363166

TITLE: Development of cetirizine chewable tablets with inhibited bitterness using liquisolid compact technology

AUTHOR(S): El Mazaik, Magda A.

CORPORATE SOURCE: Department of Pharmaceutics, Faculty of Pharmacy, University of Alexandria, Alexandria, Egypt

SOURCE: Alexandria Journal of Pharmaceutical Sciences (2006), 20(1), 11-16

CODEN: AJPSER; ISSN: 1110-1792

PUBLISHER: University of Alexandria, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 83881-52-1, Cetirizine dihydrochloride

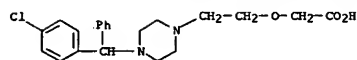
RI: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(liquisolid compact technol. and Gelucire 33/01-soybean lecithin mixture prepared cetirizine dihydrochloride chewable tablets with inhibited bitterness for human)

RN 83881-52-1 HCAPIUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 99 HCAPIUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

REFERENCE COUNT: 26

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 11 May 2006

AB The invention discloses clear aqueous solns. of one or more bile acids and either an aqueous soluble starch conversion product or a non-starch polysaccharide. The solns. may be administered to a subject in conjunction with a pharmaceutical compound having a therapeutic effect in subjects with a neurodegenerative disease and/or a motor neuron disease. In some embodiments, the disease is amyotrophic lateral sclerosis.

ACCESSION NUMBER: 2006:437475 HCAPLUS

DOCUMENT NUMBER: 144:460856

TITLE: Methods and compositions using a bile acid and a carbohydrate for reducing neurodegeneration in amyotrophic lateral sclerosis or other neurodegenerative disease

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006050165	A2	20060511	WO 2005-US39089	20051031
WO 2006050165	A3	20060706		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006142241	A1	20060629	US 2005-263087	20051031
PRIORITY APPLN. INFO.: US 2004-624100P P 20041101				
US 2004-628421P P 20041116				

IT 83881-52-1, Cetirizine dihydrochloride

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(Bile acid and carbohydrate for reducing neurodegeneration in amyotrophic lateral sclerosis or other neurodegenerative disease)

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 May 2006

AB There is provided a method for preparing an orally disintegrating tablet (ODT) composition comprising microparticles of one or more taste-masked

active

pharmaceutical ingredient(s), rapidly-dispersing microgranules, and other optional, pharmaceutically acceptable excipients wherein the ODT disintegrates on contact with saliva in the buccal cavity forming a smooth, easy-to-swallow suspension. Furthermore, the microparticles (crystals, granules, beads or pellets containing the active), coated with a taste-masking membrane comprising a water-insol. polymer and one or more gastroresol. inorg. or organic pore-formers (practically insol. in water and saliva, but soluble in an acidic buffer), exhibit acceptable taste-masking when placed in the oral cavity and provide rapid, substantially-complete release of the dose on entry into the stomach. Diphenhydramine hydrochloride (375 g) was slowly added to an aqueous solution of 41.8 g polyvinylpyrrolidone and 1667 g of purified water and mixed well. Sugar spheres (60-80 mesh, 1470 g) were coated with the above formulation and the drug containing pellets were dried, and a seal coat of Opadry Clear for

a weight gain of 4% was applied on the drug-layered beads. Thus, 1000 g of drug-layered beads produced above were coated with a membrane comprising 227.3 g of EC-10, 22.7 g of Hyvalet 9-45 (diacetylated monoglycidide) and 68.2 g of calcium carbonate dissolved/suspended in 3916.6 g of 95/5 acetone/water. The coated beads were dried and their dissoln. profiles was studied.

ACCESSION NUMBER: 2006:410144 HCAPLUS

DOCUMENT NUMBER: 144:440104

TITLE: Taste-masked pharmaceutical compositions with gastroresoluble pore-formers

INVENTOR(S): Lal, Jin-Wang; Venkatesh, Gopi M.; Qian, Ken Kangyi

PATENT ASSIGNEE(S): Eucard Pharmaceuticals Limited, Ire.

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047493	A2	20060504	WO 2005-US38328	20051021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006105039	A1	20060518	US 2005-256653	20051021
PRIORITY APPLN. INFO.: US 2004-621144P P 20041021				

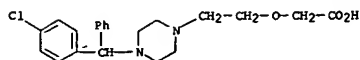
IT 83881-51-0, Cetirizine dihydrochloride

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(taste-masked pharmaceutical compns. with gastroresol. pore-formers)

L7 ANSWER 8 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



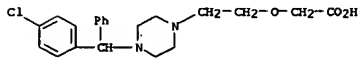
● 2 HCl

L7 ANSWER 9 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

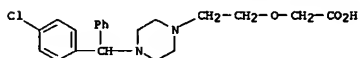
RN 83881-51-0 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



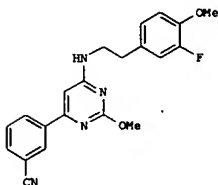
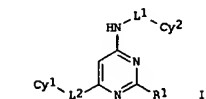
RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 10 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 27 Apr 2006  
 GI



AB The invention is directed to the preparation of aminopyrimidines I [Cy1 = (un)substituted cycloalkyl, heterocyclyl, heteroaryl, etc.; Cy2 = (un)substituted cycloalkenyl, heterocyclyl, heteroaryl, etc.; L1 = cycloalkylene, CH2-haloalkylene or L1Cy2 = arylcycloalkyl, cycloalkylaryl; R1 = alkylthio, NH2 and deriva., alkoxy; L2 = a bond, O, CH2O; provided that when R1 = OMe, L1 = CH2CH2, L2 = a bond, and Cy2 = 2,4-dichlorophenyl, then Cy1 is not 1-methyl-2-ethylowycarbonylindol-5-yl], and their N-oxides, ester prodrugs, and their pharmaceutically acceptable salts, hydrates and solvates, and their use as prostaglandin D2 (PGD2) receptor antagonists in pharmaceutical compns. comprising a pharmaceutically effective amount of one or more compds. I in admixt. with a pharmaceutically acceptable carrier, and to a method of treating a patient suffering from a PGD2-mediated disorder. E.g., a 4-step synthesis, starting from from 3-fluoro-4-methoxybenzaldehyde, was given for pyrimidine II. Selected I produced 50% inhibition in the SPA cAMP assay in human L5174T cells expressing the endogenous DP receptor at concns. within the range of about 0.1 to about 30 nM. I are useful for treating allergic disease (such as allergic rhinitis, allergic conjunctivitis, atopic dermatitis, bronchial asthma and food allergy), systemic mastocytosis, disorders accompanied by systemic mast cell activation, anaphylaxis shock, bronchoconstriction, bronchitis, urticaria, eczema, diseases accompanied by itch, diseases (such as cataract, retinal detachment, inflammation, infection and sleeping disorders) which are generated secondarily as a result of behavior accompanied by itch (such as

L7 ANSWER 11 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 13 Apr 2006

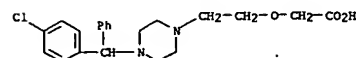
AB There is provided a method for preparing an orally disintegrating tablet (ODT) composition comprising microparticles of one or more taste-masked active pharmaceutical ingredient(s), rapidly-dispersing microgranules, and other optional, pharmaceutically acceptable excipients wherein the ODT disintegrates on contact with saliva in the buccal cavity in about 60 s forming a smooth, easy-to-swallow suspension. Furthermore, the microparticles (crystals, granules, beads or pellets containing the active) applied with a taste-masking membrane comprising a combination of water-insol. and gastro-soluble polymers release not less than about 60% of the dose in the stomach in about 30 min, thus maximizing the probability of achieving bioequivalence to the reference immediate-release product having rapid onset of action (short T<sub>max</sub>). A process for preparing such compns. for oral administration using conventional fluid-bed equipment and rotary tablet press is also disclosed. For example, drug-layered cetirizine dihydrochloride beads (drug load: 8.4%) were prepared by coating sugar spheres with an aqueous solution

of cetirizine dihydrochloride and polyvinylpyrrolidone to obtain pellets and seal coating the pellets with Opadry Clear. The beads were then coated with Et cellulose/Eudragit E100 with Myvacet 9-45/talc to give taste-masked beads. The taste-masked beads coated at 20% released 13% drug in 5 min using the USP Apparatus 2. Taste-masked beads at 20% coating, rapidly-dispersing microgranules, crospovidone, flavor, and Aspartame would be blended and compressed into orally disintegrating tablets containing 10 mg of cetirizine dihydrochloride.

ACCESSION NUMBER: 2006:341597 HCAPLUS  
 DOCUMENT NUMBER: 144:376503  
 TITLE: Taste-masked pharmaceutical compositions  
 INVENTOR(S): Venkatesh, Gopi M.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 15 pp.  
 CODEN: USOXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006078614	A1	20060413	US 2005-248596	20051012

PRIORITY APPLN. INFO.:  
 IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (orally disintegrating tablets comprising taste-masked microparticles and rapidly-dispersing microgranules)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 scratching and beating), chronic obstructive pulmonary diseases, ischemic reperfusion injury, cerebrovascular accident, chronic rheumatoid arthritis, pleurisy, ulcerative colitis (no data).

ACCESSION NUMBER: 2006:381409 HCAPLUS  
 DOCUMENT NUMBER: 144:432829  
 TITLE: Preparation of 2,6-substituted-4-monosubstituted amino-pyrimidines as prostaglandin D2 receptor antagonists

INVENTOR(S):  
 Lim, Sungtaek; Harris, Keith John; Stefany, David; Gardner, Charles J.; Cao, Bin; Boffey, Ray; Gillespy, Timothy A.; Aguiar, Joacy C.; Hunt, Hazel J.; Dechaux, Elsa A.

PATENT ASSIGNEE(S):  
 SOURCE: Aventis Pharmaceuticals Inc., USA  
 PCT Int. Appl., 272 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

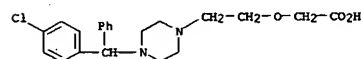
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006044732	A2	20060427	WO 2005-US37148	20051014

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SY, SZ, TD, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

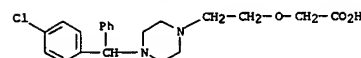
PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S): MARPAT 144:432829  
 IT 83881-51-0, Cetirizine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination therapy agent; preparation of aminopyrimidines as prostaglandin D2 receptor antagonists)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



L7 ANSWER 11 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

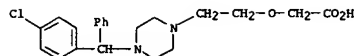


● 2 HCl



10729856

L7 ANSWER 14 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 18 Nov 2005

AB There is provided pharmaceutical compns. for the treatment of rhinitis by, for example, nasal or ocular administration comprising zwitterionic cetirizine, a polar lipid liposome and a pharmaceutical-acceptable aqueous carrier. The compns. are preferably homogeneous in their nature. A composition contained cetirizine dinitrate, Lipoid S75, di-Na phosphate dihydrate, KH<sub>2</sub>PO<sub>4</sub>, 1M HCl and/or NaOH to pH 7.0, and water for injection.

ACCESSION NUMBER: 2005:1223808 HCAPLUS  
DOCUMENT NUMBER: 143:466050  
TITLE: Nasal or ocular compositions comprising zwitterionic cetirizine and a polar lipid liposome for treating rhinitis

INVENTOR(S): Peresvetoff-Morath, Lena; Carlsson, Anders  
PATENT ASSIGNEE(S): Biolipox AB, Sved.; Mcneaney, Stephen Phillip  
SOURCE: PCT Int. Appl., 46 pp.

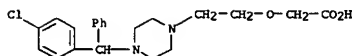
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

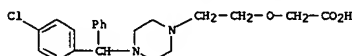
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005107711	A2	20051117	WO 2005-GB1758	20050506
WO 2005107711	A3	20060316		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005255154	A1	20051117	US 2004-842433	20040511
CA 2536728	AA	20051117	CA 2005-2536728	20050506
PRIORITY APPLN. INFO.:			US 2004-842433	A 20040511
			WO 2005-GB1758	W 20050506

IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride 869359-93-3  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nasal or ocular compns. comprising zwitterionic cetirizine and a polar lipid liposome for treating rhinitis)  
RN 83881-51-0 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dinitrate (9CI) (CA INDEX NAME)

L7 ANSWER 15 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 83881-52-1 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



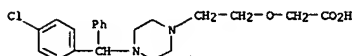
● 2 HCl

RN 869359-93-3 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dinitrate (9CI) (CA INDEX NAME)

CM 1

CRN 83881-51-0

CMF C21 H25 C1 N2 O3



CM 2

CRN 7697-37-2

CMF H N O3



L7 ANSWER 16 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 29 Sep 2005

AB The formulation of hydrogels with the antihistamine drug cetirizine dihydrochloride was studied. Hydroxyethylcellulose (HEC, Natrosol) and methylcellulose (MC) were used in hydrogels preparation. The effects of added humectants (glycerol, propylene glycol, sorbitol) on the rheol. properties and pharmaceutical availability of cetirizine from the hydrogel formulation were evaluated. For cetirizine hydrogels dermal administration the optimal formulations contained 3% HEC + 15% glycerol and 2.5% MC + 10% sorbitol.

ACCESSION NUMBER: 2005:1039586 HCAPLUS  
DOCUMENT NUMBER: 144:57202  
TITLE: Effect of humectants on pharmaceutical availability and rheological properties of cetirizine-containing hydrogels

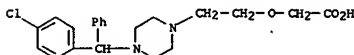
AUTHOR(S): Capkova, Zuzana; Vitkova, Z.; Uhrovska, S.  
CORPORATE SOURCE: Far. Fak., Univ. Komenského, Bratislava, 832 32, Slovakia

SOURCE: Ceska a Slovenska Farmacie (2005), 54(5), 226-230  
CODEN: CSLFEK; ISSN: 1210-7816

PUBLISHER: Ceska Lekarska Spolecnost J. Ev. Puckýne  
DOCUMENT TYPE: Journal

LANGUAGE: Slovak

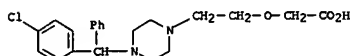
IT 83881-52-1, Cetirizine dihydrochloride  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (humectants (glycerol, propylene glycol, sorbitol) effects on pharmaceutical availability and rheol. properties of cetirizine-containing dermal hydrogels)  
RN 83881-52-1 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 17 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 20 Sep 2005  
 AB IR, 1H NMR and mass spectrometric studies showed that cetirizine dihydrochloride interacted strongly with diclofenac sodium, even when the latter was metal bound, forming high mol. weight stable adducts. These new formations were unaffected by the possible steric constraints that may exist because of coordination yet did not have the power to break the formed coordinate bonds. The formed ionic bond took place between the carbonyl ion of diclofenac and the pos. charged piperazine ring of cetirizine, forming a ternary compound in the case of the divalent metal clusters (Ca(dic)2·2H2O), Mg(dic)2·2H2O, Zn(dic)2·2H2O and a quaternary one with the trivalent iron cluster (Fe(dic)3·3H2O). IR bands assigned to νNH, δNH and νC-N were shifted to lower frequency values in the spectra of the complexes; thus showing that coordination took place at the NH of the diphenylamine. TG and elemental anal. confirmed these results.

ACCESSION NUMBER: 2005:1014328 HCAPLUS  
 DOCUMENT NUMBER: 144:239449  
 TITLE: Cetirizine dihydrochloride interaction with some diclofenac complexes  
 AUTHOR(S): Kenawi, Ihsan M.; Barsoum, Barsoum N.; Youssef, Maha A.  
 CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Cairo University, Giza, Egypt.  
 SOURCE: European Journal of Pharmaceutical Sciences (2005), 26(3-4), 341-348  
 CODEN: EPSCED; ISSN: 0928-0987  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 876666-27-2 876666-28-3  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cetirizine dihydrochloride interaction with some diclofenac complexes)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

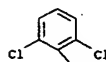
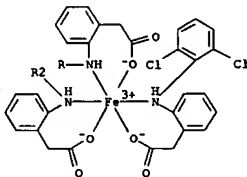


●2 HCl

RN 876666-27-2 HCAPLUS  
 CN Calcium, diaquabis[2-[(2,6-dichlorophenyl)amino-κN]benzeneacetato-κO]-, compd. with [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (1:1) (9CI) (CA INDEX NAME)

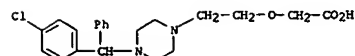
L7 ANSWER 17 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



CH 2

CRN 83881-52-1  
 CMF C21 H25 Cl N2 O3 . 2 Cl H



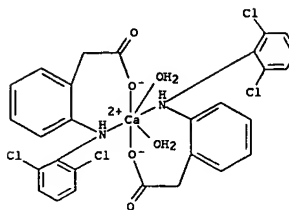
●2 HCl

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

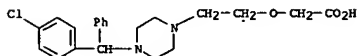
CH 1

CRN 876666-24-9  
 CMF C28 H24 Ca Cl4 N2 O6  
 CCI CCS



CH 2

CRN 83881-52-1  
 CMF C21 H25 Cl N2 O3 . 2 Cl H



●2 HCl

RN 876666-28-3 HCAPLUS  
 CN Iron, diaquabis[2-[(2,6-dichlorophenyl)amino-κN]benzeneacetato-κO]-, compd. with [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 871977-73-0  
 CMF C42 H30 Cl6 Fe N3 O6  
 CCI CCS

L7 ANSWER 18 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 30 Aug 2005

AB A dissoln. test for a once daily combination tablet containing 10 mg of cetirizine dihydrochloride (cetirizine HCl) for immediate release and 240 mg of pseudoephedrine hydrochloride (pseudoephedrine HCl) for extended release was developed and validated according to current ICH and FDA guidelines. The cetirizine HCl is contained within an outer layer of the tablet while a semipermeable membrane of cellulose acetate and polyethylene glycol controls the rate at which pseudoephedrine HCl is released from the tablet core. The dissoln. method, which uses USP apparatus 2 with paddles rotating at 50 rpm, 1000 mL

of deaerated water as the dissoln. medium, and reversed-phase HPLC for quantitation, was demonstrated to be robust, discriminating, and transferable. These test conditions were selected after it was demonstrated that the cetirizine HCl portion of the tablet rapidly dissolved in aqueous media over the physiol. relevant pH range of 1.1-7.5, and that the extended-release profile of pseudoephedrine HCl was independent of dissoln. conditions (i.e., apparatus, pH, and agitation).

ACCESSION NUMBER: 2005:944464 HCAPLUS  
 DOCUMENT NUMBER: 144:27277  
 TITLE: Development and validation of a dissolution test for a once-a-day combination tablet of immediate-release cetirizine dihydrochloride and extended-release pseudoephedrine hydrochloride

AUTHOR(S): Likar, Michael D.; Mansour, Hany L.; Harwood, Jeffrey W.

CORPORATE SOURCE: Pfizer Global Research and Development, Groton Laboratories, Groton, CT, 06340, USA

SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2005), 39(3-4), 543-551  
 CODEN: JPSBDA; ISSN: 0731-7085

PUBLISHER: Elsevier B.V.

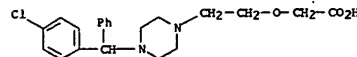
DOCUMENT TYPE: Journal

LANGUAGE: English

IT 83881-52-1, Cetirizine dihydrochloride  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (development and validation of dissoln. test for once-a-day combination tablet of immediate-release cetirizine dihydrochloride and extended-release pseudoephedrine hydrochloride)

RN 83881-52-1 HCAPLUS

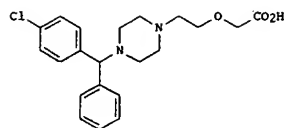
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



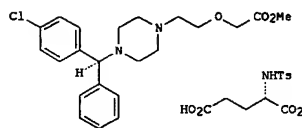
●2 HCl

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 19 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
ED Entered STN: 12 Aug 2005  
GI

I



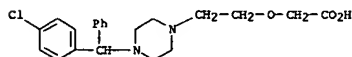
II

AB The invention relates to a process for preparing optically active cetirizine (I), or its salt, from racemic cetirizine, or its salt, using diastereomeric salt resolution with N-protected glutamic acid. The process allows for the preparation of cetirizine or its salt in four steps as illustrated by the following example. Racemic cetirizine (I) was esterified with methanol in the presence of a catalytic amount of acid. The (S)-enantiomer of the ester crystallized with N-(4-toluenesulfonyl)-L-glutamic acid to form salt II. Filtration and washing with isopropanol/water followed by release of the free base of salt II with sodium bicarbonate, ester hydrolysis with aqueous NaOH, and acidification with HCl gave (S)-cetirizine dihydrochloride in 72% overall yield and optical purity of 95% ee. This yield and optical purity is much improved over prior processes.

ACCESSION NUMBER: 2005:732633 HCAPLUS  
DOCUMENT NUMBER: 143:194026  
TITLE: Process for preparing optically active cetirizine or its salt  
INVENTOR(S): Kim, Jae-Shin; Park, Yong-Kyun; Ha, Mun-Choun  
PATENT ASSIGNEE(S): Hanlim Pharmaceutical Co., Ltd., S. Korea  
SOURCE: PCT Int. Appl., 20 pp.  
CODEN: P1XXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

L7 ANSWER 19 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073207	A1	20050811	WO 2005-KR231	20050127
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CN, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
EP 1718627	A1	20061108	EP 2005-726293	20050127
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS</p>				
<p>PRIORITY APPLN. INFO.: KR 2004-6608 A 20040202 WO 2005-KR231 W 20050127</p>				
<p>IT 83881-52-1, Cetirizine dihydrochloride RL: RCT (Reactant); RACT (Reactant or reagent) (starting material); stereoselective process for preparing optically active cetirizine or its salt)</p>				
<p>RN 83881-52-1 HCAPLUS CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)</p>				



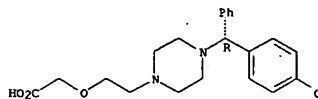
●2 HCl

IT 130018-87-0P 163837-48-7P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(target compound; stereoselective process for preparing optically active cetirizine or its salt)

RN 130018-87-0 HCAPLUS  
CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

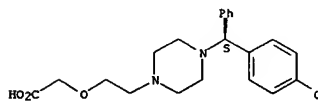
L7 ANSWER 19 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

RN 163837-48-7 HCAPLUS  
CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



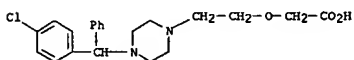
●2 HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L7 ANSWER 20 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 02 May 2005  
 AB Two simple, rapid, accurate, and sensitive spectrophotometric methods (A and B) were developed for determination of some drugs containing piperazine ring, such as Hydroxyzine dihydrochloride (I), Cinnarizine (II), Ketocanazole (III), Cetirizine dihydrochloride (IV), Fluphenazine dihydrochloride (V) and Buspiron hydrochloride (VI). Method A is based on the fact that the drugs under test are electron donors and react with 7,7,8,8-tetracyanoquinodimethane (TCNQ) and p-chloranilic acid (p-CA), the  $\pi$ -electron acceptors to give products having absorption maxima at 740, 840 nm with TCNQ and at 530 nm with p-CA. Exptl. conditions were optimized and the suggested procedure was applied to pharmaceutical preps. containing the studied drugs. The results were compared with official and reference methods. Method B is based on reaction of studied drugs with eosin and rose bengal giving maximum absorption at 550 and 575 nm, resp. Exptl. conditions were studied and optimized.

ACCESSION NUMBER: 2005:371790 HCAPLUS  
 DOCUMENT NUMBER: 142:435959  
 TITLE: Spectrophotometric determination of some drugs containing piperazine ring in bulk and dosage forms  
 AUTHOR(S): Aboul-Kheir, Afaf; Saleh, Hanan M.; El-Mammali, Magda Y.; Emam, Omnia A.  
 CORPORATE SOURCE: Department of Analytical Chemistry, Faculty of Pharmacy, Zagazig University, Zagazig, Egypt  
 SOURCE: Alexandria Journal of Pharmaceutical Sciences (2005), 19(1), 27-33  
 CODEN: AJPSSE; ISSN: 1110-1792  
 PUBLISHER: University of Alexandria, Faculty of Pharmacy  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Zyrtec  
 RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (spectrophotometric determination of drugs containing piperazine ring in bulk and dosage forms)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

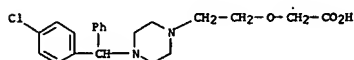


● 2 HCl

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 15 Apr 2005  
 AB The interactions between diclofenac (1), cetirizine (2) and ranitidine (3) were investigated by thermal analyses and UV, IR and <sup>1</sup>H NMR spectroscopic studies. In aqueous solution interaction occurred only between 1 and 2, yielding a high mol. weight (1:1), water insol. ionic salt. Weak charge transfer (CT) interaction exists between the doubly charged piperazine moiety in 2, acting as an electron acceptor and (1). This CT interaction originates from the aromatic groups in 1. The CT band observed at approx. 315 nm exhibits very low absorbance as a result of the partial neutralization of the two pos. charges present in the ionic salt. The IR bands of the mixture have wave nos. at  $\nu$  3323.1, 1695.3, and  $\delta$  1321.1-1210 cm<sup>-1</sup> indicating the presence of the NH group and the neutralized carbonyl group of 1, as well as the carboxylic group of 2. The 1,2,3-substitutions in the benzene ring of 1 in the mixture appear at 1161.1 cm<sup>-1</sup>. The <sup>1</sup>H NMR of the mixed drugs shows singlet, triplet and multiplet proton signals due to the same effect.

ACCESSION NUMBER: 2005:322000 HCAPLUS  
 DOCUMENT NUMBER: 143:278419  
 TITLE: Drug-drug interaction between diclofenac, cetirizine and ranitidine  
 AUTHOR(S): Kenawi, Ihsan M.; Barsoum, Barsoum N.; Youssef, Maha A.  
 CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Cairo University, Giza, Egypt  
 SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2005), 37(4), 655-661  
 CODEN: JPBADA; ISSN: 0731-7095  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: ANT (Analyte); PAC (Pharmacological activity); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (drug-drug interaction between diclofenac, cetirizine and ranitidine)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



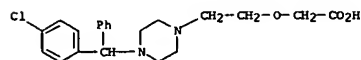
● 2 HCl

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 22 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 12 Apr 2005  
 AB The chromatog. behavior of cetirizine dihydrochloride on the proteinate- and amylose-based chiral stationary phases so as to optimize the chromatog. condition of its enantiomers separation was studied. When using amylose-based,  $\alpha$ -acid glycoprotein and ovomucoid protein chiral stationary phases, the mobile phase was hexane - iso-Pt alc. - alc. - trifluoroacetic acid (20 mmol L<sup>-1</sup> KH<sub>2</sub>PO<sub>4</sub> solution (adjusted to pH 7.0 with triethylamine) (12.7:87.3), resp. The temperature of proteinate column was 25 °C, the detective wavelength was 230 nm. The two enantiomers could be separated on the two kinds of chiral stationary phases without derivatization and the resolution was above 2.0. The methods were accurate, sensitive and specific. Both the proteinate- and amylose-based chiral stationary phases could be used to sep. the enantiomers of cetirizine.

ACCESSION NUMBER: 2005:310363 HCAPLUS  
 DOCUMENT NUMBER: 143:158917  
 TITLE: Study on enantiomer separation of cetirizine dihydrochloride using proteinate- and amylose-based chiral stationary phases  
 AUTHOR(S): Zhang, Zhefeng; Yang, Gengliang; Liang, Guijian; Zhou, Yu Chen, Yi  
 CORPORATE SOURCE: College of Chemistry and Environmental Science, Hebei University, Baoding, 071002, Peop. Rep. China  
 SOURCE: Yaomue Xuebao (2004), 39(3), 204-207  
 CODEN: YXHPAL; ISSN: 0513-4870  
 PUBLISHER: Yaomue Xuebao Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 IT 83881-52-1P, Cetirizine dihydrochloride  
 130018-76-7P 130018-77-8P  
 RL: PUR (Purification or recovery); PREP (Preparation)  
 (study on enantiomer separation of cetirizine dihydrochloride using proteinate- and amylose-based chiral stationary phases)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

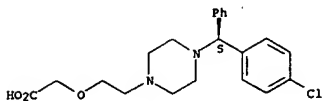


● 2 HCl

RN 130018-76-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(5)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

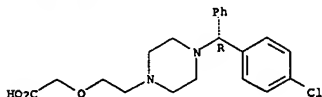
Absolute stereochemistry. Rotation (-).

L7 ANSWER 22 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

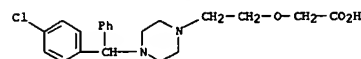


L7 ANSWER 23 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Apr 2005

AB The development and validation of a reversed-phase liquid chromatog. (LC) method for the determination of cetirizine dihydrochloride in oral formulations are described. An isocratic LC anal. was performed on a reversed-phase C18 column (250 x 4.6 mm id, 5 µm particle size). The mobile phase was 1% orthophosphoric acid solution, pH 3.0-acetonitrile (60 + 40, volume/volume), pumped at a constant flow rate of 1.0 mL/min. Measurements were made at a wavelength of 232 nm. The calibration curves were linear over the range of 10-30 µg/mL (r<sup>2</sup> = 0.9999). The relative standard deviation (RSD) values for intraday precision were 0.94 and 1.43% for tablets and compounded capsules, resp. The RSD values for interday precision were 0.13 and 0.82% for tablets and compounded capsules, resp. Recoveries ranged from 97.7 to 101.8% for tablets and from 98.4 to 102% for compounded capsules. No interferences from the excipients were observed. Because of its simplicity and accuracy, the method is suitable for routine quality-control anal. for cetirizine in tablets and compounded capsules.

ACCESSION NUMBER: 2005:304435 HCAPLUS  
 DOCUMENT NUMBER: 142:342090  
 TITLE: Liquid chromatographic determination of cetirizine in oral formulations  
 AUTHOR(S): Bajerski, Lisiane; Cardoso, Simone G.; Diefenbach, Isabel Fracao; Malesuik, Marcelo Donadel; Borgmann, Silvia Helena Miollo  
 CORPORATE SOURCE: Departamento de Farmacia Industrial, Universidade Federal de Santa Maria, Santa Maria, CEP 97105-900, Brazil  
 SOURCE: Journal of AOAC International (2005), 88 (2), 424-427  
 CODEN: JAINEE; ISSN: 1060-3271  
 PUBLISHER: AOAC International  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (Liquid chromatog. determination of cetirizine in oral formulations)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 24 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Jan 2005

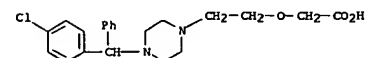
AB A novel crystalline form of cetirizine monohydrochloride and processes for making the crystalline form as well as compns., pharmaceutical compns., and methods utilizing the crystalline form are described. A process for preparation of a crystalline form of cetirizine monohydrochloride, comprises (1) providing a solid residue of crude cetirizine monohydrochloride; (2) contacting the crude residue with a ketone solvent to cause separation of a solid mass; and (3) isolating the solid mass thereby obtaining the crystalline form of cetirizine monohydrochloride. Tablets for the treatment of allergic syndromes were formulated containing crystalline cetirizine monohydrochloride 10, CaCO<sub>3</sub> 500, PVP 17, Avicel 15, mannitol 400, maltodextrin 15, aspartame 3, and aroma 20 mg each.

ACCESSION NUMBER: 2005:78236 HCAPLUS  
 DOCUMENT NUMBER: 142:162672  
 TITLE: Crystalline cetirizine monohydrochloride  
 INVENTOR(S): Reddy, Manne Satyanarayana; Rajan, Srinivasan Thirumalai; Rao, Uppala Venkata Bhaskara; Reddy, Konda Srinivasa  
 PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.  
 SOURCE: U.S. Pat. Appl. Publ., 11 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005020608	A1	20050127	US 2004-809192	20040325
PRIORITY APPLN. INFO.: 798544-25-9P			IN 2003-MA252	A 20030325

IT 798544-25-9P  
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of crystalline cetirizine monohydrochloride for oral dosage forms)

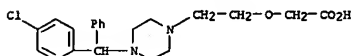
RN 798544-25-9 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



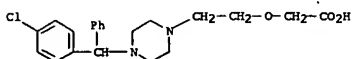
● HCl

IT 83881-51-0P, Cetirizine  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

L7 ANSWER 24 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 (prepn. of cryst. cetirizine monohydrochloride for oral  
 dosage forms)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 (9CI) (CA INDEX NAME)

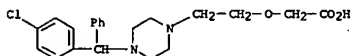


IT 83881-52-1P, Cetirizine dihydrochloride  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (preparation of crystalline cetirizine monohydrochloride for oral  
 dosage forms)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L7 ANSWER 25 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



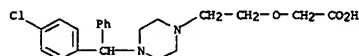
●2 HCl

L7 ANSWER 25 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 31 Dec 2004  
 AB An amorphous form of the antiallergic compound cetirizine  
 dihydrochloride, prepared by the base-promoted hydrolysis of the  
 corresponding amide of cetirizine, extraction, followed by HCl salification,  
 is

prepared as are pharmaceutical compns. utilizing this crystalline form.  
 ACCESSION NUMBER: 2005:2182 HCAPLUS  
 DOCUMENT NUMBER: 142:93859  
 TITLE: Process for the preparation of an amorphous crystal  
 form of the antiallergic cetirizine  
 dihydrochloride  
 INVENTOR(S): Reddy, Manne Satyanarayana; Rajan, Srinivasan  
 Thirumalai; Rao, Uppala Venkata Bhaskara; Reddy, Konda  
 Srinivasa  
 PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's  
 Laboratories, Inc.  
 SOURCE: U.S. Pat. Appl. Publ., 11 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004266787	A1	20041230	US 2004-809193	20040325
PRIORITY APPLN. INFO.:			IN 2003-MA253	A 20030325

IT 83881-51-0P, Cetirizine  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)  
 (in a process for the preparation of an amorphous crystal form of the  
 antiallergic cetirizine dihydrochloride)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-  
 (9CI) (CA INDEX NAME)



IT 83881-52-1P, Cetirizine dihydrochloride  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (process for the preparation of an amorphous crystal form of the  
 antiallergic cetirizine dihydrochloride)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 26 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

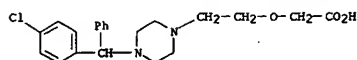
ED Entered STN: 24 Dec 2004  
 AB The present invention provides pharmaceutical compns. including a sedating  
 antihistamine and a stimulant, and methods of use thereof. The stimulant  
 reduces the sedation caused by the antihistamine, thereby allowing potent,  
 but sedating, antihistamines to be used effectively.

ACCESSION NUMBER: 2004:1127077 HCAPLUS  
 DOCUMENT NUMBER: 142:79923  
 TITLE: Pharmaceutical compositions including an antihistamine  
 and a stimulant and method of use thereof  
 INVENTOR(S): Gonzales, Gilbert Rene  
 PATENT ASSIGNEE(S): Pedamed Pharmaceuticals, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 12 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004259809	A1	20041223	US 2003-463556	20030617
AU 2004249186	A1	20041229	AU 2004-249186	20040616
CA 2528711	CA	20041229	CA 2004-2528711	20040616
WO 2004112771	A1	20041229	WO 2004-US18986	20040616
WO 2004112771	C1	20060209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1641446	A1	20060405	EP 2004-755265	20040616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004011324	A	20060725	BR 2004-11324	20040616
PRIORITY APPLN. INFO.:			US 2003-463556	A 20030617
			WO 2004-US18986	W 20040616

IT 83881-52-1, Cetirizine dihydrochloride  
 .RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (pharmaceutical compns. including an antihistamine and a stimulant and  
 method of use thereof)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 26 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

L7 ANSWER 27 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 21 Dec 2004

AB The electrochem. oxidation of cetirizine dihydrochloride (CTZH) at different pHs and concns. using cyclic voltammetry (CV) and differential pulse voltammetry (DPV) with a glassy carbon (GC) electrode was studied. This study indicated that CTZH was susceptible to oxidation. The statistical anal. proved that the CV and DPV methods were reproducible and selective for the determination of CTZH. The results showed that

voltammetric determination of CTZH could be made in the concentration ranges of  $2 \times 10^{-5}$  M

$1 \times 10^{-4}$  M by CV and  $2 \times 10^{-5}$  M -  $1 \times 10^{-4}$  M by DPV with a GC electrode. The oxidation process was found to be irreversible over the pH range studied (2-10) and was shown to be mainly diffusion controlled. The determination of CTZH was performed in phosphate buffers covering the pH range of

2-10. No satisfactory results were obtained in 0.5 M H<sub>2</sub>SO<sub>4</sub> solution. With both of the methods used the best results were obtained in phosphate buffer of pH 8. Application of the suggested methods to pharmaceutical formulations is presented and compared with the first derivative spectrophotometric method. No interference was observed from common pharmaceutical adjuvants.

ACCESSION NUMBER: 2004:1103097 HCAPLUS

DOCUMENT NUMBER: 142:397892

TITLE: Electrooxidation of cetirizine dihydrochloride with a glassy carbon electrode

AUTHOR(S): Guengoer, S. D.

CORPORATE SOURCE: Faculty of Pharmacy, Department of Analytical

Chemistry Tandoğan-Ankara, Ankara University, Turk.

SOURCE: Pharmazie (2004), 59(12), 929-933

CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag GmbH

DOCUMENT TYPE: Journal

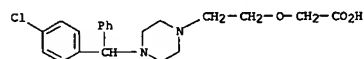
LANGUAGE: English

IT 83881-52-1, Cetirizine dihydrochloride

RL: ANT (Analyte); ANST (Analytical study)  
(electrooxidation of cetirizine dihydrochloride with a glassy carbon electrode)

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 28 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 24 Nov 2004

AB This study was performed to assess the peripheral H<sub>1</sub>-antihistaminic activity and extent of systemic absorption of cetirizine from liposomes applied to the skin. Cetirizine was incorporated into small unilamellar vesicles (SUV) and multilamellar vesicles (MLV) prepared using L-α-phosphatidylcholine, and into Glaxal Base (GB), used as the control. In a randomized, cross-over study, each formulation, containing

10 mg of cetirizine, was applied to depilated areas on the backs of 6 rabbits (3.08 ± 0.05 kg). Histamine-induced wheal tests and blood sampling were performed before cetirizine application and at designated times for up to 24 h. Compared with the baseline, histamine-induced wheal formation was suppressed by cetirizine in SUV and MLV from 0.5-24 h and by cetirizine in GB from 0.5-8 h,  $p < 0.05$ . Maximum wheal suppression by cetirizine in SUV and MLV ranged from 90.6% ± 4.9% to 89.0% ± 3.8% and 98.0% ± 1.3% to 94.0% ± 2.3%, resp., from 6 to 8 h. The plasma cetirizine AUC of 201 ± 24.2 ng.h/mL from SUV was lower than from PC-MLV, 334.6 ± 65.1 ng.h/mL and from GB, 248.3 ± 34.6 ng.h/mL. After 24 h, the percent of the cetirizine dose remaining on the backs of the rabbits from SUV was lower than from both MLV and GB,  $p < 0.05$ . In this model, cetirizine from both SUV and MLV had excellent topical H<sub>1</sub>-antihistaminic effects, while systemic exposure to cetirizine from SUV was reduced.

ACCESSION NUMBER: 2004:1011909 HCAPLUS

DOCUMENT NUMBER: 142:100145

TITLE: Cetirizine from topical phosphatidylcholine liposomes: Evaluation of peripheral antihistaminic activity and systemic absorption in a rabbit model

AUTHOR(S): Elizainy, Abeer A. W.; Gu, Xiaochen; Simons, F. Estelle R.; Simons, Keith J.

CORPORATE SOURCE: Faculty of Pharmacy, University of Manitoba, Winnipeg, Can.

SOURCE: Biopharmaceutics &amp; Drug Disposition (2004), 25(8), 359-366

CODEN: BDDID8; ISSN: 0142-2782

PUBLISHER: John Wiley &amp; Sons Ltd.

DOCUMENT TYPE: Journal

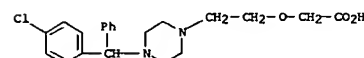
LANGUAGE: English

IT 83881-52-1, Cetirizine dihydrochloride

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peripheral antihistaminic activity and systemic absorption of cetirizine from topical phosphatidylcholine liposomes in rabbit)

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



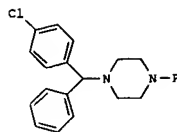
● 2 HCl

L7 ANSWER 28 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 04 Nov 2004  
GI



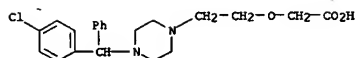
AB The invention relates to a preparation of  
[[[(chlorophenyl)(phenyl)methyl]piperazinyl]ethoxy]acetic acid hydrochloride of formula I-2HCl [R = (CH<sub>2</sub>)<sub>2</sub>COCH<sub>2</sub>CO<sub>2</sub>H], useful as antiallergic agent (no biol. data). The title compound was prepared via alkylation of the prepared piperazine derivative I (R = H) by BrCH<sub>2</sub>CH<sub>2</sub>OH (yield: 86%), cyanomethylation of the obtained alc. I [R = (CH<sub>2</sub>)<sub>2</sub>COH] by ClCH<sub>2</sub>CN (yield: 83%), hydrolysis of the obtained nitrile I [R = (CH<sub>2</sub>)<sub>2</sub>COCH<sub>2</sub>CN], and subsequent hydrolysis and dihydrochloric salt formation.

ACCESSION NUMBER: 2004:924881 HCAPLUS  
DOCUMENT NUMBER: 141:350193  
TITLE: A preparation of [[[(chlorophenyl)(phenyl)methyl]piperazinyl]ethoxy]acetic acid (cetirizine) and its dihydrochloride  
INVENTOR(S): Varvounis, Georgios  
PATENT ASSIGNEE(S): Genepharm A.E., Greece  
SOURCE: Greek Pat. Appl., 12 pp.  
CODEN: GRXKBV  
DOCUMENT TYPE: Patent  
LANGUAGE: Greek  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

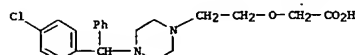
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GR 99100135	A	20001229	GR 1999-100135	19990422
			GR 1999-100135	19990422

PRIORITY APPLN. INFO.: CASREACT 141:350193  
OTHER SOURCE(S):  
IT 83881-51-0P, Cetirizine  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
[preparation of [[[(chlorophenyl)(phenyl)methyl]piperazinyl]ethoxy]acetic acid]  
RN 83881-51-0 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, (9CI) (CA INDEX NAME)

L7 ANSWER 29 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 83881-52-1P, Cetirizine dihydrochloride  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
[preparation of [[[(chlorophenyl)(phenyl)methyl]piperazinyl]ethoxy]acetic acid]  
RN 83881-52-1 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



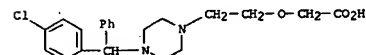
● 2 HCl

L7 ANSWER 30 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 27 Oct 2004

AB The cause of abnormal NMR spectra of lomerizine dihydrochloride, cetirizine dihydrochloride, and fenfluramine camphoramide was analyzed. Hypothesizing, in given conditions, there were changes of stereoisomeric conformation and configuration in structure of N-containing compds., it caused abnormality of NMR spectra. The credibility of the hypothesis was confirmed by NMR. The moving balance existed between two chair conformations in lomerizine dihydrochloride and cetirizine dihydrochloride, and it caused that spin-nuclei of whole mol. were placed in two chemical circumstance. In solution of DMSO-d<sub>6</sub>, the speed of conformation reversal equaled to the NMR time scale, so that chemical shift of spin-nuclei can not be definitely determined, peaks were broadened and even collapsed. After dropping D<sub>2</sub>O or increasing the temperature, the viscosity of the solution was decreased, the speed of reversal was quicker than NMR time scale, then normal spectra were obtained. Owing to the reversal of the three bonds of N in fenfluramine camphoramide was limited, other pair of diastereoisomer induced by the asym. N can be detected by NMR. Multiplication of the peaks of <sup>13</sup>CNMR was reasonably explained.

ACCESSION NUMBER: 2004:891095 HCAPLUS  
DOCUMENT NUMBER: 142:487711  
TITLE: Effects of changes in conformation and configuration of N-containing compounds on NMR spectra  
AUTHOR(S): Hua, Danyu; Yi, Danian; Liu, Jining  
CORPORATE SOURCE: Shanghai Institute of Pharmaceutical Industry, Shanghai, 200040, Peop. Rep. China  
SOURCE: Yaouxue Xuebao (2003), 38(12), 946-949  
CODEN: YHSPAL; ISSN: 0513-4870  
PUBLISHER: Yaouxue Xuebao Bianjibu  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese  
IT 83881-52-1, Cetirizine dihydrochloride  
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
[effects of changes in conformation and configuration of N-containing compds. on NMR spectra]  
RN 83881-52-1 HCAPLUS  
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

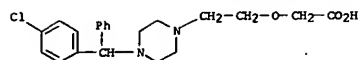
L7 ANSWER 31 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 26 Oct 2004  
 AB An HPLC method was developed and validated for the determination of cetirizine dihydrochloride (CZ) as well as its related impurities in com. oral solution and tablet formulations. Furthermore, 2 preservatives associated with the drug formulations, namely, Pr (PP) and butylparabens (BP) were successfully determined by this method. The chromatog. system used was equipped with a Hypersil BDS C18, 5 µm column (4.6 × 250 nm) and a detector set at 230 nm in conjunction with a mobile phase of 0.05 M dihydrogen phosphate:acetonitrile:methanol:tetrahydrofuran (12:5:2:1, volume/volume/volume/volume) at a pH of 5.5 and a flow rate of 1 mL min<sup>-1</sup>. The calibration curves were linear within the target concentration ranges studied, namely, 2+102-8+102 µg mL<sup>-1</sup> and 1-4 µg mL<sup>-1</sup> for CZ, 20-100 µg mL<sup>-1</sup> for preservatives and 1-4 µg mL<sup>-1</sup> for CZ related impurities. The limits of detection (LOD) and quantitation (LOQ) for CZ were, resp., 0.10 and 0.34 µg mL<sup>-1</sup> and for CZ related impurities were in the ranges of 0.08-0.26 µg mL<sup>-1</sup> and 0.28-0.86 µg mL<sup>-1</sup>, resp. The method proved to be specific, stability indicating, accurate, precise, robust, and could be used as an alternative to the European pharmacopoeial method set for CZ and its related impurities.

ACCESSION NUMBER: 2004:889271 HCAPLUS  
 DOCUMENT NUMBER: 141:401096  
 TITLE: Determination of cetirizine dihydrochloride, related impurities and preservatives in oral solution and tablet dosage forms using HPLC  
 AUTHOR(S): Jaher, A. M. Y.; Al Sherife, H. A.; Al Omari, M. M.; Badwan, A. A.  
 CORPORATE SOURCE: Chemistry Department, King Fahid University of Petroleum and Minerals, Dhahran, 31261, Saudi Arabia  
 SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2004), 36(2), 341-350  
 CODEN: JPBADA; ISSN: 0731-7085  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: ANT (Analyte); PRP (Properties); ANST (Analytical study) (determination of cetirizine dihydrochloride, related impurities, and preservatives in oral solution and tablet dosage forms using HPLC)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 32 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 08 Oct 2004  
 AB Isoniazid and cetirizine do not retain well on reversed-phase columns due to their high polarity. Silica columns, when operated under hydrophilic interaction conditions, do provide excellent retention of these compds. The authors have developed simple and proof of concept anal. methods for the anal. of isoniazid and cetirizine in animal and human plasma, resp. Both methods employed the approach of direct injection of solid-phase extraction (SPE) organic eluents onto silica columns for anal., thus eliminating evaporation and reconstitution steps that are typically needed for reversed-phase liquid chromatog. anal. Isoniazid was extracted from animal plasma samples using a Waters Oasis HLB 96-well plate and then eluted with acetonitrile, while cetirizine was extracted from human plasma with a Waters MCM µ-Elute plate and then eluted with acetonitrile containing 5% concentrated ammonium hydroxide. The direct injection of the SPE eluent onto the anal. column was necessary since significant loss of isoniazid was found during the evaporation and reconstitution steps. The method for isoniazid also enabled ultra-fast anal. due to the relatively low back-pressure exhibited by silica columns even under high flow conditions. Both methods show good linearity, accuracy and precision covering the range of 10-2000 ng/mL of isoniazid, and 1-1000 ng/mL of cetirizine in plasma. Substantial time savings were realized as a result of both the elimination of the evaporation and reconstitution steps and the fast chromatog. anal.

ACCESSION NUMBER: 2004:824538 HCAPLUS  
 DOCUMENT NUMBER: 141:342792  
 TITLE: Direct injection of solid-phase extraction eluents onto silica columns for the analysis of polar compounds isoniazid and cetirizine in plasma using hydrophilic interaction chromatography with tandem mass spectrometry  
 AUTHOR(S): Li, Austin C.; Jung, Heiko; Shou, Wilson Z.; Bryant, Matthew S.; Jiang, Xiang-yu; Naidong, Weng  
 CORPORATE SOURCE: Covance Laboratories, Inc., Madison, WI, USA  
 SOURCE: Rapid Communications in Mass Spectrometry (2004), 18(19), 2343-2350  
 CODEN: RCMSEF; ISSN: 0951-4198  
 PUBLISHER: John Wiley & Sons Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Cetirizine dihydrochloride  
 774596-22-4  
 RL: ANT (Analyte); ANST (Analytical study) (direct injection of solid-phase extraction eluents onto silica columns for anal. of polar compds. isoniazid and cetirizine in plasma using hydrophilic interaction chromatog. with tandem mass spectrometry)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

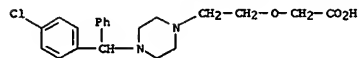
L7 ANSWER 31 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

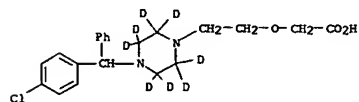
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 774596-22-4 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl-2,2,3,3,5,5,6,6-d8]ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 18 Jun 2004  
 AB Crystalline polymorphic forms of the levorotatory and dextrorotatory cetirizine dihydrochloride salts are prepared by dissolving the salts in an a ketone-containing solvent (e.g., aqueous acetone), cooling the solution, and collecting the crystalline precipitate  
 ACCESSION NUMBER: 2004:493694 HCAPLUS  
 DOCUMENT NUMBER: 141:54360  
 TITLE: Polymorphic crystalline forms of dihydrochloride salts of cetirizine and processes for their preparation  
 INVENTOR(S): Reddy, Manne Satyanarayana; Srinivasan, Thirumalai Rajan; Uppala, Venkata Bhaskara Rao; Vaddadi, Pattabhi Ramayya; Joga, Rajender  
 PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.  
 SOURCE: PCT Int. Appl., 37 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050647	A2	20040617	WO 2003-US38494	20031204
WO 2004050647	A3	20040902		
WO 2004050647	C1	20050303		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BT, KG, KZ, MD, RU, T, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BV, CF, CG, CI, CM, CV, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

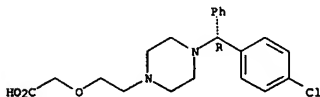
CA 2488114 AA 20040617 CA 2003-2488114 20031204  
 AU 2003297640 A1 20040623 AU 2003-297640 20031204  
 US 2004186112 A1 20040923 US 2003-729856 20031204  
 CN 1692105 A 20051102 CN 2003-80100543 20031204  
 IN 2002-MA908 A 20021204  
 WO 2003-US38494 W 20031204

PRIORITY APPL. INFO.:  
 IT 130018-87-OP 163837-48-7P  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (polymorphic crystalline forms of dihydrochloride salts of cetirizine and processes for their preparation)  
 RN 130018-87-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

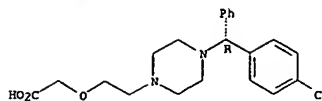
Absolute stereochemistry. Rotation (+).

L7 ANSWER 33 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



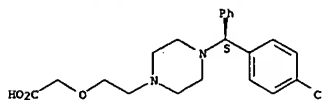
L7 ANSWER 33 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 163837-48-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

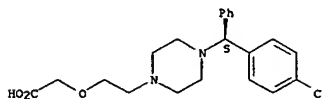
Absolute stereochemistry. Rotation (-).



● 2 HCl

IT 130018-76-7P, Dextrocetirizine 130018-77-8P, Levocetirizine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (polymorphic crystalline forms of dihydrochloride salts of cetirizine and processes for their preparation from)  
 RN 130018-76-7 HCAPLUS  
 CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-

L7 ANSWER 34 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 27 May 2004

AB The present invention provides novel condensation aerosols for the treatment of disease and/or intermittent or acute conditions. These condensation aerosols have little or no pyrolysis degradation products and

are characterized by having an MMAD of between 1-3  $\mu$ m. The aerosols are made by rapidly heating a substrate coated with a thin film of drug having a thickness of between 0.05 and 20  $\mu$ m, while passing a gas over the film, to form particles of a desirable particle size for inhalation. Kits comprising a drug and a device for producing a condensation aerosol are also provided. The device contained in the kit typically, has an element for heating the drug which is coated as a film on the substrate and contains a therapeutically ED of a drug when the drug is administered in aerosol form, and an element allowing the vapor to cool to form an aerosol. Also disclosed, are methods for using these aerosols and kits. For example, acebutolol (MW 336, m.p. 123°, oral dose 400 mg), a  $\beta$ -adrenergic blocker (cardiovascular agent), was coated on a stainless steel cylinder (8 cm). The drug (0.89 mg) was applied to the substrate, for a calculated drug film thickness of 1.1  $\mu$ m. The substrate was heated at 20.5 V and purity of the drug aerosol particles was determined to be 99.9%. 0.53 mg was recovered from the filter after vaporization, for a percent yield of 59.6%. A total mass of 0.81 mg was recovered from the test apparatus and substrate, for a total recovery of 91%. High speed photographs were taken as the drug-coated substrate was heated to monitor visually formation of a thermal vapor. The photographs showed that a thermal vapor was initially visible 30 ms after heating was initiated, with the majority of the thermal vapor formed by 130 ms. Generation of the thermal vapor was complete by 500 ms.

ACCESSION NUMBER: 2004:430288 HCAPLUS  
 DOCUMENT NUMBER: 140:429017  
 TITLE: Drug condensation aerosols and kits  
 INVENTOR(S): Hale, Ron L.; Hodges, Craig C.; Lloyd, Peter M.; Lu, Amy T.; Myers, Daniel J.; Rabinowitz, Joshua D.; Wensley, Martin J.  
 PATENT ASSIGNEE(S): Alexza Molecular Delivery Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 84 pp., Cont.-in-part of U.S. Ser. No. 633,877.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 33  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004092269	A1	20040527	US 2003-718982	20031120
US 7090930	B2	20060815		
US 2003051728	A1	20030320	US 2001-57198	20011026
US 2003015197	A1	20030123	US 2002-146088	20020513
US 2003017115	A1	20030123	US 2002-146516	20020513
US 6737042	B2	20040518		
US 2003035776	A1	20030220	US 2002-146515	20020513
US 6692716	B2	20040127		
US 2003209240	A1	20031113	US 2002-146086	20020513
US 2003007933	A1	20030109	US 2002-150267	20020515
US 6797259	B2	20040929		
US 2003007934	A1	20030109	US 2002-150268	20020515
US 6780399	B2	20040824		

L7	ANSWER 34 OF 99	HCAPLUS	COPYRIGHT	2006 ACS on STN	(Continued)
US 2003091511	A1	20030515	US 2002-150056	20020515	
US 6805853	B2	20041019			
US 2003017117	A1	20030123	US 2002-151596	20020516	
US 6855310	B2	20050215			
US 2003020689	A1	20031106	US 2002-151626	20020516	
US 6783753	B2	20040831			
US 2003017116	A1	20030123	US 2002-150857	20020517	
US 6716415	B2	20040406			
US 2003021753	A1	20030130	US 2002-150591	20020517	
US 6780400	B2	20040824			
US 2003005924	A1	20030109	US 2002-152652	20020520	
US 6740307	B2	20040525			
US 2003012740	A1	20030116	US 2002-153139	20020520	
US 6814954	B2	20041109			
US 2003017118	A1	20030123	US 2002-152639	20020520	
US 6716416	B2	20040406			
US 2003021754	A1	20030130	US 2002-152640	20020520	
US 6743415	B2	20040601			
US 2003012737	A1	20030116	US 2002-153311	20020521	
US 6884408	B2	20050426			
US 2003015189	A1	20030123	US 2002-153831	20020521	
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US 2003017119	A1	20030123	US 2002-153839	20020521	
US 6776978	B2	20040817			
US 2003032638	A1	20030213	US 2002-153313	20020521	
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US 6737043	B2	20040518			
US 2003017120	A1	20030123	US 2002-155703	20020522	
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US 2003021755	A1	20030130	US 2002-155705	20020522	
US 6805854	B2	20041019			
US 2003000518	A1	20030102	US 2002-155097	20020523	
US 6716417	B2	20040406			
US 2003015190	A1	20030123	US 2002-154594	20020523	
US 6740309	B2	20040525			
US 2003017114	A1	20030123	US 2002-154765	20020523	
US 6814955	B2	20041109			
US 2003118512	A1	20030626	US 2002-280315	20021025	
WO 2003045484	A2	20030605	WO 2002-0537491	20021121	
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HC, HR, NE, SN, TD, TG					
AU 2002364508	A1	20030610	AU 2002-364508	20021121	
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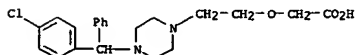
L7	ANSWER 34 OF 99	HCAPLUS	COPYRIGHT	2006 ACS on STN	(Continued)
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US 7029658	B2	20060418			
US 2004127481	A1	20040701	US 2003-735198	20031212	
US 7008615	B2	20060307			
US 2004126327	A1	20040701	US 2003-735199	20031212	
US 7070761	B2	20060704			
US 2004127490	A1	20040701	US 2003-735495	20031212	
US 7018619	B2	20060328			
US 2004126329	A1	20040701	US 2003-735497	20031212	
US 7070762	B2	20060704			
US 2004156788	A1	20040812	US 2003-749535	20031230	
US 7115250	B2	20061003			
US 2004156789	A1	20040812	US 2003-749536	20031230	
US 7094392	B2	20060822			
US 2004156790	A1	20040812	US 2003-749783	20031230	
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US 2004156791	A1	20040812	US 2003-750303	20031230	
US 7078020	B2	20060718			
US 2005075273	A1	20050407	US 2003-749539	20031230	
US 7078018	B2	20060718			
US 2005089479	A1	20050428	US 2003-749537	20031230	
US 7078017	B2	20060718			
US 2004184996	A1	20040923	US 2004-766279	20040127	
US 7087217	B2	20060808			
US 2004191179	A1	20040930	US 2004-766566	20040127	
US 7060254	B2	20060613			
US 2004191181	A1	20040930	US 2004-766634	20040127	
US 7070763	B2	20060704			
US 2004191182	A1	20040930	US 2004-766647	20040127	
US 7070764	B2	20060704			
US 2004228807	A1	20041118	US 2004-766149	20040127	
US 7087216	B2	20060808			
US 2004184997	A1	20040923	US 2004-767115	20040128	
US 7052679	B2	20060530			
US 2004184998	A1	20040923	US 2004-768205	20040129	
US 7070765	B2	20060704			
US 2004184999	A1	20040923	US 2004-768220	20040129	
US 7063830	B2	20060620			
US 2004185000	A1	20040923	US 2004-768293	20040129	
US 7067114	B2	20060627			
US 2004185003	A1	20040923	US 2004-769157	20040129	
US 7060255	B2	20060613			
US 2004185004	A1	20040923	US 2004-769197	20040129	
US 7063831	B2	20060620			
US 2004202617	A1	20041014	US 2004-768281	20040129	
US 2004185001	A1	20040923	US 2004-769046	20040130	
US 7070766	B2	20060704			
US 2004185002	A1	20040923	US 2004-769051	20040130	
US 7033575	B2	20060425			
US 2004161385	A1	20040819	US 2004-775586	20040209	
US 7048909	B2	20060523			
US 2004167228	A1	20040826	US 2004-775583	20040209	
US 7018620	B2	20060328			
US 2004185005	A1	20040923	US 2004-813721	20040331	
US 7022312	B2	20060404			

L7	ANSWER 34 OF 99	HCAPLUS	COPYRIGHT	2006 ACS on STN	(Continued)
US 2004186130	A1	20040923	US 2004-813722	20040331	
US 7063832	B2	20060620			
US 2004191183	A1	20040930	US 2004-814690	20040331	
US 7014841	B2	20060321			
US 2004191184	A1	20040930	US 2004-814998	20040331	
US 7108847	B2	20060919			
US 2004185006	A1	20040923	US 2004-815527	20040401	
US 6994843	B2	20060207			
US 2004185007	A1	20040923	US 2004-816407	20040401	
US 7011820	B2	20060314			
US 2004185008	A1	20040923	US 2004-816567	20040401	
US 7052680	B2	20060530			
US 2004191185	A1	20040930	US 2004-816492	20040401	
US 7008616	B2	20060307			
US 2006153779	A1	20060713	US 2006-370628	20060307	
US 2006177382	A1	20060810	US 2006-398383	20060404	
US 2006216243	A1	20060928	US 2006-439475	20060524	
US 2006216244	A1	20060928	US 2006-442917	20060530	
US 2006233718	A1	20061019	US 2006-451852	20060613	
US 2006233719	A1	20061019	US 2006-451853	20060613	
US 2006239936	A1	20061026	US 2006-454573	20060616	
US 2006246011	A1	20061102	US 2006-479361	20060630	
US 2006246012	A1	20061102	US 2006-479509	20060630	
US 2006251587	A1	20061109	US 2006-479892	20060630	
US 2006251588	A1	20061109	US 2006-481279	20060705	
US 2006257328	A1	20061116	US 2006-488302	20060718	
US 2006257329	A1	20061116	US 2006-488943	20060718	

PRIORITY APPLN. INFO.:

L7	ANSWER 34 OF 99	HCAPLUS	COPYRIGHT	2006 ACS on STN	(Continued)
US 2002-155621	A2	20020522			
US 2002-155703	A2	20020522			
US 2002-155705	A2	20020522			
US 2002-154594	A2	20020523			
US 2002-154765	A2	20020523			
US 2002-155097	A2	20020523			
US 2002-112068P	P	20020918			
US 2002-280315	A2	20021025			
US 2002-302010	A2	20021121			
US 2002-302614	A2	20021121			
US 2002-322227	A2	20021217			
US 2003-633876	A2	20030804			
US 2003-633877	A2	20030804			
US 2001-294203P	P	20010524			
US 2001-296223P	P	20010605			
US 2001-317479P	P	20010905			
US 2001-335049P	P	20011030			
US 2001-336218P	P	20011030			
US 2001-345145P	P	20011109			
WO 2002-0537491	W	20021121			
US 2003-734902	A1	20031212			
US 2003-735198	A1	20031212			
US 2003-735199	A1	20031212			
US 2003-735495	A1	20031212			
US 2003-735497	A1	20031212			
US 2003-749535	A1	20031230			
US 2003-749536	A1	20031230			
US 2003-749537	A1	20031230			
US 2003-749539	A1	20031230			
US 2003-750303	A1	20031230			
US 2004-766566	A1	20040127			
US 2004-766634	A1	20040127			
US 2004-766647	A1	20040127			
US 2004-768220	A1	20040129			
US 2004-769157	A1	20040129			
US 2004-769046	A1	20040130			
US 2004-775586	A1	20040209			
US 2004-813721	A1	20040331			
US 2004-816492	A1	20040401			
US 2004-816567	A1	20040401			

IT 83881-51-0, Caticizine  
 RI: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (drug condensation aerosols and kits for inhalation therapy)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy-(9CI) (CA INDEX NAME)





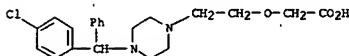
L7 ANSWER 35 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN  
 ED Entered STN: 12 May 2004  
 AB A process for the preparation of the dihydrochloride salt of 2-[2-[[4-(4-chlorophenyl)phenyl methyl]-1-piperazinyl]ethoxy] acetic acid, i.e., cetirizine, was provided. For example, to a 70-75 °C heated suspension of 2-[2-[[4-(4-chlorophenyl)phenyl methyl]-1-piperazinyl]ethoxy]acetic acid (100.0 g) in water (500 mL), was added p-toluenesulfonic acid (73.3 g) in one portion. The mixture was stirred at 60-80 °C until a clear solution persisted. The solution was then treated with activated carbon, filtered through hyflo, cooled to 5 °C, and the solid collected to afford the p-toluenesulfonic acid salt of cetirizine (110.0 g). The cetirizine p-toluenesulfonic acid salt (50 g) was dissolved in water (250 mL) and aqueous hydrochloric acid added (no data provided). The mixture was heated at elevated temps. for 2-h, cooled to 5-25 °C and the solid collected to afford the dihydrochloride salt of cetirizine.

ACCESSION NUMBER: 2004:380122 HCAPLUS  
 DOCUMENT NUMBER: 140:357314  
 TITLE: A process for the preparation of the dihydrochloride salt of cetirizine  
 INVENTOR(S): Sharma, Anil Kumar; Ranjan, Harish; Mahakud, Pradeep  
 PATENT ASSIGNEE(S): India  
 SOURCE: Indian, 10 pp.  
 CODEN: INOXAP  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 179499	A	19971011	IN 1996-80258	19960513
PRIORITY APPLN. INFO.:				
IT 83881-52-1P			IN 1996-80258	19960513

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (process for the preparation of the dihydrochloride salt of cetirizine)

RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[[4-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

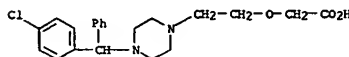
IT 83881-51-0P, Cetirizine 681248-37-3P

L7 ANSWER 36 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN  
 ED Entered STN: 26 Apr 2004  
 AB Three simple and sensitive spectrophotometric methods (A-C) for the assay of cetirizine (as dihydrochloride, CET) are proposed. Methods A and B are based on the formation of ion-association complex involving carboxylic acid group of CET and the basic dyes, safranin-O (SPN-O, method A), methylene blue (MB, method B). Method C is based on the involvement of tertiary amino group in drug with α,γ-acetic anhydride (dehydration product of citric acid-acetic anhydride) as colored internal salt. The methods are suitable for the assay of cetirizine in pharmaceutical formulations.

ACCESSION NUMBER: 2004:337516 HCAPLUS  
 DOCUMENT NUMBER: 141:199178  
 TITLE: Three simple visible spectrophotometric methods for the assay of cetirizine  
 AUTHOR(S): Rao, S. V. Murali Mohan; Reddy, T. Rama Subba; Rao, I. Nageswara; Sastry, C. S. P.  
 CORPORATE SOURCE: Department of Physical and Nuclear Chemistry & Chemical Oceanography, School of Chemistry, Andhra University, Visakhapatnam, 530 003, India  
 SOURCE: Journal of the Indian Chemical Society (2003), 80(10), 943-945  
 CODEN: JICSAH; ISSN: 0019-4522  
 PUBLISHER: Indian Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

IT 83881-51-0, Cetirizine  
 RL: ANT (Analyte); ANST (Analytical study)  
 (three simple visible spectrophotometric methods for the assay of cetirizine)

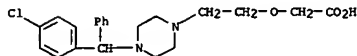
RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[[4-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

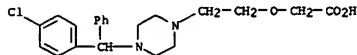
L7 ANSWER 35 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN (Continued)  
 ED Entered STN: 12 May 2004  
 AB RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
 (process for the prep. of the dihydrochloride salt of cetirizine)

RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[[4-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

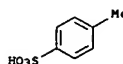


RN 681248-37-3 HCAPLUS  
 CN Acetic acid, [2-[4-[[4-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CH 1  
 CRN 83881-51-0  
 CMF C21 H25 C1 N2 O3



CH 2  
 CRN 104-15-4  
 CMF C7 H8 O3 S



L7 ANSWER 37 OF 99 HCAPLUS COPYRIGHT 2006 ACS ON STN  
 ED Entered STN: 02 Apr 2004  
 AB The invention provides methods for treating neurodegenerative diseases with neuroprotective agents which inhibit nitric oxide synthase enzymes and in particular nitric oxide synthase I11 and can be used to treat Alzheimer's disease. Comps. of the invention include e.g. polyglutamate polymers, and arabinogalactan comps.

ACCESSION NUMBER: 2004:269847 HCAPLUS  
 DOCUMENT NUMBER: 140:297534  
 TITLE: Nitric oxide synthase inhibitor neuroprotective agents  
 INVENTOR(S): Yalpani, Manssur  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 27 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004063612	A1	20040401	US 2003-672257	20030926
WO 2004028548	A2	20040408	WO 2003-US30445	20030926
WO 2004028548	A3	20040826		

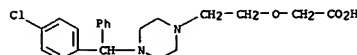
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RD, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW  
 RW: GH, GM, KE, LS, MW, NZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, FG, KG, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003272719 A1 20040419 AU 2003-272719 20030926  
 AU 2002-414694P P 20020926  
 WO 2003-US30445 W 20030926

PRIORITY APPLN. INFO.:

IT 83881-51-0, Cetirizine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (nitric oxide synthase inhibitor neuroprotective agents)

RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[[4-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



L7 ANSWER 38 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 21 Dec 2003

AB A novel, amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride, suitable for pharmaceutical formulations, is prepared and X-ray diffraction patterns for it are presented.

ACCESSION NUMBER: 2003:991495 HCAPLUS

DOCUMENT NUMBER: 140:47519

TITLE: Process for the preparation of an amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride)

INVENTOR(S): Reddy, Manne Satyanarayana; Rajan, Srinivasan Thirumalai; Shankar, Ranga Ravi; Vardhan, Sunkara Vishnu

PATENT ASSIGNEE(S): Dr.Reddy's Laboratories Ltd., India; Dr.Reddy's Laboratories, Inc.

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104212	A1	20031218	WO 2003-US17600	20030604
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238883	A1	20031222	AU 2003-238883	20030604
PRIORITY APPLN. INFO.: IN 2002-MA425 A 20020605				
WO 2003-US17600 W 20030604				

IT 83881-51-OP, Cetirizine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(In a process for the preparation of an amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride))

RN 83881-51-0 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

L7 ANSWER 39 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 21 Dec 2003

AB A crystalline form of cetirizine dihydrochloride (I), prepared by the salification of cetirizine with isopropanolic hydrogen chloride, having a defined X-ray diffraction pattern is presented, and pharmaceutical compns. containing I are presented.

ACCESSION NUMBER: 2003:991494 HCAPLUS

DOCUMENT NUMBER: 140:42205

TITLE: Preparation of crystalline [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride)

INVENTOR(S): Reddy, Manne Satyanarayana; Rajan, Srinivasan Thirumalai; Shankar, Ranga Ravi; Vardhan, Sunkara Vishnu

PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104211	A2	20031218	WO 2003-US17672	20030604
WO 2003104211	A3	20041223		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237394	A1	20031222	AU 2003-237394	20030604
PRIORITY APPLN. INFO.: IN 2002-MA425 A 20020605				
WO 2003-US17672 W 20030604				

OTHER SOURCE(S): CASREACT 140:42205

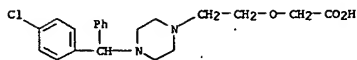
IT 83881-52-1P, Cetirizine dihydrochloride  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystalline [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride))

RN 83881-52-1 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 38 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

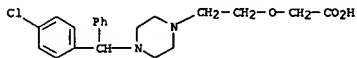


IT 83881-52-1P, Cetirizine dihydrochloride  
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(process for the preparation of an amorphous form of [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid dihydrochloride (cetirizine dihydrochloride))

RN 83881-52-1 HCAPLUS

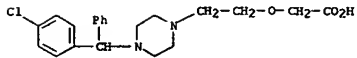
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



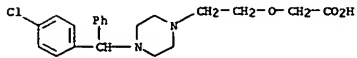
● 2 HCl

IT 83881-51-OP, Cetirizine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(salification with HCl of)

RN 83881-51-0 HCAPLUS

CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)



L7 ANSWER 40 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 17 Oct 2003  
 AB A palatable chewable tablet is described herein for oral administration of cetirizine dihydrochloride. The formulation is made more palatable by incorporating a combination of a grape-flavoring agent with a vanilla flavoring agent.  
 ACCESSION NUMBER: 2003:818265 HCAPLUS  
 DOCUMENT NUMBER: 139:312455  
 TITLE: Palatable chewable tablet of cetirizine  
 INVENTOR(S): Kasraian, Kasra; Havlir, Tanya  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 13 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084511	A1	20031016	WO 2003-1B1130	20030326
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2481025	AA	20031016	CA 2003-2481025	20030326
AU 2003209953	A1	20031020	AU 2003-209953	20030326
BR 2003008927	A	20050104	BR 2003-8927	20030326
EP 1494654	A1	20050112	EP 2003-745693	20030326
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1642531	A	20050720	CN 2003-807044	20030326
JP 2005526104	T2	20050902	JP 2003-581751	20030326
US 2003215503	A1	20031120	US 2003-404964	20030401
NO 2004004774	A	20041103	NO 2004-4774	20041103
PRIORITY APPLN. INFO.:			US 2002-370086P	P 20020404
			WO 2003-1B1130	W 20030326

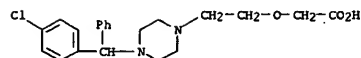
IT 83881-51-0, Cetirizine 83881-52-1, Cetirizine dihydrochloride  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (palatable chewable tablet of cetirizine)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 41 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 25 Jul 2003  
 AB The present invention relates to pharmaceutical compns. for oral administration of cetirizine and related compds. using a polyol with a mol. weight of < 3000. The compns. are prepared in the form of powders, granules, solns., suspensions, chewing gums, tablets, or effervescent tablets. For example, cetirizine bi-layer chewable tablets made of two formulations prepared sep. contained (i) the cetirizine formulation containing cetirizine-2HCl 10.0 mg,  $\beta$ -cyclodextrin 82.5 mg, Acesulfam K 3.5 mg, colloidal silica 1.1 mg, microcryst. cellulose 43.86 mg, flavors 0.8 mg, lactose monohydrate 55.0 mg, dyes 0.48 mg, and magnesium stearate 2.76 mg, and (ii) the mannitol formulation containing mannitol 241.21 mg, Acesulfam K 4.69 mg, flavors 1.0 mg, dyes 0.6 mg, and magnesium stearate 2.5 mg. Cetirizine and mannitol formulations were then compressed on a rotary bi-layer tablet press.  
 ACCESSION NUMBER: 2003:570797 HCAPLUS  
 DOCUMENT NUMBER: 139:122775  
 TITLE: Oral formulations for cetirizine and related compounds  
 INVENTOR(S): Fanara, Domenico; Berwaer, Monique; Guichaux, Anthony; Deleers, Michel  
 PATENT ASSIGNEE(S): Ucb, S.A., Belg.  
 SOURCE: PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

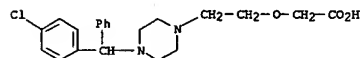
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059328	A1	20030724	WO 2003-EP260	20030114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2472396	AA	20030724	CA 2003-2472396	20030114
AU 2003201161	A1	20030730	AU 2003-201161	20030114
EP 1467715	A1	20041020	EP 2003-729449	20030114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003006870	A	20041103	BR 2003-6870	20030114
CN 1615124	A	20050511	CN 2003-802286	20030114
JP 2005518405	T2	20050623	JP 2003-559491	20030114
NZ 534039	A	20060831	NZ 2003-534039	20030114
ZA 2004004796	A	20050629	ZA 2004-4796	20040617
US 2005038039	A1	20050217	US 2004-501359	20040715
NO 2004003367	A	20040813	NO 2004-3367	20040813
PRIORITY APPLN. INFO.:			EP 2002-871	A 20020115
			WO 2003-EP260	W 20030114

OTHER SOURCE(S): MARPAT 139:122775  
 IT 83881-52-1, Cetirizine dihydrochloride  
 130018-87-0, Levocetirizine dihydrochloride

L7 ANSWER 40 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



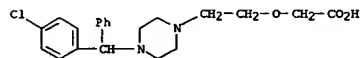
● 2 HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oral formulations for cetirizine and related compds. contg. polyols)

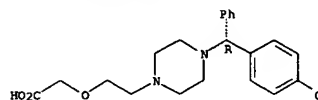
RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 130018-87-0 HCAPLUS  
 CN Acetic acid, [2-[(4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● 2 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

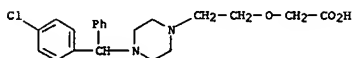
L7 ANSWER 42 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 16 Apr 2003  
 AB We investigated the antihistamine and anti-allergic effects of emedastine difumarate, loratadine, olopatadine hydrochloride, cetirizine dihydrochloride and fexofenadine hydrochloride on in vitro and in vivo expts. in guinea pigs. In the in vitro expts., each compound inhibited the histamine-induced contraction of isolated ileum. The pA2 and pD2' values of each compound were as follows (pA2 and pD2'): emedastine: 9.71 and 8.13, loratadine: 7.79 and 5.78, olopatadine: 8.22 and 6.52, cetirizine: 7.51 and 5.55, fexofenadine: 7.12 and 5.64. The ED50 value (mg/kg, p.o.) of each compound was as follows (His and PCA): emedastine: 0.010 and 0.012, loratadine: 0.244 and 0.350, olopatadine: 0.052 and 0.028, cetirizine: 0.127 and 0.064, fexofenadine: 16.97 and 23.04. From these results, it is clear that the order of potency on the anti-histaminic and anti-allergic effects of each compound is as follows: emedastine > olopatadine > loratadine .div. cetirizine > fexofenadine.

ACCESSION NUMBER: 2003:290583 HCAPLUS  
 DOCUMENT NUMBER: 139:301577  
 TITLE: Effect of emedastine difumarate and various selective H1-receptor antagonists/anti-allergic drugs on histamine-induced and passive cutaneous anaphylaxis reactions in guinea pigs

AUTHOR(S): Ohhira, Akiyoshi; Takizawa, Toshiaki; Hori, Takuya; Okamura, Taeko; Nagato, Aya  
 CORPORATE SOURCE: Kowa Co., Ltd., Japan  
 SOURCE: Arerugi, Men'eki (2003), 10(3), 387-395  
 CODEN: ARMEFS; ISSN: 1344-6932  
 PUBLISHER: Iyaku Janacusha  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese

IT 83881-52-1, Cetirizine dihydrochloride  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (effect of emedastine difumarate and various selective H1-receptor antagonists/anti-allergic drugs on histamine-induced and passive cutaneous anaphylaxis reactions in guinea pigs)

RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

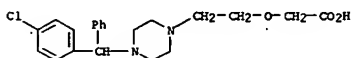


● 2 HCl

L7 ANSWER 43 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

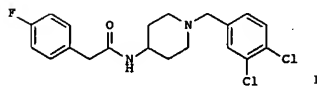
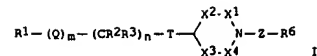
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2373186	A1	20020918	GB 2001-4534	20010223

PRIORITY APPLN. INFO.: MARPAT 139:73178  
 OTHER SOURCE(S):  
 IT 83881-51-0, Cetirizine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (combination therapy component; preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 43 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 20 Jan 2003  
 GI



AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl (alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepared as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, B-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine-2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

ACCESSION NUMBER: 2003:44146 HCAPLUS  
 DOCUMENT NUMBER: 139:73178  
 TITLE: Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation  
 INVENTOR(S): Bahl, Ash; Perry, Matthew; Springthorpe, Brian  
 PATENT ASSIGNEE(S): AstraZeneca AB, Sved.  
 SOURCE: Brit. UK Pat. Appl., 91 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

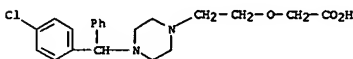
L7 ANSWER 44 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 09 Dec 2002  
 AB Two sensitive methods, 1 spectrophotometric and the other atomic absorption procedure, were developed for the determination of 5 piperazine derivs.

These tested compds. included Hydroxyzine dihydrochloride (I), Cinnarizine (II), Ketoconazole (III), Cetirizine dihydrochloride (IV) and Bupropione (V). Both methods are based on the formation of ternary complex between the cited drugs, molybdenum (V), and thiocyanate in acidic media. The complex was extractable with methylene chloride. The orange red color of the ternary complex showed absorption maximum at 470 nm with apparent molar absorptivities ranging from 7.3 x103 to 1.27 x104 L mol-1 cm-1. Beer's law is obeyed in different ranges. Alternatively, molybdenum content of the complex in methylene chloride extract was determined via atomic absorption spectrometry as a direct method for the determination of the cited drugs. The results obtained by both procedures agreed well with official and reference methods. The spectrophotometric and atomic absorption spectrometric procedures hold well their accuracy and precision when applied to anal. of some pharmaceutical preps. containing these drugs.

ACCESSION NUMBER: 2002:930429 HCAPLUS  
 DOCUMENT NUMBER: 139:42004  
 TITLE: Spectrophotometric and atomic absorption spectrometric determination of some piperazine derivatives through ternary complex formation  
 AUTHOR(S): Aboul-Kheir, Afaf; Saleh, Hanan M.; El-Mammi, Magda Y.; Emam, Omnia A.  
 CORPORATE SOURCE: Department of Analytical Chemistry, Faculty of Pharmacy, Zagazig University, Zagazig, Egypt  
 SOURCE: Alexandria Journal of Pharmaceutical Sciences (2002), 16(2), 115-120  
 CODEN: AJPSSE; ISSN: 1110-1792  
 PUBLISHER: University of Alexandria, Faculty of Pharmacy  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-52-1, Zyrtec  
 RL: ANT (Analyte); ANST (Analytical study)  
 (spectrophotometric and atomic absorption spectrometric determination of some piperazine derivs.)

RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 45 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 26 Jul 2002  
 AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient.

The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBt)NCA and cephalixin hydrochloride.

ACCESSION NUMBER: 2002:556104 HCAPLUS  
 DOCUMENT NUMBER: 137:109489  
 TITLE: Compositions comprising a polypeptide and an active agent  
 INVENTOR(S): Piccariello, Thomas; Olson, Lawrence P.; Kirk, Randal J.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 34 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 20  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099013	A1	20020725	US 2001-933708	20010822
US 2004087483	A1	20040506	US 2002-136433	20020502
US 2004063628	A1	20040401	US 2002-156527	20020529
US 7060708	B2	20060613		
US 2006014697	A1	20060119	US 2005-89056	20050325
PRIORITY APPLN. INFO.:				
			US 2000-247556P	P 20001114
			US 2000-247558P	P 20001114
			US 2000-247559P	P 20001114
			US 2000-247560P	P 20001114
			US 2000-247561P	P 20001114
			US 2000-247594P	P 20001114
			US 2000-247595P	P 20001114
			US 2000-247605P	P 20001114
			US 2000-247607P	P 20001114
			US 2000-247608P	P 20001114
			US 2000-247609P	P 20001114
			US 2000-247610P	P 20001114
			US 2000-247611P	P 20001114
			US 2000-247612P	P 20001114
			US 2000-247620P	P 20001114
			US 2000-247621P	P 20001114
			US 2000-247634P	P 20001114
			US 2000-247635P	P 20001114
			US 2000-247698P	P 20001114
			US 2000-247699P	P 20001114
			US 2000-247700P	P 20001114
			US 2000-247701P	P 20001114
			US 2000-247702P	P 20001114
			US 2000-247797P	P 20001114
			US 2000-247798P	P 20001114

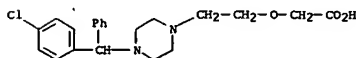
L7 ANSWER 45 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2000-247799P P 20001114  
 US 2000-247800P P 20001114  
 US 2000-247801P P 20001114  
 US 2000-247802P P 20001114  
 US 2000-247803P P 20001114  
 US 2000-247804P P 20001114  
 US 2000-247805P P 20001114  
 US 2000-247807P P 20001114  
 US 2000-247832P P 20001114  
 US 2000-247833P P 20001114  
 US 2000-247926P P 20001114  
 US 2000-247927P P 20001114  
 US 2000-247928P P 20001114  
 US 2000-247929P P 20001114  
 US 2000-247930P P 20001114  
 US 1999-265415 B2 19990310  
 US 1999-411238 B2 19991004  
 WO 2000-055693 A 20000306  
 US 2000-642820 A2 20000822  
 US 2000-248607P P 20001116  
 US 2000-248620P P 20001116  
 US 2000-248656P P 20001116  
 US 2000-248658P P 20001116  
 US 2000-248659P P 20001116  
 US 2000-248660P P 20001116  
 US 2000-248662P P 20001116  
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 US 2000-248685P P 20001116  
 US 2000-248737P P 20001116  
 US 2000-248739P P 20001116  
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 US 2000-248770P P 20001116  
 US 2000-248771P P 20001116  
 US 2000-248772P P 20001116  
 US 2000-248774P P 20001116  
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 US 2000-248794P P 20001116  
 US 2000-248795P P 20001116  
 US 2000-248796P P 20001116  
 US 2000-248797P P 20001116  
 US 2001-933708 A2 20010822  
 US 2001-986426 A2 20011108  
 US 2001-987458 B2 20011114  
 WO 2001-054308 B2 20011114  
 US 2001-988034 B2 20011116  
 US 2001-988071 B2 20011116  
 WO 2001-054315 B2 20011116  
 WO 2001-054317 B2 20011116  
 US 2002-358368P P 20020222  
 US 2002-358381P P 20020222  
 US 2002-362082P P 20020307

L7 ANSWER 45 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2002-366258P P 20020322  
 US 2002-156527 A2 20020529  
 WO 2003-055525 A2 20030224  
 US 2003-507012P P 20030930  
 US 2004-567800P P 20040505  
 US 2004-567802P P 20040505  
 US 2004-568011P P 20040505  
 US 2004-923088 A2 20040823  
 US 2004-923257 A2 20040823  
 US 2004-953110 A2 20040930  
 US 2004-953111 A2 20040930  
 US 2004-953116 A2 20040930  
 US 2004-953119 A2 20040930  
 US 2004-955006 A2 20040930  
 WO 2004-053213 A2 20040930

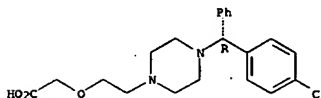
IT 83881-52-1, Ceticizine hydrochloride 130018-77-8  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (compns. comprising a polypeptide and an active agent)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

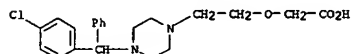
RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 46 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 12 Jul 2002  
 AB Following a single 10-mg oral dose of cetirizine dihydrochloride to 24 healthy volunteers, the analyte was quantified in human plasma. Protein precipitation using acetonitrile (ACN) was followed by reversed-phase liquid chromatog. and tandem mass spectrometry. The MS/MS method was optimized using a PE Sciex API 2000 triple quadrupole mass spectrometer in selected reaction monitoring (SRM) mode, using electrospray with pos. ionization. Oxybutynin was used as the internal standard. The assay method represents a robust, high-throughput, highly specific and sensitive quant. assay procedure, with 0.5 ng/mL being the lowest plasma concentration that could be reliably quantified. The procedure involves minimal sample preparation, and is well suited to clin. studies of the drug involving large nos. of generated samples. Pre-dose as well as post-dose samples up to and including 48 h were quantified, and the data generated were used to determine the pharmacokinetic profile of the drug.

ACCESSION NUMBER: 2002:520179 HCAPLUS  
 DOCUMENT NUMBER: 138:11117  
 TITLE: Extractionless and sensitive method for high-throughput quantitation of cetirizine in human plasma samples by liquid chromatography-tandem mass spectrometry  
 AUTHOR(S): De Jager, A. D.; Hundt, H. K. L.; Swart, K. J.; Hundt, A. F.; Els, J.  
 CORPORATE SOURCE: FARMOS-PAREXEL-Bioanalytical Serviced Division, Bloemfontein, 9324, S. Afr.  
 SOURCE: Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2002), 773(2), 113-118  
 CODEN: JCBAAI; ISSN: 1570-0232  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83891-51-0, Cetirizine  
 RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)  
 (extractionless and sensitive method for high-throughput quantitation of cetirizine in human plasma samples by liquid chromatog.-tandem mass spectrometry)  
 RN 83891-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

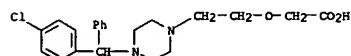
L7 ANSWER 46 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 47 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 21 Jun 2002  
 AB The invention relates to the use of a compound selected from efletirizine, cetirizine or an individual optical isomer of cetirizine or a pharmaceutically acceptable salt of any of these for the preparation of a medicament intended for preventing, or delaying the onset of, an urticaria attack in a patient.

ACCESSION NUMBER: 2002:465820 HCAPLUS  
 DOCUMENT NUMBER: 137:15819  
 TITLE: A method for prevention of urticaria  
 INVENTOR(S): Bursens, William; De Longueville, Marc; Uyllenbroeck, Luc  
 PATENT ASSIGNEE(S): UCB, S.A., Belg.; Claus-Bursens, Shirley  
 SOURCE: PCT Int. Appl., 10 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

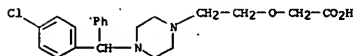
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047689	A2	20020620	WO 2001-EP13232	20011115
WO 2002047689	A3	20030306		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TN				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002021854	A5	20020624	AU 2002-21854	20011115
PRIORITY APPLN. INFO.:				
			EP 2000-127600	A 20001215
			EP 2001-107022	A 20010321
			WO 2001-EP13232	W 20011115

IT 83891-51-0, Cetirizine 83891-52-1, Cetirizine dihydrochloride  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method for prevention of urticaria)  
 RN 83891-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



RN 83891-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

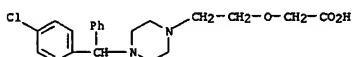
L7 ANSWER 47 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

L7 ANSWER 48 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 19 Jun 2002  
 AB A method for the determination of cetirizine dihydrochloride in pharmaceuticals by first-, second-, third- and fourth- order derivative spectrophotometry was described, using "peak - peak" (P-P), and "peak - zero" (P-O) measurements. The calibration curves are linear within the concentration range of 7.5-22.5 µg ml<sup>-1</sup> for cetirizine dihydrochloride. The procedure is simple, rapid and the results are reliable.

ACCESSION NUMBER: 2002:458741 HCAPLUS  
 DOCUMENT NUMBER: 137:237865  
 TITLE: Applications of derivative UV spectrophotometry for the determinations of cetirizine dihydrochloride in pharmaceutical preparations  
 AUTHOR(S): Drozd, Joanna; Hopkala, Hanna; Misztal, Genowefa; Paw, Beata  
 CORPORATE SOURCE: Department of Medicinal Chemistry, Medical University, Lublin, 20-093, Pol.  
 SOURCE: Acta Polonicae Pharmaceutica (2002), 59(1), 3-7  
 CODEN: APHAX; ISSN: 0001-6837  
 PUBLISHER: Polish Pharmaceutical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 83881-51-0, Cetirizine  
 RL: ANT (Analyte); ANST (Analytical study)  
 (determination of cetirizine in pharmaceuticals by spectrophotometry)  
 RN 83881-51-0 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)

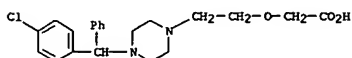


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 49 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

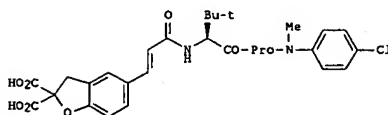
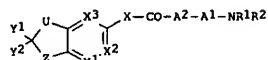
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038107	A2	20020516	WO 2001-US50760	20011108
WO 2002038107	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002151504	A1	20021017	US 2001-8244	20011107
US 6884782	B2	20050426		
AU 2002031325	A5	20020521	AU 2002-31325	20011108
US 2005101540	A1	20050512	US 2004-14344	20041214
PRIORITY APPL. INFO.: US 2000-246876P P 20001108				
US 2001-8244 A1 20011107				
WO 2001-US50760 W 20011108				

OTHER SOURCE(S): MARPAT 136:370001  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of peptides as STAT modulators)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-(9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 49 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 18 May 2002  
 GI



AB Peptide derivs. I [R1, R2 = H, (C1-C8)alkyl, (C1-C8)heteroalkyl, aryl, heteroaryl, aryl(C1-C8)alkyl, aryl(C1-C8)heteroalkyl, heteroaryl(C1-C8)alkyl, heteroaryl(C1-C8)heteroalkyl (with provisos); A1, A2 are L- or D-α-amino acid fragments, including N-alkyl derivs.; X is a bond or (C1-C4) (un)saturated (hetero)alkylene; X1, X2, X3 = N, CH or substituted methylidene; U or Z is a single bond, CH2, CH(OH), CO, CH2O, CH2CH2, CH2CO, O, S, SCH2, NH, NHCH2 or substituted imino or iminomethylene; Y1, Y2 = CO2H or ester or may join together to form a 5-, 6-, 7- or 8-membered heterocyclic ring] and compns. containing them were prepared for the inhibition or treatment of conditions or disorders modulated by STAT transcription factors, particularly STAT4 and STAT6. Addnl., the compds. are useful for the diagnosis of conditions dependent on STAT signaling. Thus, compound II was prepared by a multistep procedure using tert-Bu Me bromomalonate, 2-(chloromethyl)-4-bromophenol, acrylic acid, 4-chloroaniline, Boc-L-proline, (Boc = tert-butoxycarbonyl), and Boc-L-tert-butylglycine as reactants. Several compds. I had IC50 values < 50 µM for inhibition of STAT6.

ACCESSION NUMBER: 2002:368261 HCAPLUS  
 DOCUMENT NUMBER: 136:370001  
 TITLE: Preparation of peptides as STAT modulators  
 INVENTOR(S): Huang, Alan; Liu, Jiwon; Medina, Julio; Wang, Xuemei; Xu, Feng; Zhu, Liusheng  
 PATENT ASSIGNEE(S): Tularik Inc., USA  
 SOURCE: PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent

L7 ANSWER 50 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 03 May 2002

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient.

The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalosporin hydrochloride.

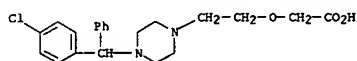
ACCESSION NUMBER: 2002:332011 HCAPLUS  
 DOCUMENT NUMBER: 136:355482  
 TITLE: Compositions comprising a polypeptide and an active agent  
 INVENTOR(S): Piccarillo, Thomas; Olson, Lawrence P.; Kirk, Randall J.  
 PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 20  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6716452	B1	20040406	US 2000-642820	20000822
CA 2420590	AA	20020502	CA 2001-2420590	20010822
AU 2001086599	A5	20020506	AU 2001-86599	20010822
EP 1311242	A1	20030521	EP 2001-966056	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523480	T2	20040805	JP 2002-537291	20010822
US 2004127397	A1	20040701	US 2003-727565	20031205
PRIORITY APPL. INFO.: US 2000-642820 A 20000822				
US 2000-247613P P 20001114				
US 2000-247614P P 20001114				
US 2000-247615P P 20001114				
US 2000-247616P P 20001114				
US 2000-247617P P 20001114				
US 2000-247622P P 20001114				
US 2000-247630P P 20001114				
US 2000-247631P P 20001114				
US 2000-247632P P 20001114				
US 2000-247633P P 20001114				
US 2000-247556P P 20001114				
US 2000-247558P P 20001114				
US 2000-247559P P 20001114				
US 2000-247560P P 20001114				
US 2000-247561P P 20001114				

L7 ANSWER 50 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2000-247594P P 20001114  
 US 2000-247595P P 20001114  
 US 2000-247606P P 20001114  
 US 2000-247607P P 20001114  
 US 2000-247608P P 20001114  
 US 2000-247609P P 20001114  
 US 2000-247610P P 20001114  
 US 2000-247611P P 20001114  
 US 2000-247612P P 20001114  
 US 2000-247620P P 20001114  
 US 2000-247621P P 20001114  
 US 2000-247634P P 20001114  
 US 2000-247635P P 20001114  
 US 2000-247698P P 20001114  
 US 2000-247699P P 20001114  
 US 2000-247701P P 20001114  
 US 2000-247702P P 20001114  
 US 2000-247797P P 20001114  
 US 2000-247798P P 20001114  
 US 2000-247799P P 20001114  
 US 2000-247800P P 20001114  
 US 2000-247801P P 20001114  
 US 2000-247802P P 20001114  
 US 2000-247803P P 20001114  
 US 2000-247804P P 20001114  
 WO 2001-US26142 W 20010822

IT 83881-52-1, Cetirizine hydrochloride 130018-77-8  
 , Levocetirizine  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (comprns. comprising a polypeptide and an active agent)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-(4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]-,  
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-(4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

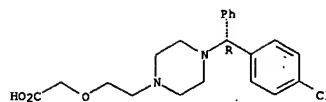
L7 ANSWER 51 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 21 Mar 2002  
 AB Background: Levocetirizine is the active enantiomer of cetirizine, a potent drug with little metabolism widely used for allergic rhinitis and urticaria. Objective: This study compares the potency, consistency, onset, and duration of action of levocetirizine with other popular antihistamines. Methods: Levocetirizine 5 mg, ebastine 10 mg, fexofenadine 180 mg, loratadine 10 mg, mizolastine 10 mg, or placebo in single doses were given to 18 healthy male volunteers in a double-blind, crossover, randomized fashion. Wheal-and-flare responses to epicutaneous histamine dihydrochloride (100 mg/mL) challenge were measured at 0, 0.5, 1, 2, 4, 6, 8, 10, 12, and 24 h after each dose. Results: The overall effect of each drug was evaluated by the area under the curve (0 to 24 h). Levocetirizine was the most potent and consistently effective drug for inhibiting the histamine-induced wheal-and-flare surface areas. Ebastine, fexofenadine, and mizolastine ranked next and had almost identical effects for inhibiting the wheal. Loratadine was the least potent drug. Levocetirizine, fexofenadine, and mizolastine inhibited the wheal-and-flare response after 1 h and reached their peak for inhibition after 4 h. Ebastine and loratadine could be distinguished from placebo only after 4 h. After treatment with levocetirizine, all 18 subjects had >95% inhibition of the wheal response at one timepoint. Fexofenadine, mizolastine, and ebastine were inhibitory in declining order. All treatments were considered safe and well tolerated. Conclusions: Levocetirizine, the active enantiomer of cetirizine, is more potent and consistent than other popular H1 antihistamines for blocking the cutaneous response to histamine. These findings may predict the efficacy of this drug in treating allergic disorders.

ACCESSION NUMBER: 2002:213243 HCAPLUS  
 DOCUMENT NUMBER: 137:226352  
 TITLE: A double-blind, randomized, single-dose, crossover comparison of levocetirizine with ebastine, fexofenadine, loratadine, mizolastine, and placebo: suppression of histamine-induced wheal-and-flare response during 24 hours in healthy male subjects  
 AUTHOR(S): Grant, J. Andrew; Riethuisen, Jean-Michel; Moulalart, Beatrice; DeVos, Christine  
 CORPORATE SOURCE: University of Texas Medical Branch, Galveston, TX, USA  
 SOURCE: Annals of Allergy, Asthma, & Immunology (2002), 88(2), 190-197  
 CODEN: ALAIF6; ISSN: 1081-1206  
 PUBLISHER: American College of Allergy, Asthma, & Immunology  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

IT 130018-77-8, Levocetirizine  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (comparison of levocetirizine with ebastine, fexofenadine, loratadine, mizolastine, and placebo in suppression of histamine-induced wheal-and-flare response during 24 h in healthy male subjects)  
 RN 130018-77-8 HCAPLUS  
 CN Acetic acid, [2-(4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)

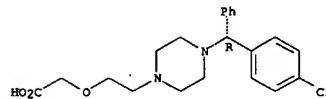
Absolute stereochemistry. Rotation (+).

L7 ANSWER 50 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L7 ANSWER 52 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 15 Mar 2002  
 AB Comps. for pharmaceutical and other uses comprise clear aqueous solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution. The comps. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition may further contain a pharmaceutical compound, such as insulin, heparin, bismuth comds., amantadine and rimantadine. For example, solution dosage forms that did not show any precipitation at any pH were prepared containing ursodeoxycholic acid (UDCA) 22 g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.

ACCESSION NUMBER: 2002:185616 HCAPLUS  
 DOCUMENT NUMBER: 136:252482  
 TITLE: Preparation of aqueous clear solution dosage forms with bile acids  
 INVENTOR(S): Yoo, Seo Hong  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. 6,251,428.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002031558	A1	20020314	US 2001-778154	20010205
US 6251428	B1	20010626	US 1999-357549	19990720
US 2003186933	A1	20031002	US 2002-309603	20021204
US 7018650	B2	20060328		
US 2005158408	A1	20050721	US 2004-996945	20041124
AU 2006203315	A1	20060824	AU 2006-203315	20060803
PRIORITY APPLN. INFO.:			US 1998-940699	P 19980724
			US 1999-357549	A2 19990720
			US 2000-180268	P 20000204
			AU 2001-36685	A3 20010205
			US 2001-778154	A3 20010205

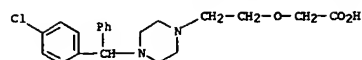
IT 83881-52-1, Cetirizine dihydrochloride  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of stable aqueous solns. containing bile acids for therapy)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 53 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 15 Feb 2002  
 AB A controlled release dosage form has a coated core with the core comprising a drug-containing composition and a water-swellable composition, each occupying sep. regions within the core. The coating around the core is water-permeable, water-insol. and has at least one delivery port. A drug-containing composition comprises a low-solubility drug and a drug-entraining agent, such as polyols, polyether oligomers, mixts. of polyfunctional organic acids, cationic materials, polyethylene oxide, cellulose ethers, gelatin, and xanthan gum. A variety of geometric arrangements are disclosed. To form the drug-containing composition, 35% sildenafil citrate having a solubility of about 20 mg/mL at pH 6, 30% xylitol, 29% PEO, 5% Eplotab, and 1% magnesium stearate were wet granulated. To form the water-swellable composition, 74.5% Eplotab, 24.5% Prosolv 90, and 1% magnesium stearate were blended. Three-layer tablet cores were formed by compression of 200 mg of drug-containing composition, 100 mg water-swellable composition, and the sec. half of the drug-containing composition (200 mg) to the hardness of about 11 Kp. The tablet cores were then coated with solution containing cellulose acetate, polyethylene glycol, water and acetone (7:3:5:85 by weight). The drug dissoln. study showed that 19% of the drug was released within 2 h, 83% within 9 h, and 100% of the drug was released within 24 h.

ACCESSION NUMBER: 2002:122765 HCAPLUS  
 DOCUMENT NUMBER: 136:172780  
 TITLE: Hydrogel-driven drug dosage form containing polymers  
 INVENTOR(S): Appel, Leah Elizabeth; Babcock, Walter C.; Beyerinck, Ronald Arthur; Chidlaw, Mark Brian; Curatolo, William John; Friesen, Dwayne Thomas; Herbig, Scott Max; Thombre, Avinash Govind  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

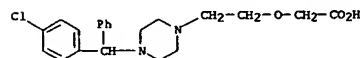
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011702	A2	20020214	WO 2001-181390	20010803
WO 2002011702	A3	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, CA, GM, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003086972	A1	20030508	US 2001-920056	20010801
CA 2418907	AA	20020214	CA 2001-2418907	20010803
AU 2002029141	A5	20020218	AU 2002-29141	20010803
BR 2001013067	A	20030701	BR 2001-13067	20010803

L7 ANSWER 52 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

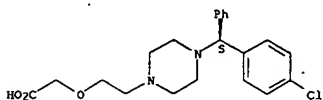
L7 ANSWER 53 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 EP 1326587 A2 20030716 EP 2001-984471 20010803  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 HU 200300722 A2 20031128 HU 2003-722 20010803  
 JP 2004505907 T2 20040226 JP 2002-517039 20010803  
 EE 200300055 A 20041215 EE 2003-55 20010803  
 HR 2003000082 A1 20030430 HR 2003-82 20030206  
 BG 107538 A 20031128 BG 2003-107538 20030206  
 NO 2003000627 A 20030408 NO 2003-627 20030207  
 US 2004052845 A1 20040318 US 2003-344171 20030807  
 PRIORITY APPLN. INFO.:  
 US 2000-224199P P 20000809  
 WO 2001-181390 W 20010803  
 IT 83881-52-1, Cetirizine dihydrochloride  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (polymeric hydrogel-driven controlled release dosage forms of low-solubility drugs)  
 RN 83881-52-1 HCAPLUS  
 CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 54 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ED Entered STN: 06 Feb 2002  
 AB Practical route technol. for the preparation of (S)-cetirizine  
 •2HCl via diastereoselective organometallic addition to  
 N-tert-butanefulfinyl aldimines is disclosed. The addition of  
 phenylmagnesium bromide to [S(R)]-N-[(4-chlorophenyl)methylene]-2-methyl-2-  
 propanesulfonamide gave [S(R)]-N-[(S)-(4-chlorophenyl)phenylmethyl]-2-  
 methyl-2-propanesulfonamide (I) as the major product. The addition of  
 phenyllithium to the above intermediate gave [S(R)]-N-[(R)-(4-  
 Chlorophenyl)phenylmethyl]-2-methyl-2-propanesulfonamide as the major  
 product, instead. Hydrolysis of I gave (±S)-4-chloro-  
 phenylbenzenesulfonamide. Cyclocondensation of the latter with  
 N,N-bis(2-chloroethyl)-4-methylbenzenesulfonamide gave  
 1-[(S)-(2-chlorophenyl)phenylmethyl]piperazine. Further alkylation of  
 this with (2-bromoethoxy)acetic acid Et ester gave the target compound,  
 cetirizine dihydrochloride.  
 ACCESSION NUMBER: 2002:97599 HCAPLUS  
 DOCUMENT NUMBER: 137:63224  
 TITLE: Asymmetric synthesis of cetirizine  
 dihydrochloride  
 AUTHOR(S): Pflum, Derek A.; Krishnamurthy, Dhileepkumar; Han,  
 Zhengxu; Wald, Stephen A.; Senanayake, Chris H.  
 CORPORATE SOURCE: Chemical Process Research and Development, Sepracor,  
 Inc., Marlborough, MA, 01752, USA  
 SOURCE: Tetrahedron Letters (2002), 43(6), 923-926  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 137:63224  
 IT 163837-48-7P, (-)-Cetirizine dihydrochloride  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (asym. synthesis of cetirizine dihydrochloride)  
 RN 163837-48-7 HCAPLUS  
 CN Acetic acid, [2-[(S)-(4-chlorophenyl)phenylmethyl]-1-  
 piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● 2 HCl

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 54 OF 99 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
564.38	735.05

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-78.75	-78.75

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STN INTERNATIONAL LOGOFF AT 13:39:18 ON 19 NOV 2006